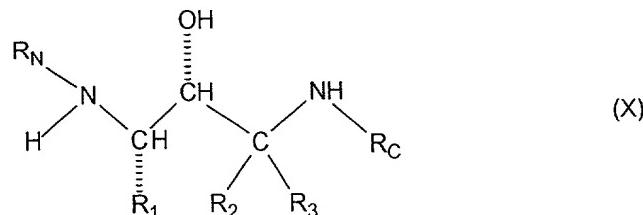


WE CLAIM:

1. A substituted amine of formula (X)



5

where R<sub>1</sub> is:

- (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
  - (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,

- (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 5 (C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (D) -F, Cl, -Br or -I,
- 10 (F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,
- (G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,
- (H) -OH,
- (I) -C≡N,
- 15 (J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 20 (M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or
- (N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteraryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteraryl</sub> is selected from the group consisting of:
- 25 pyridinyl,
- pyrimidinyl,
- quinolinyl,
- benzothienyl,
- indolyl,
- indolinyl,
- 30 pyridazinyl,
- pyrazinyl,
- isoindolyl,
- isoquinolyl,

quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
5 isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
10 indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
15 thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
20 oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
25 cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
30 tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,

benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxyazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,

- pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,
- where the R<sub>1</sub>-heteroaryl group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring atom of the parent R<sub>1</sub>-heteroaryl group substituted by hydrogen such that the new bond to the R<sub>1</sub>-heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:
- (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

10 (4) -F, Cl, -Br or -I,  
 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

15 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,  
 (8) -OH,  
 (9) -C≡N,  
 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

20 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or  
 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

R<sub>1-heteraryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:

25 morpholinyl,  
 thiomorpholinyl,  
 thiomorpholinyl S-oxide,  
 thiomorpholinyl S,S-dioxide,  
 piperazinyl,  
 homopiperazinyl,  
 pyrrolidinyl,  
 pyrrolinyl,  
 tetrahydropyranyl,

- piperidinyl,  
tetrahydrofuranyl,  
tetrahydrothienyl,  
homopiperidinyl,  
homomorpholinyl,  
homothiomorpholinyl,  
homothiomorpholinyl S,S-dioxide, and  
oxazolidinonyl,  
dihydropyrazolyl  
dihydropyrrolyl  
dihydropyrazinyl  
dihydropyridinyl  
dihydropyrimidinyl  
dihydrofuryl  
dihydropyranyl  
tetrahydrothienyl S-oxide  
tetrahydrothienyl S,S-dioxide  
homothiomorpholinyl S-oxide  
where the R<sub>1</sub>-heterocycle group is bonded by any atom of the  
parent R<sub>1</sub>-heterocycle group substituted by hydrogen such that the new bond to the  
R<sub>1</sub>-heterocycle group replaces the hydrogen atom and its bond, where heterocycle is  
optionally substituted with one, two, three, or four:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or  
three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,  
-OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as  
defined above,  
(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
optionally substituted with one, two or three substituents selected from the group  
consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
optionally substituted with one, two or three substituents selected from the group  
consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- (4) -F, Cl, -Br or -I,  
 (5) C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two,  
 or three of -F,
- 5 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined  
 below,
- (8) -OH,  
 (9) -C≡N,  
 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one,  
 10 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  
 -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 15 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or  
 (15) =O, with the proviso that when n<sub>1</sub> is zero  
 R<sub>1</sub>-heterocycle is not bonded to the carbon chain by nitrogen;
- 20 where R<sub>2</sub> is:  
 (I)-H,  
 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three  
 substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 25 above,  
 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1</sub>-aryl or R<sub>1</sub>-heteroaryl where R<sub>1</sub>-aryl and  
 R<sub>1</sub>-heteroaryl are as defined above;  
 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  
 30 -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
 H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V)  $C_2$ - $C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

5 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

10 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and 15 R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

20 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined below;

25 where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N-</sub> where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and

30 are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can 5 be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (2) -OH,

(3) -NO<sub>2</sub>,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

15 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,

20 (b) -C<sub>1-C<sub>6</sub></sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

25 (c) -C<sub>1-C<sub>6</sub></sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C<sub>3-C<sub>7</sub></sub> cycloalkyl,

30 (e) -(C<sub>1-C<sub>2</sub></sub> alkyl)-(C<sub>3-C<sub>7</sub></sub> cycloalkyl),

(f) -(C<sub>1-C<sub>6</sub></sub> alkyl)-O-(C<sub>1-C<sub>3</sub></sub> alkyl),

(g) -C<sub>2-C<sub>6</sub></sub> alkenyl with one or two double bonds,

(h) -C<sub>2-C<sub>6</sub></sub> alkynyl with one or two triple bonds,

35 (i) -C<sub>1-C<sub>6</sub></sub> alkyl chain with one double bond and one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,

- (8)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_1\text{-C}_{12}\text{ alkyl)}$ ,
- (9)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_2\text{-C}_{12}\text{ alkenyl with one, two or three double bonds)}$ ,
- 5      (10)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_2\text{-C}_{12}\text{ alkynyl with one, two or three triple bonds)}$ ,
- (11)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_3\text{-C}_7\text{ cycloalkyl)}$ ,
- (12)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above,
- 10     defined above,
- (13)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heteroaryl}}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as defined above,
- (14)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heterocycle}}$  where  $\text{R}_{1\text{-heterocycle}}$  is as defined above,
- 15     (15)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{N\text{-4}}$  where  $\text{R}_{N\text{-4}}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $\text{C}_1\text{-C}_6$  alkyl,
- (16)  $-(\text{CH}_2)_{0-4}\text{-CO-O-R}_{N\text{-5}}$  where  $\text{R}_{N\text{-5}}$  is selected from the group consisting of:
- 20     (a)  $\text{C}_1\text{-C}_6$  alkyl,
- (b)  $-(\text{CH}_2)_{0-2}\text{-(R}_{1\text{-aryl})}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above,
- (c)  $\text{C}_2\text{-C}_6$  alkenyl containing one or two double bonds,
- 25     (d)  $\text{C}_2\text{-C}_6$  alkynyl containing one or two triple bonds,
- (e)  $\text{C}_3\text{C}_7$  cycloalkyl, and
- (f)  $-(\text{CH}_2)_{0-2}\text{-(R}_{1\text{-heteroaryl})}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as defined above,
- 30     (17)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-NR}_{N\text{-2}}\text{R}_{N\text{-3}}$  where  $\text{R}_{N\text{-2}}$  and  $\text{R}_{N\text{-3}}$  are as defined above,
- (18)  $-(\text{CH}_2)_{0-4}\text{-SO-(C}_1\text{-C}_8\text{ alkyl)}$ ,
- (19)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_1\text{-C}_{12}\text{ alkyl)}$ ,
- (20)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_3\text{-C}_7\text{ cycloalkyl)}$ ,

- (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,
- (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- 5 (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- (24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,
- 10 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,
- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,
- 15 (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4$  alkyl,
- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- 20 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- 25 (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,
- (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five } -F)$ ,
- 30 (35)  $C_3-C_7$  cycloalkyl,
- (36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or
- (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group

consisting of:

- 5                    pyridinyl,  
                      pyrimidinyl,  
                      quinolinyl,  
                      benzothienyl,  
                      indolyl,  
                      indolinyl,  
                      pyridazinyl,  
10                 pyrazinyl,  
                      isoindolyl,  
                      isoquinolyl,  
                      quinazolinyl,  
                      quinoxalinyl,  
15                 phthalazinyl,  
                      imidazolyl,  
                      isoxazolyl,  
                      pyrazolyl,  
                      oxazolyl,  
20                 thiazolyl,  
                      indolizinyl,  
                      indazolyl,  
                      benzothiazolyl,  
                      benzimidazolyl,  
25                 benzofuranyl,  
                      furanyl,  
                      thienyl,  
                      pyrrolyl,  
                      oxadiazolyl,  
30                 thiadiazolyl,  
                      triazolyl,  
                      tetrazolyl,  
                      oxazolopyridinyl,  
                      imidazopyridinyl,

	isothiazolyl,
	naphthyridinyl,
	cinnolinyl,
	carbazolyl,
5	beta-carbolinyl,
	isochromanyl,
	chromanyl,
	tetrahydroisoquinolinyl,
	isoindolinyl,
10	isobenzotetrahydrofuranyl,
	isobenzotetrahydrothienyl,
	isobenzothienyl,
	benzoxazolyl,
	pyridopyridinyl,
15	benzotetrahydrofuranyl,
	benzotetrahydrothienyl,
	purinyl,
	benzodioxolyl,
	triazinyl,
20	phenoxyazinyl,
	phenothiazinyl,
	pteridinyl,
	benzothiazolyl,
	imidazopyridinyl,
25	imidazothiazolyl,
	dihydrobenzisoxazinyl,
	benzisoxazinyl,
	benzoxazinyl,
	dihydrobenzisothiazinyl,
30	benzopyranyl,
	benzothiopyranyl,
	coumarinyl,
	isocoumarinyl,
	chromonyl,

- (k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,
- (8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),
- (9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),
- 5 (10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three triple bonds),
- (11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above,
- 10 (13) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,
- (14) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1-heterocycle</sub> where R<sub>1-heterocycle</sub> is as defined above,
- 15 (15) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,
- 20 (16) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> is selected from the group consisting of:
- (a) C<sub>1</sub>-C<sub>6</sub> alkyl,
- (b) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is as defined above,
- 25 (c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,
- (d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,
- (e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- 30 (f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-heteroaryl</sub>) where R<sub>1-heteroaryl</sub> is as defined above,
- (17) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,
- (18) -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>8</sub> alkyl),

- (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$  alkyl),  
(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7$  cycloalkyl),  
(21)  $-(CH_2)_{0-4}-N(H$  or  $R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can  
be the same or different and is as defined above,  
5 (22)  $-(CH_2)_{0-4}-N(H$  or  $R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$   
can be the same or different and is as defined above,  
(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the  
same or different and is as defined above,  
(24)  $-(CH_2)_{0-4}-N(-H$  or  $R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  
10  $R_{N-2}$  can be the same or different and are as defined above,  
(25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the  
same or different and are as defined above,  
15 (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
(27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6$  alkyl),  
(28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H  
or  $C_1-C_4$  alkyl,  
20 (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined  
above,  
(30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined  
above,  
25 (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,  
(32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as  
defined above,  
(33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,  
30 (34)  $-(CH_2)_{0-4}-O-(C_1-C_6$  alkyl optionally substituted  
with one, two, three, four, or five of: -F),  
(35)  $C_3-C_7$  cycloalkyl,  
(36)  $C_2-C_6$  alkenyl with one or two double bonds  
optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$   
alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
(37)  $C_2-C_6$  alkynyl with one or two triple bonds  
optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$   
alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

- (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or
- (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,
- (C)  $R_{N\text{-aryl}}-W-R_{N\text{-aryl}}$ , where  $R_{N\text{-aryl}}$  is defined as above,
- (D)  $R_{N\text{-aryl}}-W-R_{N\text{-heteroaryl}}$ , where  $R_{N\text{-aryl}}$  and  $R_{N\text{-heteroaryl}}$  are as defined above,
- (E)  $R_{N\text{-aryl}}-W-R_{N-1\text{-heterocycle}}$ , where  $R_{N\text{-heterocycle}}$  is defined as  $R_{1\text{-heterocycle}}$ , is defined above,
- (F)  $R_{N\text{-heteroaryl}}-W-R_{N\text{-aryl}}$ , where  $R_{N\text{-aryl}}$  and  $R_{N\text{-heteroaryl}}$  are as defined above,
- (G)  $R_{N\text{-heteroaryl}}-W-R_{N\text{-heteroaryl}}$ , where  $R_{N\text{-heteroaryl}}$  is as defined above,
- (H)  $R_{N\text{-heteroaryl}}-W-R_{N-1\text{-heterocycle}}$ , where  $R_{N-1\text{-heterocycle}}$  is as defined as  $R_{1\text{-heterocycle}}$  is as defined above, and where  $R_{N\text{-heteroaryl}}$  is as defined above,
- (I)  $R_{N\text{-heterocycle}}-W-R_{N\text{-aryl}}$ , where  $R_{N\text{-heterocycle}}$  is as defined as  $R_{1\text{-heterocycle}}$  is defined and where  $R_{N\text{-aryl}}$  are as defined above,
- (J)  $R_{N\text{-heterocycle}}-W-R_{N\text{-heteroaryl}}$ , where  $R_{N\text{-heterocycle}}$  is as defined as  $R_{1\text{-heterocycle}}$  as defined above and  $R_{N\text{-heteroaryl}}$  are as defined above, and
- (K)  $R_{N\text{-heterocycle}}-W-R_{N-1\text{-heterocycle}}$ , where  $R_{N\text{-heterocycle}}$  and  $R_{N\text{-heteroaryl}}$  are as defined above,
- where  $W$  is
- (1)  $-(CH_2)_{0-4}-$ ,
- (2)  $-O-$ ,
- (3)  $-S(O)_{0-2}-$ ,
- (4)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above, or
- (5)  $-CO-1$
- (II)  $-CO-(C_1-C_{10} \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:
- (A)  $-OH$ ,
- (B)  $-C_1-C_6$  alkoxy,
- (C)  $-C_1-C_6$  thioalkoxy,
- (D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6$  alkyl or  $-phenyl$ ,
- (E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

- (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
5 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
10 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,  
15 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl) optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
(R) -F, or -Cl  
(III) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:  
20 (A) -OH,  
(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
25 (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
30 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
(L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

- (M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where the R<sub>N-8</sub>s are the same or different  
and are as defined above,  
(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
5 (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or  
three of: -F, -Cl, -Br, or -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(R) -F, -Cl,  
(IV) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-S-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally  
10 substituted with one, two, or three substituents selected from the group consisting of:  
(A) -OH,  
(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
15 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,  
(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
20 different and are as defined above,  
(I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
and are as defined above,  
25 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and  
are as defined above,  
30 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or  
three of: -F, -Cl, -Br, -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(R) -F, or -Cl,

(V) -CO-CH(-( $\text{CH}_2$ )<sub>0-2</sub>-O-R<sub>N-10</sub>)-( $\text{CH}_2$ )<sub>0-2</sub>-R<sub>N-aryl</sub>/R<sub>N-heteroaryl</sub>) where R<sub>N-aryl</sub> and R<sub>N-heteroaryl</sub> are as defined above, where R<sub>N-10</sub> is selected from the group consisting of:

- (A) -H,
  - 5 (B) C<sub>1</sub>-C<sub>6</sub> alkyl,
  - (C) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
  - (D) C<sub>2</sub>-C<sub>6</sub> alkenyl with one double bond,
  - (E) C<sub>2</sub>-C<sub>6</sub> alkynyl with one triple bond,
  - 10 (F) R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and
  - (G) R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is as defined above, or
- (VI) -CO-(C<sub>3</sub>-C<sub>8</sub> cycloalkyl) where alkyl is optionally substituted with one or two substituents selected from the group consisting of:
- (A) -( $\text{CH}_2$ )<sub>0-4</sub>-OH,
  - 15 (B) -( $\text{CH}_2$ )<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> alkoxy,
  - (C) -( $\text{CH}_2$ )<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> thioalkoxy,
  - (D) -( $\text{CH}_2$ )<sub>0-4</sub>-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,
  - (E) -( $\text{CH}_2$ )<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
  - 20 (F) -( $\text{CH}_2$ )<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,
  - (G) -( $\text{CH}_2$ )<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),
  - (H) -( $\text{CH}_2$ )<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
  - (I) -( $\text{CH}_2$ )<sub>0-4</sub>-NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),
  - 25 (J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,
  - (K) -( $\text{CH}_2$ )<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
  - (L) -( $\text{CH}_2$ )<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,
  - (M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),
  - 30 (N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,
  - (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or

three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and

(R) -F, or -Cl,

5 where R<sub>C</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three

substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub>

10 R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally

substituted with one, two or three substituents selected from the group consisting of

15 C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are

-H,

C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,,

20 C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:

-F,

-(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

25 phenyl-,

and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;

30 (IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub> and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as

defined above,

(VI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$  where  $R_{C-aryl}$ ,  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(VII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$  where  $R_{C-heteroaryl}$ ,  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (VIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(IX)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$  where  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above, and  $R_{C-heterocycle}$  is the same as  $R_N$ -heterocycle,

10  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(X)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-heteroaryl}$ ,  $R_{C-heterocycle}$ ,

$R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

15 (XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

20 (XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

(B)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{1-2}-S(O)_{0-2}(C_1-C_6 \text{ alkyl}),$

- (F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 5 (G)  $-(C_1-C_4 \text{ alkyl})-R_{C'-\text{aryl}}$  where R<sub>C'-aryl</sub> is as defined for R<sub>1-aryl</sub>,
- (H)  $-(C_1-C_4 \text{ alkyl})-R_{C-\text{heteroaryl}}$  where R<sub>C-heteroaryl</sub> is as defined above,
- (I)  $-(C_1-C_4 \text{ alkyl})-R_{C-\text{heterocycle}}$  where R<sub>C-heterocycle</sub> is as defined above,
- 10 (J)  $-R_{C-\text{heteroaryl}}$  where R<sub>C-heteroaryl</sub> is as defined above,
- (K)  $-R_{C-\text{heterocycle}}$  where R<sub>C-heterocycle</sub> is as defined above,
- (M)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-\text{aryl}}$  where R<sub>C-4</sub> is -O-, -S- or -NR<sub>C-5</sub>- where R<sub>C-5</sub> is  $C_1-C_6$  alkyl, and where R<sub>C'-aryl</sub> is as defined above,
- 15 (N)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-\text{heteroaryl}}$  where R<sub>C-4</sub> and R<sub>C-heteroaryl</sub> are as defined above, and
- (O)  $-R_{C'-\text{aryl}}$  where R<sub>C'-aryl</sub> is as defined above,
- and where R<sub>C-3</sub> is the same or different and is:
- (A) -H,
- (B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three
- 20 substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of
- 25  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and
- 30 -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl,

-Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- (F) -R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub> is as defined above,
- (G) -R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is as defined above,
- 5 (H) -R<sub>C-heterocycle</sub> where R<sub>C-heterocycle</sub> is as defined above,
- (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub> is as defined above,
- (J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is as defined above, or
- 10 (K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub> where R<sub>C-heterocycle</sub> is as defined above,
- (XVI) -CH(R<sub>C-aryl</sub>)<sub>2</sub> where R<sub>C-aryl</sub> are the same or different and are as defined above,
- 15 (XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different and are as defined above,
- (XVIII) -CH(R<sub>C-aryl</sub>)(R<sub>C-heteroaryl</sub>) where R<sub>C-aryl</sub> and R<sub>C-heteroaryl</sub> are as defined above,
- 20 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> where R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 25 (XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 30 (XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (XXI) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is as defined above and R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,

(XXII)  $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{C-heteraryl}$  where  $R_{C-heteraryl}$  and  $R_{C-6}$  is as defined above,

(XXIII)  $-CH(-R_{C-aryl} \text{ or } R_{C-heteraryl})-CO-O(C_1-C_4 \text{ alkyl})$  where  $R_{C-aryl}$  and  $R_{C-heteraryl}$  are as defined above,

5 (XXIV)  $-CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2$ ,

(XXV)  $(C_1-C_6 \text{ alkyl})-O-(C_1-C_6 \text{ alkyl})-OH$ ,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII)  $-H$ , or

10 (XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above;

or a pharmaceutically acceptable salt thereof.

## 2. A substituted amine of formula (X) according to claim 1

where  $R_1$  is:

15  $-(CH_2)_{0-1}-(R_{1-aryl})$

$-(CH_2)_{n1}-(R_{1-heteraryl})$

where  $R_N$  is:

$R_{N-1}-X_N-$  where  $X_N$  is selected from the group consisting of:

-CO-, and

20 - $SO_2^-$ ,

where  $R_{N-1}$  is selected from the group consisting of:

- $R_{N-aryl}$ , and

- $R_{N-heteraryl}$ , or

- $CO-CH(-(CH_2)_{0-2}-O-R_{N-10})-(CH_2)_{0-2}-R_{N-aryl}/R_{N-heteraryl}$ ;

25 where  $R_C$  is:

- $C_1-C_8$  alkyl,

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{C-x}RC_{-y})_{0-4}-R_{C-aryl}$ ,

$-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heteraryl}$ ,

30  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heterocycle}$ , or

-cyclopentyl or -cyclohexyl ring fused to  $R_{C-aryl}$  or  $R_{C-heteraryl}$  or  $R_{C-}$

heterocycle.

## 3. A substituted amine of formula (X) according to claim 2

where  $R_1$  is:

- $-(CH_2)-(R_{1-aryl})$ , or
- $-(CH_2)-(R_{1-heteroaryl})$ ;

where  $R_2$  is -H;

5 where  $R_3$  is -H;

where  $R_N$  is:

$R_{N-1}-X_N-$  where  $X_N$  is:

- CO-,

where  $R_{N-1}$  is selected from the group consisting of:

10  $-R_{N-aryl}$ ,

$-R_{N-heteroaryl}$ ,

where  $R_C$  is:

$-(CH_2)_{0-3}-(C_3-C_7)$  cycloalkyl,

$-(CR_{C-x}RC_{-y})_{0-4}-R_{C-aryl}$ ,

15  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heteroaryl}$ ,

$-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heterocycle}$ , or

-cyclopentyl or -cyclohexyl ring fused to a  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  
20  $R_{C-heterocycle}$ .

20 4. A substituted amine of formula (X) according to claim 3 where  $R_C$  is:

$-(CR_{C-x}RC_{-y})_{0-4}-R_{C-aryl}$ ,

$-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heteroaryl}$ ,

-cyclopentyl or -cyclohexyl ring fused to a  $R_{C-aryl}$  or  $R_{C-heteroaryl}$  or  
25  $R_{C-heterocycle}$ .

5. A substituted amine of formula (X) according to claim 1 where  $R_1$  is

$-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl.

6. A substituted amine of formula (X) according to claim 1 where  $R_1$  is

30  $-(CH_2)-(R_{1-aryl})$  where  $R_{1-aryl}$  is phenyl substituted with two -F.

7. A substituted amine of formula (X) according to claim 6 where the -F substitution  
is 3,5-difluorobenzyl.

8. A substituted amine of formula (X) according to claim 1 where R<sub>2</sub> is -H.
9. A substituted amine of formula (X) according to claim 1 where R<sub>3</sub> is -H.
- 5    10. A substituted amine of formula (X) according to claim 1 where R<sub>N</sub> is  
R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl  
substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3-.
11. A substituted amine of formula (X) according to claim 10 where R<sub>N-2</sub> and R<sub>N-3</sub>  
10 are the same and are C<sub>3</sub> alkyl.
12. A substituted amine of formula (X) according to claim 1 where R<sub>N</sub> is  
R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl  
substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on  
15 the phenyl is 1,3,5-.
13. A substituted amine of formula (X) according to claim 12 where R<sub>N-2</sub> and R<sub>N-3</sub>  
are the same and are C<sub>3</sub> alkyl.
- 20    14. A substituted amine of formula (X) according to claim 1 where R<sub>N</sub> is  
R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is  
substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>.
15. A substituted amine of formula (X) according to claim 14 where R<sub>N-2</sub> and R<sub>N-3</sub>  
25 are the same and are -C<sub>3</sub> alkyl.
16. A substituted amine of formula (X) according to claim 1 where R<sub>C</sub> is:  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is phenyl,  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,  
30    -cyclopentyl or -cyclohexyl ring fused to a R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub>.
17. A substituted amine of formula (X) according to claim 16 where R<sub>C</sub> is:  
-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is phenyl.

18. A substituted amine of formula (X) according to claim 17 where phenyl is substituted in the 3-position or 3,5-positions.

19. A substituted amine of formula (X) according to claim 16 where R<sub>C</sub> is:

5 -(CH<sub>2</sub>)-R<sub>C</sub>-heteroaryl.

20. A substituted amine of formula (X) according to claim 16 where R<sub>C</sub> is:

-(CH<sub>2</sub>)-R<sub>C</sub>-heterocycle.

10 21. A substituted amine of formula (X) according to claim 16 where R<sub>C</sub> is:

-cyclohexyl ring fused to a phenyl ring.

22. A substituted amine of formula (X) according to claim 1 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the  
15 following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic,  
20 malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

25

23. A substituted amine of formula (X) according to claim 1 which is selected from the group consisting of:

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
methoxybenzyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-  
hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-10 dipropylisophthalamide,
- ethyl {[ (3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,
- N<sup>1</sup>-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-
- 20 hydroxyethoxy)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-30 dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}\{(1S,2R)\text{-}3\text{-}\{[(2\text{-aminobenzyl})\text{amino}]\text{-}1\text{-benzyl}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-pyridinylmethyl})\text{amino}]\text{propyl}\}\text{-}$
- $N^3,N^3\text{-}$ dipropylisophthalamide,
- 5       $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(1\text{-pyrrolidinyl)ethyl}]\text{amino}\}\text{propyl}\}\text{-}$
- $N^3,N^3\text{-}$ dipropylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(2\text{-hydroxy}\text{-}2\text{-phenylethyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- 10     dipropylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-isopropoxypropyl})\text{amino}]\text{propyl}\}\text{-}$
- $N^3,N^3\text{-}$ dipropylisophthalamide,
- $N^1\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(isopentylamino)\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- 15      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-phenylpropyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(2\text{-methoxyethyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- 20      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(2\text{-phenoxyethyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- $N^1\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(2\text{-propoxyethyl})\text{amino}]\text{propyl}\}\text{-}N^3\text{-}$
- $N^3\text{-}$ dipropylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[(3,3\text{-dimethylbutyl})\text{amino}]\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- 25      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(4\text{-phenylbutyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-iodobenzyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- 30      $N^1\text{-}\{(1S)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(4\text{-nitrobenzyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[(3\text{-chlorobenzyl})\text{amino}]\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-}$
- dipropylisophthalamide,
- $N^1\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(4\text{-chlorophenyl)ethyl}]\text{amino}\}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-}$
- $N^3\text{-}$ dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-pyridinyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethyl)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[4-(trifluoromethoxy)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl]-3-ylmethyl)amino]-2-  
hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}  
10 propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-  
hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}  
20 propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-  
naphthyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-  
naphthyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-  
methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
30 dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-  
methylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-  
methylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}(2\text{-propynylamino)propyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(2\text{-fluorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 5       $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(3\text{-fluorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(4\text{-fluorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 10      $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(4\text{-bromophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(3\text{-methoxyphenyl)ethyl]amino}\text{-}propyl]\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(2,4\text{-dichlorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 15      $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(3\text{-chlorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(2,5\text{-dimethoxyphenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(4\text{-methylphenyl)ethyl]amino}\text{-}propyl]\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 20      $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[(1R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxyethyl]amino}\text{-}2\text{-hydroxypropyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-}(4\text{-morpholinyl)propyl]amino}\text{-}propyl]\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 25      $N^1\text{-}[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}(isobutylamino)propyl]\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(4\text{-morpholinyl)ethyl]amino}\text{-}propyl]\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 30      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-hydroxybutyl]amino}\text{-}propyl]\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(2\text{-thienyl)ethyl]amino}\text{-}propyl]\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 35      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[4\text{-hydroxybutyl]amino}\text{-}propyl]\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$

N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-phenylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-phenylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(4-tert-butylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-[(isobutylamino)carbonyl]propyl}amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R)-1-[(isobutylamino)carbonyl]propyl}amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1-[(isobutylamino)carbonyl]butyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-phenylpropyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- dimethyl (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-
- 20 cyclohexanedicarboxylate,
- (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1-phenylpropyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,
- N<sup>1</sup>-[(1S,2R)-3-[(1,1'-biphenyl)-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(trifluoromethyl)benzyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(trifluoromethoxy)benzyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[5-methyl-2-furyl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydro-1-naphthalenylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-10 N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>2</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-15 N<sup>5</sup>,N<sup>5</sup>-dipropyl-2,5-thiophenedicarboxamide,
- N<sup>4</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>2</sup>,N<sup>2</sup>-dipropyl-2,4-pyridinedicarboxamide,
- N<sup>4</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-16 N<sup>6</sup>,N<sup>6</sup>-dipropyl-4,6-pyrimidinedicarboxamide,
- N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(4-20 morpholinylcarbonyl)benzamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,
- 25 N<sup>1</sup>-[(1S,2R)-3-{{[(1R)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1R)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,  
3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,
- 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,  
N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-(2-methoxyethyl)-N<sup>3</sup>-dipropylisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,
- 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 15 N<sup>1</sup>-[(1R)-3-{{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-
- 20 20 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 25 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-
- 30 30 hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S})\text{-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 5       $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-benzyl-3-[(3-difluoromethoxy)benzyl]amino}\}\text{-2-hydroxypropyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-benzyl-2-hydroxy-3-[(5-methyl-2-pyrazinyl)methyl]amino}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 10      $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
- 15      $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
- 20      $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-methyl-1,3-thiazol-2-yl)methyl]amino}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl}\}\text{-}N^3\text{-methyl-}N^3\text{-propylisophthalamide,}$
- 25      $N^2\text{-}\{(\text{1S},\text{2R})\text{-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl}\}\text{-}N^5,N^5\text{-dipropyl-2,5-furandicarboxamide,}$
- $N^3\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-trifluoromethyl)benzyl]amino}\}\text{-}N^5,N^5\text{-dipropyl-3,5-pyridinedicarboxamide,}$
- 30      $N^3\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]\text{-}N^5,N^5\text{-dipropyl-3,5-pyridinedicarboxamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(\text{1S},\text{2R})\text{-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
isomer A,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
isomer B,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,
- N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-1H-benzimidazole-5-carboxamide,
- 3-(aminosulfonyl)-N-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chlorobenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-cyanobenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-chloro-3-nitrobenzamide,
- methyl 3-[{((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino}carbonyl]-5-nitrobenzoate,
- tert-butyl 3-[{((1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl)amino}carbonyl]phenylcarbamate,
- N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-9,10-dioxo-9,10-dihydro-2-anthrancenylcarboxamide,
- N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1H-1,2,3-benzotriazole-6-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1H-indole-5-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-fluoro-5-(trifluoromethyl)benzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethyl)benzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(butylamino)benzamide,

- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(trifluoromethoxy)benzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethoxybenzamide,
- 5 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-dimethylbenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-difluorobenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,5-10 dichlorobenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(benzyloxy)benzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-benzodioxole-5-carboxamide,
- 15 3-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,
- 4-(acetylamino)-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethyl-4-20 isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-25 hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[1-methyl-1-(3-methylphenyl)ethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 5-chloro-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[(1-benzofuran-2-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(butyryl)amino]-5-methylbenzamide,
- 25 N<sup>1</sup>-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-{1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>1</sup>,N<sup>1</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-
- 30 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-6-carboxamide,
- N<sup>1</sup>-[(1S,2R)-3-anilino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- 5-bromo-N<sup>1</sup>-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-4-methylpentanamide,
- 5 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-3-methylpentanamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-
- 10 cyano-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide hydrochloride,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 1- N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl]-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate,
- 15 5-(aminosulfonyl)-N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-
- 20 [(methylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-[(dimethylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2-methyl-3-(methylsulfonyl)propanamide,
- 25 N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(methylsulfonyl)propanamide,
- 2-amino-N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1,3-thiazole-4-carboxamide,
- N-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-5-
- 30 (methylsulfonyl)pentanamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-N<sup>4</sup>-phenylsuccinamide,
- (3R)-N<sup>4</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-2,2,3-trimethylbutanediamide,

- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-  
[(dipropylamino)sulfonyl]propanamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-  
dipropylpentanediamide,
- 5        N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-  
4-(1-piperidinyl)butanamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-  
 N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-
- 10      5-(1-piperidinyl)pentanamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>-  
phenylpentanediamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-  
dimethyl-4-oxo-4-(1-piperidinyl)butanamide,
- 15      N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
(isopentylsulfonyl)butanamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-  
dimethyl-N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-
- 20      [(dipropylamino)sulfonyl]butanamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-  
[(methylanilino)sulfonyl]butanamide,  
 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-  
[(methylanilino)sulfonyl]propanamide,
- 25      N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide,    N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,
- 30      N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-  
piperidinyl)pentanamide and  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-isobutyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-butyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N<sup>3</sup>-propylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dimethyl-N<sup>5</sup>,N<sup>5</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-amino-1-benzyl-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 15 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>-propyl-1,3,5-benzenetricarboxamide,
- N-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-
- 20 [butyryl(propyl)amino]-5-methylbenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}octanamide,
- 30 N<sup>3</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{(1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-ylamino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylbenzamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1H-isoindol-3-ylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S,5R)-2-isopropyl-5-methylcyclohexyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>,N<sup>1</sup>-diallyl-5-chloro-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}isophthalamide,

N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(cyclopropylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,

$N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}[(2\text{-}furylmethyl)amino]\text{-}2\text{-}hydroxypropyl}\}\text{-}N^5,N^5\text{-}dipropyl\text{-}3,5\text{-}pyridinedicarboxamide,$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(tetrahydro\text{-}2\text{-}furanylmethyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 5        $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(1\text{-}phenylcyclopropyl)amino]propyl}\}\text{-}N^5,N^5\text{-}dipropyl\text{-}3,5\text{-}pyridinedicarboxamide,$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(2\text{-}oxo\text{-}3\text{-}azepanyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(3\text{-}methyl\text{-}2\text{-}furyl)methyl]amino\}propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 10       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(2S)\text{-}tetrahydro\text{-}2\text{-}furanylmethyl]amino\}propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 $5\text{-}chloro\text{-}N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(1\text{-}methyl\text{-}1\text{-}phenylethyl)amino]propyl}\}\text{-}N^3,N^3\text{-}di(2\text{-}propynyl)isophthalamide,$   
 15       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(3\text{-}isopropenylbenzyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(2\text{-}propoxyethyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}(hexylamino)\text{-}2\text{-}hydroxypropyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 20       $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(3\text{-}iodobenzyl)amino]propyl\}\text{-}4\text{-}(3\text{-}methyl\text{-}5\text{-}oxo\text{-}4,5\text{-}dihydro\text{-}1H\text{-}pyrazol\text{-}1\text{-}yl)benzamide,$   
 $methyl\text{ 4-}\{[(2R,3S)\text{-}4\text{-}(3,5\text{-}difluorophenyl)\text{-}3\text{-}(\{3\text{-}[(dipropylamino)carbonyl]\text{-}5\text{-}methylbenzoyl\}amino)\text{-}2\text{-}hydroxybutyl]amino\}methyl\}benzoate,$   
 25       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(2\text{-}methoxyethyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(5\text{-}isoxazolylmethyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
 30       $(1R,2R)\text{-}N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(3\text{-}iodobenzyl)amino]propyl\}\text{-}N^2,N^2\text{-}dipropyl\text{-}1,2\text{-}cyclopropanedicarboxamide,$   
 $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(2S)\text{-}tetrahydro\text{-}2\text{-}furanylmethyl]amino\}propyl\}\text{-}N^5,N^5\text{-}dipropyl\text{-}3,5\text{-}pyridinedicarboxamide,$

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5       4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10      N<sup>3</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide 1-oxide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15      N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-methyl-1,3-thiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-1,3-thiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20      N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3R)-2-oxoazepanyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25      N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-
- 30      methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-methyl-2-furyl)methyl}amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,

- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-10 dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-20 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-[{(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl}-3-(butylsulfonyl)benzamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-{(2-[(2-ethylhexyl)oxy]ethyl)amino}-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[1-(4-hydroxyphenyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-30 dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl)-2-ylmethyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-(dimethylamino)benzamide,

N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-1-naphthamide,

5      N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(2-[({5-[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl)amino]-2-hydroxypropyl]-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(2-[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl)amino]-2-hydroxypropyl]-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(1,1'-biphenyl)-4-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

10     N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

15     N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenyl-1H-imidazol-5-yl)methyl]amino]propyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-imidazol-2-yl)methyl]amino]propyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

20     N<sup>1</sup>-[(1S,2R)-3-[(6-chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1H-benzimidazol-2-yl)methyl]amino]propyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

25     N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-1-naphthyl)methyl]amino]propyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-oxo-4H-chromen-3-yl)methyl]amino]propyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino]-2-hydroxypropyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

30     N<sup>1</sup>-[(1S,2R)-3-([5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

[5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N<sup>1</sup>-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5       methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10      N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15      N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20      N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25      N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(3-phenoxy-2-thienyl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30      N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[({1-[({4-  
methylphenyl)sulfonyl]-1H-indol-3-yl}methyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-  
dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{[(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-  
5 difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
methyl 3-([(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-  
5-methylbenzoyl}amino)-2-hydroxybutyl]amino)methyl)-1H-indole-6-carboxylate,  
3-[(({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-  
amino)carbonyl]-5-[butyl(butyryl)amino]benzyl diethyl phosphate,

10 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
(cyanomethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
(hydroxymethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
15 ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
dipropyl-5-prop-1-ynylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-  
(trifluoromethyl)benzyl]amino}propyl)-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-  
N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-  
ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
25 dipropyl-5-(8-quinolinyl)isophthalamide,  
N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-  
methoxy-N<sup>5</sup>,N<sup>5</sup>-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,  
N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,  
30 N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-  
dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,  
N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4'-  
[(dimethylamino)sulfonyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,

- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}iodobenzyl)\text{amino}]\text{propyl}\}\text{-}4\text{'}$   
 $\text{[}(dimethylamino)\text{sulfonyl]}\text{-}N^5,N^5\text{-dipropyl}\text{-}1,1'\text{-biphenyl}\text{-}3,5\text{-dicarboxamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$   
 $\text{dipropyl}\text{-}5\text{-}(3\text{-thienyl)isophthalamide,}$
- 5        $N\text{-}\{(1R,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}}$   
 $\text{methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}3\text{-methyl}\text{-}5\text{-pentanoylbenzamide,}$
- $N^1\text{-}\{(4\text{-hydroxybutyl)\text{-}N}^3\text{-}\{(1S)\text{-}2\text{-hydroxy}\text{-}1\text{-}(4\text{-hydroxybenzyl)\text{-}3\text{-}\{[(3\text{-}}$   
 $\text{methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^1\text{-propylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}2\text{-hydroxy}\text{-}1\text{-}(4\text{-hydroxybenzyl)\text{-}3\text{-}\{[(3\text{-}}$   
10      $\text{methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}N^3\text{-}(3\text{-hydroxypropyl)\text{-}5\text{-methyl}\text{-}N^3\text{-}$   
 $\text{propylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}2\text{-hydroxy}\text{-}1\text{-}(4\text{-hydroxybenzyl)\text{-}3\text{-}\{[(3\text{-}}$   
 $\text{methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[3\text{-}(2,4\text{-dimethylphenyl)\text{propyl}\}\text{amino}\}\text{-}2\text{-}$   
15       $\text{hydroxypropyl)\text{-}5\text{-methyl}\text{-}N}^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-}(4\text{-}}$   
 $\text{methylphenyl)\text{propyl}\}\text{amino}\}\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}5\text{-}$   
 $\text{methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 20       $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}1,3\text{-}$   
 $\text{dioxo}\text{-}2\text{-propyl}\text{-}5\text{-isoindolinecarboxamide,}$
- $N\text{-}\{(1R,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}3\text{-}$   
 $\text{bromo}\text{-}5\text{-methylbenzamide,}$
- $3\text{-bromo}\text{-}N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}}$   
25       $\text{methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}5\text{-methylbenzamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}4\text{-}$   
 $\text{methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}}$   
 $\text{methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}4\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 30       $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}4\text{-}$   
 $\text{methyl}\text{-}N^1,N^1\text{-dipropylisophthalamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}3\text{-}(2\text{-}}$   
 $\text{furyl)\text{-}5\text{-methylbenzamide,}$

- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,
- 3'-Acetyl-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,
- 5 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-
- 10 methyl-5-(2-thienyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide,
- 15 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,
- N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>,N<sup>5</sup>-tetrapropylbenzene-1,3,5-tricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
- 20 hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,
- Ethyl 3-[({(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoate,
- N<sup>1</sup>-{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-
- 25 methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{(trifluoromethyl)sulfonyl}amino}isophthalamide,
- 5-Amino-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoroacetyl)amino]isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methacryloylamino)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(2,2-dimethylpropanoyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide.
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,
- tert-butyl (2R,3S)-3-({3-[(dipropylamino)sulfonyl]- propanoyl}amino)-2-hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate
- 15 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-[propionyl(propyl)amino]benzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-butyl-1H-indole-5-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-
- 20 bromo-5-methylbenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[butyl(propionyl)amino]-5-methylbenzamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-1-propyl-1H-indole-6-carboxamide,
- 25 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-(1-propylbutyl)-1H-indole-6-carboxamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{[2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
- 30 hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{[trifluoromethyl]sulfonyl]amino}isophthalamide,
- 3-[({{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-prop-1-ynylisophthalamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-(dipropylamino)isonicotinamide,
- 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-2-(4-methylphenyl)acetamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>-methylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-hydroxy-3-nitrophenylacetamide,
- 10 5-(aminosulfonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-methoxybenzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-3-(pyrrolidin-1-ylcarbonyl)benzamide,
- 15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(3,5-dimethylisoxazol-4-yl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide,
- 3-(cyclohexylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
- 25 methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>-propylisophthalamide,
- 3-[cyclohexyl(hydroxy)methyl]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(4-methyl-1,3-oxazol-2-yl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide
- 30 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpyridine-3,5-dicarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpyridine-3,5-dicarboxamide,
- N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpyridine-3,5-dicarboxamide,
- 5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(4-hydroxybut-1-ynyl)benzyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 1-3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino)carbonyl]-5-methylbenzoyl}-L-prolinamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isopropyl-5-methylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-N<sup>3</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,5-dimethyl-N<sup>3</sup>-prop-2-ynylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isobutyl-5-methylisophthalamide,
- N<sup>1</sup>-(sec-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-diethyl-5-methylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,5-dimethyl-N<sup>3</sup>-propylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isopropyl-N<sup>3</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>1</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isobutyl-N<sup>3</sup>,5-dimethylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-5-methyl-N<sup>3</sup>-propylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-N<sup>3</sup>-isopropyl-5-methylisophthalamide,

- $N^1,N^1$ -diallyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- 3-(azepan-1-ylcarbonyl)- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide
- 5       $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} - $N^3$ , $N^3$ -diisopropyl-5-methylisophthalamide,
- $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ -ethyl-5-methylisophthalamide,
- $N^1$ -(cyclopropylmethyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^1$ -propylisophthalamide,
- 15     1-{3-[{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}amino]carbonyl]-5-methylbenzoyl}-D-prolinamide,
- $N^1$ -cyclohexyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ ,5-dimethylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-(3-
- 20     methylphenyl)cyclopropyl]amino)propyl)-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,
- $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]- $N^5$ , $N^5$ -diisopropylpyridine-3,5-dicarboxamide, and
- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino}benzamide.
- 25

24. A substituted amine of formula (X) according to claim 23 which is selected from the group consisting of:

- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,
- 30      $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl- $N^3$ , $N^3$ -dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3$ , $N^3$ -dipropylisophthalamide,

- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-
- 10 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-
- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-
- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-
- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-
- 30 methyl-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

5 dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-

10 methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-pyridinylmethyl}amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-

methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- (1R,3S)-5-{[(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,
- N<sup>1</sup>-[(1S,2R)-3-[(1,1'-biphenyl]-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-isopropoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[ (3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-10 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>3</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-15 N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[ (3-methylbenzyl)amino]propyl}-N3,N3-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,

20 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (1,3-thiazol-5-ylmethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,

25 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-(2-methoxyethyl)-N<sup>3</sup>-propylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1R)-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-methyl-1,3-thiazol-2-yl)methyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- 25 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide, isomer B,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(tetrahydro-3-furanylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-  
propoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (2-  
pyridinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 5 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-  
hydroxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ [1-methyl-1-(3-  
methylphenyl)ethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (1S)-1,2,3,4-tetrahydro-1-  
 10 naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[ (2,5-dimethylbenzyl)amino]-2-  
hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-3-{[2-chloro-5-(trifluoromethyl)benzyl]amino}-1-(3,5-  
difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (2-hydroxy-5-  
methylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 5-chloro-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (1-methyl-1-  
phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-[(1S,2R)-3-{[(1R)-1-(3-bromophenyl)ethyl]amino}-1-(3,5-difluorobenzyl)-  
 20 2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-  
hydroxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-  
 cyano-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide hydrochloride,  
 25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
dipropyl-1,3,5-benzenetricarboxamide,  
 5-(aminosulfonyl)-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[ (3-  
methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-  
 30 dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-  
[(methylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-  
[(dimethylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,
- 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-10 butyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N<sup>3</sup>-propylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-10 hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl}amino)propyl]-N<sup>3</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- 20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 5-chloro-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-bis(2-methoxyethyl)isophthalamide,
- 30 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-{{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,

15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-furanylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,

35 methyl 4-([(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino)methyl)benzoate,

40 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

45 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isoxazolylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

50 (1R,2R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,

55 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanylmethyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

60 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

65 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>3</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide 1-oxide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-5-isoxazolyl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-1,3-thiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,
- 30 N<sup>1</sup>-[(1S,2R)-3-{{[1,1'-biphenyl]-4-ylmethyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-phenyl-1H-imidazol-5-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-{{(2-butyl-4-chloro-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-[(1S,2R)-3-{{[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

[5-({(2R,3S)-4-(3,5-difluorophenyl)-3-{{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,

N<sup>1</sup>-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-

10 hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

methyl 4-({(2R,3S)-4-(3,5-difluorophenyl)-3-{{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-[(1S,2R)-3-{{(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-2-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-[(1S,2R)-3-{{(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-3-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-[(1S,2R)-3-{{(2-butyl-1H-imidazol-5-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

methyl 3-({(2R,3S)-4-(3,5-difluorophenyl)-3-{{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1H-indole-6-carboxylate,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-iodobenzyl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropyl}\text{-}5\text{-prop-1-ynylisophthalamide,}$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}4\text{-methoxy-N}^5,N^5\text{-dipropyl[1,1'\text{-}biphenyl]\text{-}3,5\text{-dicarboxamide hydrochloride,}}$
- 5        $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}N^5,N^5\text{-dipropyl[1,1'\text{-}biphenyl]\text{-}3,5\text{-dicarboxamide,}}$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}N^5,N^5\text{-dipropyl[1,1'\text{-}biphenyl]\text{-}3,5\text{-dicarboxamide,}}$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}4\text{-[(dimethylamino)sulfonyl]\text{-}N}^5,N^5\text{-dipropyl-1,1'\text{-}biphenyl\text{-}3,5\text{-dicarboxamide,}}$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-iodobenzyl}]amino\}\text{propyl}\}\text{-}4\text{-[(dimethylamino)sulfonyl]\text{-}N}^5,N^5\text{-dipropyl-1,1'\text{-}biphenyl\text{-}3,5\text{-dicarboxamide,}}$
- $N\text{-}\{(1R,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}3\text{-methyl-5-pentanoylbenzamide,}$
- 10       $N^1\text{-}\{(1S,2R)\text{-}2\text{-hydroxy-1-(4-hydroxybenzyl)}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}N^3\text{-}(3\text{-hydroxypropyl)\text{-}5-methyl-N}^3\text{-propylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}2\text{-hydroxy-1-(4-hydroxybenzyl)}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}5\text{-methyl-N}^3,N^3\text{-dipropylisophthalamide,}$
- 15       $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}5\text{-methyl-N}^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}4\text{-methyl- N}^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-Benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}2\text{-N}^3,N^3\text{-N}^5,N^5\text{-tetrapropylbenzene-1,3,5-tricarboxamide,}$
- 20       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-Difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylbenzene-1,3,5-tricarboxamide,}$
- $ethyl\ 3\text{-}\{((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{amino)\}\text{carbonyl}\}\text{-}5\text{-}\{[dipropylamino)\text{carbonyl}\}\text{benzoate,}$
- 25       $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropyl-5\{-[(trifluoromethyl)sulfonyl]amino\}\text{isophthalamide,}}$

5-amino-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
10 (methacryloylamino)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
15 (methylthio)pentanamide,  
3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,  
N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-  
20 ethylhexanamide,  
N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-  
[(isobutylsulfonyl)amino]propanamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
25 iodobenzyl)amino]propyl}-N<sup>3</sup>-(isobutylsulfonyl)-beta-alaninamide,  
5-bromo-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide, and  
25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-  
phenylcyclopropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(2-oxo-2,3-dihydro-1,3-benzoxazol-6-  
yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-  
hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{(trifluoromethyl)sulfonyl}amino}isophthalamide,  
3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic  
acid,

$N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 hydroxypropyl} $\text{-}N^3,N^3\text{-dipropyl}\text{-}5\text{-prop-1-ynilisophthalamide,}$   
 $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}\{[3\text{-iodobenzyl}]amino\}\text{pro-}}$   
 $\text{pyl}\}\text{-}4\text{-hydroxy-3\text{-}\{pyrrolidin-1-ylcarbonyl\}\text{benzamide,}}$   
 5  $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}\{[3\text{-iodobenzyl}]amino\}\text{pro-}}$   
 $\text{pyl}\}\text{-}2\text{-}\{[methylsulfonyl]amino\}\text{-}1,3\text{-oxazole-4-carboxamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropyl}\text{-}5\text{-}(1,3\text{-thiazol-2-yl})\text{isophthalamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}\{[3\text{-methoxybenzyl}]amino\}\text{propyl}\}\text{-}5\text{-methyl-}N^3\text{-propylisophthalamide,}$   
 10  $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^5,N^5\text{-dipropylpyridine-3,5-dicarboxamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}\{[3-isobutyl-1,2,4-oxadiazol-5-yl]methyl\}amino\}\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 15  $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethynylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^5,N^5\text{-dipropylpyridine-3,5-dicarboxamide,}$   
 $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}\{[3\text{-isopropylbenzyl}]amino\}\text{propyl}\}\text{-}N^5,N^5\text{-dipropylpyridine-3,5-dicarboxamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}\{[3\text{-}(4\text{-hydroxybut-1-ynyl)benzyl}]amino\}\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}$   
 20  $N^1\text{-}\{3\text{-}\{[(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{amino\}carbonyl\}\text{-}5\text{-methylbenzoyl\}\text{-}L\text{-prolinamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^3\text{-isopropyl-5-methylisophthalamide,}$   
 25  $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^3\text{-ethyl-}N^3,5\text{-dimethylisophthalamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^3,5\text{-dimethyl-}N^3\text{-prop-2-ynilisophthalamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 30  $\text{hydroxypropyl}\}\text{-}N^3\text{-isobutyl-5-methylisophthalamide,}$   
 $N^1\text{-}\{sec\text{-butyl\}-}N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}5\text{-methylisophthalamide,}$   
 $N^1\text{-butyl-}N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[3\text{-ethylbenzyl}]amino\}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}5\text{-methylisophthalamide,}$

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>,N<sup>3</sup>-diethyl-5-methylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>,5-dimethyl-N<sup>3</sup>-propylisophthalamide,
- 5        N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-isopropyl-N<sup>3</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>1</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-isobutyl-N<sup>3</sup>,5-dimethylisophthalamide,
- 10      N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-ethyl-5-methyl-N<sup>3</sup>-propylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-ethyl-N<sup>3</sup>-isopropyl-5-methylisophthalamide,
- 15      N<sup>1</sup>,N<sup>1</sup>-diallyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- 3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- 20      N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>,N<sup>3</sup>-diisopropyl-5-methylisophthalamide,
- 25      N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>1</sup>-ethyl-5-methylisophthalamide,
- N<sup>1</sup>-(cyclopropylmethyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>1</sup>-propylisophthalamide,
- N<sup>1</sup>-cyclohexyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>1</sup>,5-dimethylisophthalamide,
- 30      N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3- {[1-(3-methylphenyl)cyclopropyl]amino} propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{[(trifluoromethyl)sulfonyl]amino}benzamide.

25. A substituted amine of formula (X) according to claim 1 which is selected from

5 the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

10 N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

25 N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-propynyl)isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[ (3-ethylbenzyl)amino]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-propynyl)isophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[ (3-ethylbenzyl)amino]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(2-propynyl)isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(2-propynyl)isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[ (3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[ (3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[ (3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 2,3,5-trideoxy-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[3-methoxybenzyl]amino]-1-S-phenyl-1-thio-D-erythro-pentitol,
- 5 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-butynyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-butynyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5-(benzylamino)-2,3,5-trideoxy-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-1-S-phenyl-1-thio-D-erythro-pentitol,
- N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 30

N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
5 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
15 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
20 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
30 N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5 N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 20 N<sup>1</sup>-((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl)- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 25 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 30 N<sup>1</sup>-{(1S,2R)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide},

- N<sup>1</sup>-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-[(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]-3-[(dipropylamino)sulfonyl]propanamide,
- N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,
- 25 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,
- 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]propanamide,
- 30 N-[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]propanamide,

- N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[  
methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-  
[  
[3-methoxybenzyl)amino]propyl} propanamide,
- 5        N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-  
methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[  
3-methoxybenzyl)amino]propyl} propanamide,  
N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[  
10      methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[  
3-methoxybenzyl)amino]propyl} propanamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[  
15      methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[  
3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[  
thienylmethyl)propyl]- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[  
20      methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]propanamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[  
thienylmethyl)propyl]- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-  
25      methylbenzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-  
methylbenzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
30      methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-  
methylbenzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
3-

methoxybenzyl)amino]propyl}-3-[{(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

N<sup>1</sup>-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

(1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

10 methoxybenzyl)amino]propyl}-3-phenyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,

15 (1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-

20 cyclopropanedicarboxamide,

(1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2,3-cyclopropanetricarboxamide,

(1R,2R,3S)-3-(2-amino-2-oxoethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-

25 cyclopropanedicarboxamide,

(1R,2R,3R)-3-(2-amino-2-oxoethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,

(1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

30 methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

- (1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,
- (1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,
- (1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,
- 10 (1S,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,
- (1S,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-15 cyclopropanedicarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{[trifluoromethyl]sulfonyl]amino}isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-20 hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{[trifluoromethyl]sulfonyl]amino}isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{[trifluoromethyl]sulfonyl]amino}isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-25 hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide},

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,
- N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-thiazol-2-yl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1,3-oxazol-2-yl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

13615.25USU4

N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(methylsulfonyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(aminocarbonyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-{[3-(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-[(1S,2R)-3-{[3-(3-cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-{[(2R,3S)-4-(3,5-difluorophenyl)-3-{[3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl]phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-{[3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[{3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[{3-(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[{3-(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[{3-(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-2H-tetraazol-5-yl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-2H-tetraazol-5-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isopropyl-4-pyrimidinyl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethynyl-4-pyrimidinyl)methyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(6-isopropyl-4-pyrimidinyl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{[6-(dimethylamino)-4-pyrimidinyl]methyl]amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-  
30 pyrimidinyl]methyl]amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-isopropyl-2-pyrimidinyl)methyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(4-ethyl-2-pyrimidinyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(5-ethyl-3-pyridazinyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

15 dipropylisophthalamide,

N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isopropyl-3-pyridazinyl)methyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-isopropyl-4-pyridazinyl)methyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(6-ethyl-4-pyridazinyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,  
5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-((1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-  
20 benzenetricarboxamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-  
25 benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-  
30 ylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

5 N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

10 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

15 N<sup>1</sup>-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

25 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- N<sup>1</sup>-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- N<sup>1</sup>-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 15 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
5 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
10 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,  
20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,  
25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,  
30 N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,  
5 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[  
10 (methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-  
20 carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,  
25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,  
N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,
- 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- 20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,
- 25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -4-methyl-2-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-5-carboxamide,
- N $^4$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -2-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-4,5-dicarboxamide,
- 5 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-2\text{-[(methylsulfonyl)\text{amino}]\text{-}1,3\text{-oxazole-5\text{-carboxamide}}}$ ,
- N $^1$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -4-hydroxy-N $^3$ -methylisophthalamide,
- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-2\text{-[(methylsulfonyl)\text{amino}]\text{-}1,3\text{-oxazole-5\text{-carboxamide}}}$ ,
- 10 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -2-[ $(\text{ethylsulfonyl})\text{amino}$ ]-1,3-oxazole-4-carboxamide,
- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-5\text{-[(methylsulfonyl)\text{amino}]\text{-}1,3\text{-oxazole-2\text{-carboxamide}}}$ ,
- 15 N $^1$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-methoxybenzyl})\text{amino}]\}-2\text{-[(methylsulfonyl)\text{amino}]\text{-}4\text{-hydroxy-N}^3\text{-methylisophthalamide}}$ ,
- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-4\text{-methyl-5-[(methylsulfonyl)\text{amino}]\text{-}1,3\text{-oxazole-2\text{-carboxamide}}}$ ,
- 20 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-methoxybenzyl})\text{amino}]\}-2\text{-[(ethylsulfonyl)\text{amino}]\text{-}1,3\text{-oxazole-4\text{-carboxamide}}}$ ,
- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -4-methyl-5-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-2-carboxamide,
- 25 N $^1$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-methoxybenzyl})\text{amino}]\}-N^3\text{-ethyl-4\text{-hydroxyisophthalamide}$ ,
- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -5-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-2-carboxamide,
- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-2\text{-[(ethylsulfonyl)\text{amino}]\text{-}1,3\text{-oxazole-4\text{-carboxamide}}}$ ,
- 30 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -5-[ $(\text{methylsulfonyl})\text{amino}$ ]-3-isoxazolecarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,

5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-

15 carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,

5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,

30 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[<sup>(1S,2R)</sup>-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[<sup>(methylsulfonyl)amino</sup>]-1,3-oxazole-4-carboxamide,

N-[<sup>(1S,2R)</sup>-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-2-[<sup>(methylsulfonyl)amino</sup>]-1,3-oxazole-4-carboxamide,

5 N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutylisophthalamide,

2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,

10 N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutyl-N<sup>3</sup>-methylisophthalamide,

N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-2-[<sup>(isobutylsulfonyl)amino</sup>]-1,3-oxazole-4-carboxamide,

15 N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methylisophthalamide,

N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-methoxybenzyl)amino</sup>]propyl}-2-[<sup>(isobutylsulfonyl)amino</sup>]-1,3-oxazole-4-carboxamide,

20 N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,

N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-iodobenzyl)amino</sup>]propyl}-2-[<sup>(isobutylsulfonyl)amino</sup>]-1,3-oxazole-4-carboxamide,

25 N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-methoxybenzyl)amino</sup>]propyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,

N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-iodobenzyl)amino</sup>]propyl}-2-[<sup>(phenylsulfonyl)amino</sup>]-1,3-oxazole-4-carboxamide,

30 N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-methoxybenzyl)amino</sup>]propyl}-N<sup>3</sup>-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,

N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-iodobenzyl)amino</sup>]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-carboxamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>, N<sup>3</sup>-dipropyl-5-[(propylsulfonyl)amino]isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>, N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,

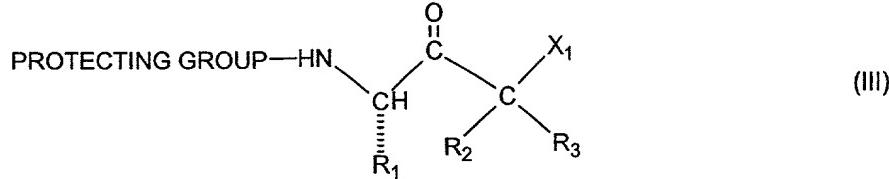
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,  
5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{{(1-methyl-1H-imidazol-4-yl)sulfonyl}amino}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 5-{{(5-cyanopyridin-2-yl)sulfonyl}amino}-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,  
20 N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{{(1-methyl-1H-imidazol-4-yl)sulfonyl}amino}benzamide,  
N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{{[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino}benzamide,  
3-{{(5-cyanopyridin-2-yl)sulfonyl}amino}-N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,  
25 3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,  
N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,  
N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,  
30 N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,  
N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,
- 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{{(1-ethylpropyl)sulfonyl}amino}benzamide,
- 3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{{(1-propylbutyl)sulfonyl}amino}benzamide,
- 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,
- 15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
- 20 hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,
- 25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-
- 30 N<sup>3,N</sup><sup>3</sup>-dipropyl-5-{{(trifluoromethyl)sulfonyl}amino}isophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3,N</sup><sup>3</sup>-dipropyl-5-{{(trifluoromethyl)sulfonyl}amino}isophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N<sup>3,N</sup><sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-(tert-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,
- 5 N<sup>1</sup>-(tert-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- 5-bromo-N<sup>1</sup>-(tert-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,
- 3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,
- 3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino}benzamide,
- 15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

20 26. A protected compound of the formula (III)



where R<sub>1</sub> is:

- (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

10 (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

15 (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,

20 (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

25 (C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

30 (D) -F, Cl, -Br or -I,  
(E) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(F) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(G) -OH,

(H) -C≡N,

(I) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

5 (VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

10 benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

15 isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

20 imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

25 indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

30 furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

thiadiazolyl,

triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
5                   isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
10                 isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyL  
isoindolinyl,  
15                 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
20                 benzotetrahydrothienyl,  
purinyl,  
benzdioxolyl,  
triazinyl,  
phenoxazinyl,  
25                 phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
30                 dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,

benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
5 chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
10 dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzdioxanyl  
15 benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
20 quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolinyl N-oxide,  
quinazolinyl N-oxide,  
25 quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
30 thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,

pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
5                   tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,  
where the R<sub>1</sub>-heteroaryl group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring  
atom of the parent R<sub>1</sub>-heteroaryl group substituted by hydrogen such that the new bond to  
10                 the R<sub>1</sub>-heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is  
optionally substituted with one, two, three, or four:  
                    (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three  
substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
15                 above,  
                    (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  
-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
-H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
20                 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  
-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
-H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
                    (4) -F, Cl, -Br or -I,  
25                 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three  
of: -F,  
                    (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,  
                    (8) -OH,  
                    (9) -C≡N,  
30                 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or  
three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,  
-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
                    (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

- (12)  $-\text{SO}_2\text{-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,  
(13)  $-\text{CO-NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above, or  
(14)  $-\text{SO}_2\text{-(C}_1\text{-C}_4\text{ alkyl)}$ , with the proviso that when  $n_1$  is zero

$\text{R}_{1\text{-heteraryl}}$  is not bonded to the carbon chain by nitrogen, or

5 (VIII)  $-(\text{CH}_2)_{n_1}\text{-(R}_{1\text{-heterocycle})}$  where  $n_1$  is as defined above and

$\text{R}_{1\text{-heterocycle}}$  is selected from the group consisting of:

- 10 morpholinyl,  
thiomorpholinyl,  
thiomorpholinyl S-oxide,  
thiomorpholinyl S,S-dioxide,  
piperazinyl,  
homopiperazinyl,  
pyrrolidinyl,  
pyrrolinyl,  
15 tetrahydropyranyl,  
piperidinyl,  
tetrahydrofuranyl,  
tetrahydrothienyl,  
homopiperidinyl,  
20 homomorpholinyl,  
homothiomorpholinyl,  
homothiomorpholinyl S,S-dioxide, and  
oxazolidinonyl,  
dihydropyrazolyl  
25 dihydropyrrolyl  
dihydropyrazinyl  
dihydropyridinyl  
dihydropyrimidinyl  
dihydrofuryl  
30 dihydropyranyl  
tetrahydrothienyl S-oxide  
tetrahydrothienyl S,S-dioxide  
homothiomorpholinyl S-oxide

where the  $R_{1-heterocycle}$  group is bonded by any atom of the parent  $R_{1-heterocycle}$  group substituted by hydrogen such that the new bond to the  $R_{1-heterocycle}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

(15) =O, with the proviso that when n<sub>1</sub> is zero

R<sub>1</sub>-heterocycle is not bonded to the carbon chain by nitrogen;

where R<sub>2</sub> is:

(I)-H,

5 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1</sub>-aryl or R<sub>1</sub>-heteroaryl where R<sub>1</sub>-aryl and R<sub>1</sub>-heteroaryl are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

15 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

20 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

25 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

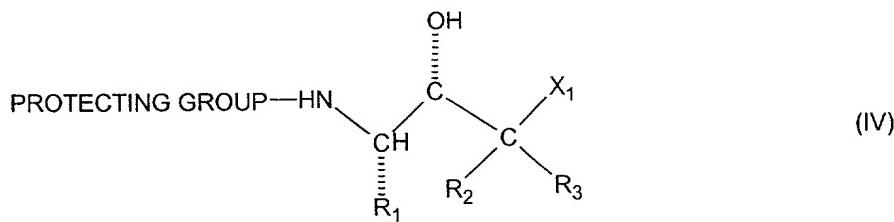
30 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1</sub>-aryl or R<sub>1</sub>-heteroaryl where R<sub>1</sub>-aryl and R<sub>1</sub>-heteroaryl are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

- (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to 5 form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined below;
- where X<sub>1</sub> is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;
- where PROTECTING GROUP is selected from the group consisting of *t*-
- 10 butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-
- 15 bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-
- 20 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,
- 25 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H.
- 30 27. A protected compound of formula (III) according to claim 26 where R<sub>1</sub> is:
- CH<sub>2</sub>-(R<sub>1-aryl</sub>), or
- CH<sub>2</sub>-(R<sub>1-heteroaryl</sub>).

28. A protected compound of formula (III) according to claim 27 where R<sub>1-aryl</sub> is phenyl.
29. A protected compound of formula (III) according to claim 28 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.
30. A protected compound of formula (III) according to claim 29 where phenyl is substituted with one or two -F.
- 10 31. A protected compound of formula (III) according to claim 30 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.
32. A protected compound of formula (III) according to claim 26 where R<sub>2</sub> and R<sub>3</sub> are both -H.
- 15 33. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is *t*-butoxycarbonyl.
34. A protected compound of formula (III) according to claim 26 where PROTECTING GROUP is benzyloxycarbonyl.
35. A protected compound of formula (III) according to claim 26 where X<sub>1</sub> is -Cl or -Br.
- 25 36. A protected compound of formula (III) according to claim 26 which is selected from the group consisting of:  
tert-butyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,  
tert-butyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate,  
benzyl (1S)-3-bromo-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate and  
30 benzyl (1S)-3-chloro-1-(3,5-difluorobenzyl)-2-oxopropylcarbamate.
37. An alcohol of the formula (IV)



where R<sub>1</sub> is:

- (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
  - (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,
  - (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- (C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of
- 5 -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (D) -F, Cl, -Br or -I,
- (E) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,
- 10 (G) -NR<sub>N-2</sub>R<sub>N-3</sub> R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:
- (a) -H,
- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:
- 15 (i) -OH, and
- (ii) -NH<sub>2</sub>,
- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- 20 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
- 25 (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,
- (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and
- (k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,
- 30 (H) -OH,
- (I) -C≡N,
- (J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or  
5 (N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where  
R<sub>1-heteroaryl</sub> is selected from the group consisting of:

- pyridinyl,  
pyrimidinyl,  
10 quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
15 pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
20 phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
25 thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
30 benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,

thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
5 imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
10 beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
15 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
20 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
25 phenoxyazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
30 imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,

6

benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,

- benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
5 triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,  
where the R<sub>1</sub>-heteroaryl group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring  
10 atom of the parent R<sub>1</sub>-heteroaryl group substituted by hydrogen such that the new bond to  
the R<sub>1</sub>-heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is  
optionally substituted with one, two, three, or four:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three  
substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
15 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
above,  
(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  
-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
20 -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  
-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
-H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
25 (4) -F, Cl, -Br or -I,  
(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three  
of: -F,  
(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,  
(8) -OH,  
30 (9) -C≡N,  
(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or  
three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,  
-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or
- (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

5 R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

- morpholinyl,
- thiomorpholinyl,
- 10 thiomorpholinyl S-oxide,
- thiomorpholinyl S,S-dioxide,
- piperazinyl,
- homopiperazinyl,
- pyrrolidinyl,
- 15 pyrrolinyl,
- tetrahydropyranyl,
- piperidinyl,
- tetrahydrofuranyl,
- tetrahydrothienyl,
- 20 homopiperidinyl,
- homomorpholinyl,
- homothiomorpholinyl,
- homothiomorpholinyl S,S-dioxide, and
- oxazolidinonyl,
- 25 dihydropyrazoly
- dihydropyrrolyl
- dihydropyrazinyl
- dihydropyridinyl
- dihydropyrimidinyl
- 30 dihydrafuryl
- dihydropyranyl
- tetrahydrothienyl S-oxide
- tetrahydrothienyl S,S-dioxide
- homothiomorpholinyl S-oxide

where the  $R_{1-heterocycle}$  group is bonded by any atom of the parent  $R_{1-heterocycle}$  group substituted by hydrogen such that the new bond to the  $R_{1-heterocycle}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

(15) =O, with the proviso that when n<sub>1</sub> is zero

R<sub>1</sub>-heterocycle is not bonded to the carbon chain by nitrogen;

where R<sub>2</sub> is:

(I)-H,

5 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

15 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

20 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

25 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

30 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

- (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to
- 5 form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined above;
- where X<sub>1</sub> is -Cl, -Br, -I, -O-tosylate, -O-mesylate, or -O-nosylate;
- where PROTECTING GROUP is selected from the group consisting of *t*-
- 10 butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-
- 15 bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxcarbonyl, 1,1-diphenylprop-1-yloxcarbonyl, 2-phenylprop-2-yloxcarbonyl, 2-(*p*-toluyl)prop-2-yloxcarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-
- 20 methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1- enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,
- 25 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H.
- 30 38. An alcohol of formula (IV) according to claim 37 where R<sub>1</sub> is:  
 $-CH_2-(R_{1-aryl})$ , or  
 $-CH_2-(R_{1-heteroaryl})$ .
39. An alcohol of formula (IV) according to claim 38 where R<sub>1-aryl</sub> is phenyl.

40. An alcohol of formula (IV) according to claim 39 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

5 41. An alcohol of formula (IV) according to claim 40 where phenyl is substituted with one or two -F.

42. An alcohol of formula (IV) according to claim 41 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

10

43. An alcohol of formula (IV) according to claim 37 where R<sub>2</sub> and R<sub>3</sub> are both -H.

44. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is *t*-butoxycarbonyl.

15

45. An alcohol of formula (IV) according to claim 37 where PROTECTING GROUP is benzyloxycarbonyl.

46. An alcohol of formula (IV) according to claim 37 where X<sub>1</sub> is -Cl or -Br.

20

47. An alcohol of formula (IV) according to claim 37 which is selected from the group consisting of:

tert-butyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-

hydroxypropylcarbamate,

25 tert-butyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-

hydroxypropylcarbamate,

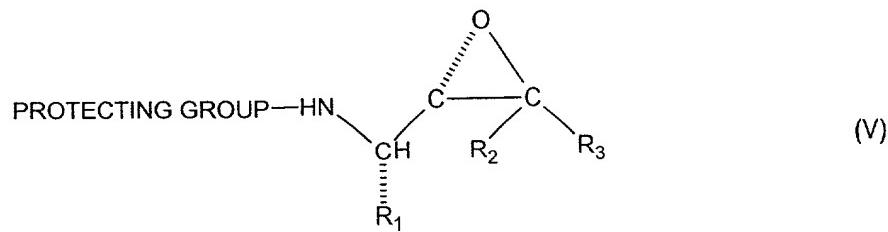
benzyl (1S, 2S)-3-bromo-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

and

benzyl (1S, 2S)-3-chloro-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.

30

48. An epoxide of the formula (V)



where  $R_2$  is:

- (I)-H,
- (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three  
5 substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH,  
-SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
above,
- (III)  $-(CH_2)_{0-4}\text{-}R_{2-1}$  where  $R_{2-1}$  is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
R<sub>1-heteroaryl</sub> are as defined above;
- 10 (IV)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  
-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
H or  $C_1\text{-}C_6$  alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl,
- 15 (V)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted  
with one, two or three substituents selected from the group consisting of -F, -Cl, -OH,  
-SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$   
alkyl, or
- (VI)  $-(CH_2)_{0-4}\text{-}C_3\text{-}C_7$  cycloalkyl, optionally substituted with one, two  
20 or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,  
-CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl;  
where  $R_3$  is:
- (I)-H,
- (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three  
25 substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH,  
-SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
above,
- (III)  $-(CH_2)_{0-4}\text{-}R_{2-1}$  where  $R_{2-1}$  is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
R<sub>1-heteroaryl</sub> are as defined above;

- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or  
(VI) -(CH<sub>2</sub>)<sub>0-4-</sub> C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two  
or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,  
5 -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to  
form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one  
carbon atom is replaced by a heteroatom selected from the group consisting of -O-,  
-S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are  
10 selected from the group consisting of:  
(a) -H,  
(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
substituent selected from the group consisting of:  
15 (i) -OH, and  
(ii) -NH<sub>2</sub>,  
(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
to three -F, -Cl, -Br, or -I,  
(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
20 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double  
bonds,  
(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and  
25 one triple bond,  
(j) -R<sub>1-aryl</sub>, and  
(k) -R<sub>1-heteroaryl</sub>,

where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl,  
30 dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-

cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxy carbonyl, 1,1-diphenylprop-1-yloxy carbonyl, 2-phenylprop-2-yloxy carbonyl, 2-(*p*-toluyl)prop-2-yloxy carbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxazylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,  
10 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H,

where R<sub>1</sub> is:

15 -CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,  
-(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heteroaryl or  
-(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1</sub>-heterocycle.

49. An epoxide of formula (V) according to claim 48 where R<sub>1</sub> is:  
20 -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heteroaryl).

50. An epoxide of formula (V) according to claim 48 where n<sub>1</sub> is 1.  
51. An epoxide of formula (V) according to claim 48 where R<sub>1</sub> is:  
25 -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heterocycle).

52. An epoxide of formula (V) according to claim 51 where n<sub>1</sub> is 1.  
53. An epoxide of formula (V) according to claim 48 where phenyl is substituted in  
30 the 3- and 5- positions giving 3,5-difluorophenyl.  
54. An epoxide of formula (V) according to claim 48 where R<sub>2</sub> and R<sub>3</sub> are both -H.

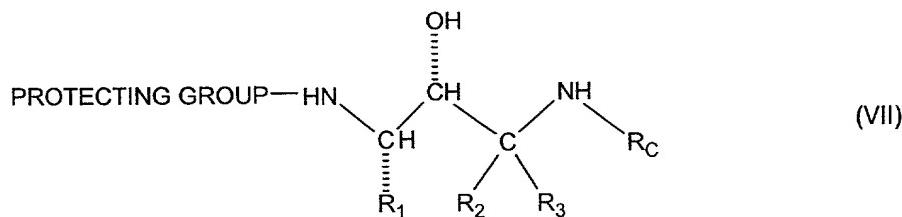
55. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is *t*-butoxycarbonyl.

56. An epoxide of formula (V) according to claim 48 where PROTECTING GROUP is benzyloxycarbonyl.

57. An epoxide of formula (V) according to claim 48 which is selected from the group consisting of:

10           tert-butyl (1*S*)-2-(3,5-difluorophenyl)-1-[(2*S*)-oxiranyl]ethylcarbamate, and  
benzyl (1*S*)-2-(3,5-difluorophenyl)-1-[(2*S*)-oxiranyl]ethylcarbamate.

58. A protected alcohol of the formula (VII)



15           where R<sub>2</sub> is:

- (I)-H,
- (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

30           alkyl, or

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

- 5 (I)-H,  
(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 10 (III)  $-(CH_2)_{0-4}-R_{2-1}$  where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;  
(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or  
(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
15 and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected  
20 from the group consisting of:  
(a) -H,  
(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:  
25 (i) -OH, and  
(ii) -NH<sub>2</sub>,  
(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,  
(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
30 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and  
one triple bond,
- (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined
- 5 above;
- where R<sub>C</sub> is:
- (I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub> R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are
- H,  
20 C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,,  
C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:  
-F,  
-H, -CH<sub>2</sub>-C<sub>1</sub>-C<sub>6</sub> alkyl, -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,  
25 C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,  
phenyl-,  
and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2-</sub> and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;
- (IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,
- (V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VI)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(VII)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (VIII)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(IX)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

10 (X)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XII)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

15 (XIII)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIV)  $-(CR_{C-x}RC_{-y})_{0-4}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

20 (XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

(B)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

30 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6 \text{ alkyl}),$

(F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 5 (G)  $-(C_1-C_4 \text{ alkyl})-R_{C'-\text{aryl}}$ ,
- (H)  $-(C_1-C_4 \text{ alkyl})-R_{C-\text{heteroaryl}}$ ,
- (I)  $-(C_1-C_4 \text{ alkyl})-R_{C-\text{heterocycle}}$ ,
- (J)  $-R_{C-\text{heteroaryl}}$ ,
- (K)  $-R_{C-\text{heterocycle}}$ ,
- 10 (M)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-\text{aryl}}$  where R<sub>C-4</sub> is -O-, -S- or -NR<sub>C-5</sub>- where R<sub>C-5</sub> is  $C_1-C_6$  alkyl,
- (N)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-\text{heteroaryl}}$  where R<sub>C-4</sub> is as defined above, and
- (O)  $-R_{C'-\text{aryl}}$ ,
- 15 and where R<sub>C-3</sub> is the same or different and is:
  - (A) -H,
  - (B)  $-C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 20 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 25 (E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (F)  $-R_{C'-\text{aryl}}$ ,

- (G) -R<sub>C</sub>-heteroaryl,
  - (H) -R<sub>C</sub>-heterocycle,
  - (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub>,
  - (J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C</sub>-heteroaryl, or
  - 5 (K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C</sub>-heterocycle,
  - (XVI) -CH(R<sub>C</sub>-aryl)<sub>2</sub> where R<sub>C</sub>-aryl are the same or different,
  - (XVII) -CH(R<sub>C</sub>-heteroaryl)<sub>2</sub> where R<sub>C</sub>-heteroaryl are the same or different,
  - (XVIII) -CH(R<sub>C</sub>-aryl)(R<sub>C</sub>-heteroaryl),
  - (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C</sub>-aryl or
  - 10 R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - 15 (XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - (XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - 20 (XXI) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C</sub>-aryl and R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,
  - (XXII) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C</sub>-heteroaryl where R<sub>C-6</sub> is as defined above,
  - 25 (XXIII) -CH(-R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl)-CO-O(C<sub>1</sub>-C<sub>4</sub> alkyl),
  - (XXIV) -CH(-CH<sub>2</sub>-OH)-CH(-OH)-phenyl-NO<sub>2</sub>,
  - (XXV) (C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl)-OH,
  - (XXVII) -CH<sub>2</sub>-NH-CH<sub>2</sub>-CH(-O-CH<sub>2</sub>-CH<sub>3</sub>)<sub>2</sub>,
  - 30 (XXVIII) -H, or
  - (XXIX) -(CH<sub>2</sub>)<sub>0-6</sub>-C(=NR<sub>1-a</sub>)(NR<sub>1-a</sub>R<sub>1-b</sub>) where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above;
- or a pharmaceutically acceptable salt thereof.

- where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 5 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxy carbonyl, 1,1-diphenylprop-1-yloxy carbonyl, 2-phenylprop-2-yloxy carbonyl, 2-10 (*p*-toluyl)prop-2-yloxy carbonyl, cyclopentanyloxy carbonyl, 1-methylcyclopentanyloxy carbonyl, cyclohexanyloxy carbonyl, 1-methylcyclohexanyloxy carbonyl, 2-methylcyclohexanyloxy carbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-15 (trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxy carbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxy carbonyl and 1-piperidyloxy carbonyl, 9-fluorenylmethyl carbonate, -20 CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H.

where R<sub>1</sub> is:

- CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,
- (CH<sub>2</sub>)<sub>n1</sub>-R<sub>1-heteroaryl</sub> and
- (CH<sub>2</sub>)<sub>n1</sub>-R<sub>1-heterocycle</sub>, chemically acceptable salts thereof.

25

59. A protected alcohol of formula (VII) according to claim 58 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>).

30

60. A protected alcohol of formula (VII) according to claim 59 where n<sub>1</sub> is 1.

61. A protected alcohol of formula (VII) according to claim 58 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>).

62. A protected alcohol of formula (VII) according to claim 61 where n<sub>1</sub> is 1.

63. A protected alcohol of formula (VII) according to claim 58 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

5 64. A protected alcohol of formula (VII) according to claim 58 where R<sub>2</sub> and R<sub>3</sub> are both -H.

65. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is *t*-butoxycarbonyl.

10

66. A protected alcohol of formula (VII) according to claim 58 where PROTECTING GROUP is benzyloxycarbonyl.

67. A protected alcohol of formula (VII) according to claim 58 where R<sub>C</sub> is:

15           -H,  
         -C<sub>1</sub>-C<sub>8</sub> alkyl,  
         -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,  
         -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl,  
         -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl,  
20           -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heterocycle, or  
         -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle where R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle are as defined in claim 1.

68. A protected alcohol of formula (VII) according to claim 67 where R<sub>C</sub> is:  
25           -C<sub>1</sub>-C<sub>8</sub> alkyl,  
         -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,  
         -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl,  
         -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl,  
         -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heterocycle, or  
30           - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle.

69. A protected alcohol of formula (VII) according to claim 68 where R<sub>C</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>,  
 -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>,  
 - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-</sub>  
 heteroaryl or R<sub>C-heterocycle</sub>.

5

70. A protected alcohol of formula (VII) according to claim 58 which is selected from the group consisting of:

- tert-butyl (1S, 2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,
- 10       tert-butyl (1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-(tert-butylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,
- 15       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(4-methoxyphenyl)ethyl]amino} propylcarbamate  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,  
ethyl ({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)(phenyl)acetate,
- 20       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-phenylethyl]amino} propylcarbamate,
- 25       tert-butyl (1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(2-hydroxyethoxy)ethyl]amino} propylcarbamate,
- 30       tert-butyl (1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropylcarbamate  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propylcarbamate,

- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanylmethyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropylcarbamate,
- 5       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(pentylamino)propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropylcarbamate,
- 10      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propylcarbamate,
- 15      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propylcarbamate
- 20      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propylcarbamate,
- 25      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propylcarbamate,
- 30      tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propylcarbamate,

- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-  
iodobenzyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-  
nitrobenzyl)amino]propylcarbamate,  
5       tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{2-(2-  
10      pyridinyl)ethyl}amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-  
pyridinylmethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{2-(1-methyl-2-  
pyrrolidinyl)ethyl}amino}propylcarbamate,  
15       tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{2-  
(trifluoromethoxy)benzyl}amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-  
20      hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{4-  
(trifluoromethyl)benzyl}amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-  
hydroxypropylcarbamate,  
25       tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{4-  
30      (trifluoromethoxy)benzyl}amino}propylcarbamate,  
tert-butyl (1S,2R)-3-{{4-(aminosulfonyl)benzyl}amino}-1-benzyl-2-  
hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-  
methoxybenzyl)amino]propylcarbamate,

tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propylcarbamate,  
5       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,  
10      tert-butyl (1S,2R)-1-benzyl-3-[(1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-{[3,4-dichlorobenzyl]amino}-2-hydroxypropylcarbamate,  
15      tert-butyl (1S,2R)-1-benzyl-3-{[(4-fluorobenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propylcarbamate,  
20      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propylcarbamate,  
25      tert-butyl (1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl carbamate,  
30      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propylcarbamate,

- tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,
- 5       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1R)-2-hydroxy-1-methylethyl]amino}propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1S)-2-hydroxy-1-methylethyl]amino}propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(2-propynylamino)propylcarbamate,
- 10      tert-butyl (1S,2R)-1-benzyl-3-{{[2-(2-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-{{[2-(3-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-{{[2-(4-fluorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,
- 15      hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-{{[2-(4-bromophenyl)ethyl]amino}-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(3-methoxyphenyl)ethyl]amino}propylcarbamate,
- 20      tert-butyl (1S,2R)-1-benzyl-3-{{[2-(2,4-dichlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-{{[2-(3-chlorophenyl)ethyl]amino}-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-{{[2-(2,6-dimethoxyphenyl)ethyl]amino}-2-hydroxypropylcarbamate,
- 25      hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(4-methylphenyl)ethyl]amino}propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-{{[(1R)-1-benzyl-2-hydroxyethyl]amino}-2-hydroxypropylcarbamate,
- 30      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[3-(4-morpholinyl)propyl]amino}propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropylcarbamate,

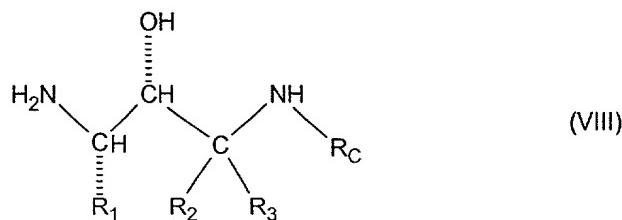
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(4-morpholinyl)ethyl]amino}propylcarbamate},  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-hydroxypropyl)amino]propylcarbamate,  
5       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxybutyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[(1S)-2-hydroxy-1-10 phenylethyl]amino} propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(2,4-dichlorobenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[(1R)-2-hydroxy-1-phenylethyl]amino} propylcarbamate  
15       tert-butyl (1S,2R)-1-benzyl-3-[(3-tert-butylbenzyl)amino]-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-phenylethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[(1R,2S)-2-hydroxy-2,3-dihydro-20 1H-inden-1-yl]amino} propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropylcarbamate,  
methyl 7-{{[(2R,3S)-3-[(tert-butoxycarbonyl)amino]-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}heptanoate,  
25       tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[2-(isobutylamino)-30 1,1-dimethyl-2-oxoethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1S)-1-[(isobutylamino)carbonyl]propyl}amino}propylcarbamate,

tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-[isobutylamino]carbonyl)propyl}amino)propylcarbamate,  
tert-butyl (1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,  
5       tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-(ethylamino)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propylcarbamate,  
10      tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,  
15      tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[isobutylamino]carbonyl)-3-methylbutyl}amino)propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropylcarbamate,  
20      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propylcarbamate,  
25      tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1R)-1-[isobutylamino]carbonyl)-3-methylbutyl}amino)propylcarbamate,  
tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-((1S)-1-[isobutylamino]carbonyl)butyl}amino)propylcarbamate,  
30      tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propylcarbamate,  
tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propylcarbamate,  
tert-butyl (1S,2R)-3-{[2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate,

- tert-butyl (1S,2R)-1-benzyl-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropylcarbamate,
- 5       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propylcarbamate,
- 10      tert-butyl (1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropylcarbamate,
- 15      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propylcarbamate,
- 20      tert-butyl (1S,2R)-1-benzyl-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropylcarbamate,
- dimethyl (1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylate,
- 25      (1R,3S)-5-({(2R,3S)-3-[(tert-butoxycarbonyl)amino]-2-hydroxy-4-phenylbutyl}amino)-1,3-cyclohexanedicarboxylic acid,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1R)-1-phenylpropyl]amino]propylcarbamate,
- 30      tert-butyl (1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propylcarbamate,
- 35      tert-butyl (1S,2R)-1-benzyl-3-{[(1,1'-biphenyl]-3-ylmethyl]amino}-2-hydroxypropylcarbamate,
- 40      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propylcarbamate,
- 45      tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propylcarbamate,

- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylpropyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propylcarbamate,
- 5       tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-thienylmethyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propylcarbamate,
- 10      tert-butyl (1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-3-[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-hydroxypropylcarbamate,
- 15      tert-butyl (1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[3-(trifluoromethyl)benzyl]amino}propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-[(2-furylmethyl)amino]-2-
- 20      hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[3-(trifluoromethoxy)benzyl]amino}propylcarbamate,
- 25      tert-butyl (1S,2R)-1-benzyl-3-[(3-fluorobenzyl)amino]-2-hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-3-[(3-bromobenzyl)amino]-2-
- 30      hydroxypropylcarbamate,
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-{{[(5-methyl-2-furyl)methyl]amino}propylcarbamate, and
- tert-butyl (1S,2R)-1-benzyl-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propylcarbamate.

## 71. An amine of the formula (VIII)



- 5 where  $R_2$  is:
- (I)-H,
  - (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;
  - (IV)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl,
  - (V)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl,
  - 20 alkyl, or
  - (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl;
- where  $R_3$  is:
- 25 (I)-H,
- (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III)  $-(CH_2)_{0-4}R_{2-1}$  where  $R_{2-1}$  is  $R_{1-aryl}$  or  $R_{1-heteroaryl}$  where  $R_{1-aryl}$  and  $R_{1-heteroaryl}$  are as defined above;

(IV)  $C_2-C_6$  alkenyl with one or two double bonds,

(V)  $C_2-C_6$  alkynyl with one or two triple bonds, or

5 (VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,

(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

10 15 substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

to three -F, -Cl, -Br, or -I,

20 (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

25 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

30 above;

where R<sub>C</sub> is:

(I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

- SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub>R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub>
- 5 NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 10 (III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are -H,  
C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,,  
C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:  
-F,
- 15 -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,  
C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,  
phenyl-,  
and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms,  
optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;
- (IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub> and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,
- 20 (V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,
- (VI) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,
- 25 (VII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,
- 30 (VIII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,
- (IX) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heterocycle</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(X)  $-(CR_{C-x}RC_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}RC_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (XII)  $-(CR_{C-x}RC_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIII)  $-(CR_{C-x}RC_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

10 (XIV)  $-(CR_{C-x}RC_{C-y})_{0-4}-R_{C-heterocycle}$  where,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

15 (B)  $-C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$  alkyl),

30 (F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(G)  $-(C_1-C_4$  alkyl)- $R_{C-aryl}$ ,

(H)  $-(C_1-C_4$  alkyl)- $R_{C-heteroaryl}$ ,

(I)  $-(C_1-C_4$  alkyl)- $R_{C-heterocycle}$ ,

- (J) -R<sub>C</sub>-heteroaryl,
  - (K) -R<sub>C</sub>-heterocycle,
  - (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C'-aryl</sub> where R<sub>C-4</sub> is -O-, -S- or -NR<sub>C-5</sub>- where R<sub>C-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>C'-aryl</sub> is as defined above,
  - 5 (N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-4</sub> is as defined above, and
  - (O) -R<sub>C'-aryl</sub>,
- and where R<sub>C-3</sub> is the same or different and is:
- (A) -H,
  - 10 (B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - 15 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - (E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - 20 (F) -R<sub>C'-aryl</sub>,
  - (G) -R<sub>C-heteroaryl</sub>,
  - (H) -R<sub>C-heterocycle</sub>,
  - (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub>,
  - 25 (J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub>, or
  - (K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub>,
  - (XVI) -CH(R<sub>C-aryl</sub>)<sub>2</sub> where R<sub>C-aryl</sub> are the same or different,
  - (XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different,

- (XVIII) -CH(R<sub>C-aryl</sub>)(R<sub>C-heteroaryl</sub>),
- (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl,
- 5     cyclohexyl, or
- cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of
- 10    C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and
- 15    -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (XXII) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is as defined above and R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,
- (XXIII) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-6</sub> is as defined above,
- 20    (XXIII) -CH(-R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub>)-CO-O(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (XXIV) -CH(-CH<sub>2</sub>-OH)-CH(-OH)-phenyl-NO<sub>2</sub>,
- (XXV) (C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl)-OH,
- (XXVI) -CH<sub>2</sub>-NH-CH<sub>2</sub>-CH(-O-CH<sub>2</sub>-CH<sub>3</sub>)<sub>2</sub>,
- (XXVII) -H, or
- 25    (XXIX) -(CH<sub>2</sub>)<sub>0-6</sub>-C(=NR<sub>1-a</sub>)(NR<sub>1-a</sub>R<sub>1-b</sub>) where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above; and
- where R<sub>1</sub> is:
- CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,
- CH<sub>2</sub>-R<sub>1-heteroaryl</sub> or
- 30    -(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1-heterocycle</sub>, and chemically acceptable salts thereof.

72. An amine of formula (VIII) according to claim 71 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>).

73. An amine of formula (VIII) according to claim 72 where  $n_1$  is 1.

74. An amine of formula (VIII) according to claim 71 where  $R_1$  is:

$-(CH_2)_{n_1}-(R_1\text{-heterocycle})$ .

5

75. An amine of formula (VIII) according to claim 74 where  $n_1$  is 1.

76. An amine of formula (VIII) according to claim 71 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

10

77. An amine of formula (VIII) according to claim 71 where  $R_2$  and  $R_3$  are both -H.

78. An amine of formula (VIII) according to claim 71 where  $R_C$  is:

-H,

15

$-C_1\text{-}C_8$  alkyl,

$-(CH_2)_{0\text{-}3}\text{-(}C_3\text{-}C_7\text{)}\text{ cycloalkyl}$ ,

$-(CR_{C-x}RC_{-y})_{0\text{-}4}\text{-}R_{C\text{-aryl}}$ ,

$-(CR_{C-x}RC_{-y})_{0\text{-}4}\text{-}R_{C\text{-heteroaryl}}$ ,

$-(CR_{C-x}RC_{-y})_{0\text{-}4}\text{-}R_{C\text{-heterocycle}}$ , or

20

$-cyclopentyl$ ,  $-cyclohexyl$ , or  $-cycloheptyl$  ring fused to  $R_{C\text{-aryl}}$  or  $R_{C\text{-}}$

heteroaryl or  $R_{C\text{-heterocycle}}$ .

79. An amine of formula (VIII) according to claim 78 where  $R_C$  is:

$-C_1\text{-}C_8$  alkyl,

25

$-(CH_2)_{0\text{-}3}\text{-(}C_3\text{-}C_7\text{)}\text{ cycloalkyl}$ ,

$-(CR_{C-x}RC_{-y})_{0\text{-}4}\text{-}R_{C\text{-aryl}}$ ,

$-(CR_{C-x}RC_{-y})_{0\text{-}4}\text{-}R_{C\text{-heteroaryl}}$ ,

$-(CR_{C-x}RC_{-y})_{0\text{-}4}\text{-}R_{C\text{-heterocycle}}$ , or

30

$-cyclopentyl$ ,  $-cyclohexyl$ , or  $-cycloheptyl$  ring fused to  $R_{C\text{-aryl}}$  or  $R_{C\text{-}}$

heteroaryl or  $R_{C\text{-heterocycle}}$ .

80. An amine of formula (VIII) according to claim 79 where  $R_C$  is:

$-C_1\text{-}C_8$  alkyl,

$-(CR_{C-x}RC_{-y})_{0\text{-}4}\text{-}R_{C\text{-aryl}}$ ,

$-(CR_{C-x}R_{C-y})_{0-4}R_{C-heteraryl}$ , or  
 - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to  $R_{C-aryl}$  or  $R_{C-heteraryl}$  OR  $R_{C-heterocycle}$ .

- 5 81. An amine of formula (VIII) according to claim 71 which is selected from the group consisting of:

- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,
- (2R,3S)-3-amino-1-(ethylamino)-4-phenyl-2-butanol,
- 10 (2R,3S)-3-amino-1-(benzylamino)-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-(isopropylamino)-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-{[2-(4-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-[(3-methoxybenzyl)amino]-4-phenyl-2-butanol,
- 15 ethyl {[ (2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino} (phenyl)acetate,
- (2R,3S)-3-amino-4-phenyl-1-[(2-phenylethyl)amino]-2-butanol,
- (2S)-2-{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-(4-nitrophenyl)-1,3-propanediol,
- (2R,3S)-3-amino-1-[(2-chlorobenzyl)amino]-4-phenyl-2-butanol,
- 20 (2R,3S)-3-amino-1-[(4-chlorobenzyl)amino]-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-{[2-(2-hydroxyethoxy)ethyl]amino}-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-(2,3-dihydro-1H-inden-1-ylamino)-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-[(2-hydroxypropyl)amino]-4-phenyl-2-butanol,
- (2R,3S)-3-amino-4-phenyl-1-[(tetrahydro-2-furanyl methyl)amino]-2-butanol,
- 25 (2R,3S)-3-amino-1-[(2,2-diethoxyethyl)amino]-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-(butylamino)-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-(cyclohexylamino)-4-phenyl-2-butanol,
- (2R,3S)-3-amino-4-phenyl-1-[(2-pyridinylmethyl)amino]-2-butanol,
- (2R,3S)-3-amino-1-[(2-aminobenzyl)amino]-4-phenyl-2-butanol,
- 30 (2R,3S)-3-amino-4-phenyl-1-[(3-pyridinylmethyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-phenyl-1-{[2-(1-pyrrolidinyl)ethyl]amino}-2-butanol,
- (2R,3S)-3-amino-1-[(2-hydroxy-2-phenylethyl)amino]-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-[(3-butoxypropyl)amino]-4-phenyl-2-butanol,
- (2R,3S)-3-amino-1-[(3-isopropoxypropyl)amino]-4-phenyl-2-butanol,

(2R,3S)-3-amino-1-(isopentylamino)-4-phenyl-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-[(3-phenylpropyl)amino]-2-butanol,  
(2R,3S)-3-amino-1-[(2-methoxyethyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(2-phenoxyethyl)amino]-4-phenyl-2-butanol,  
5 (2R,3S)-3-amino-4-phenyl-1-[(2-propoxymethyl)amino]-2-butanol,  
(2R,3S)-3-amino-1-[(3,3-dimethylbutyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-[(4-phenylbutyl)amino]-2-butanol,  
(2R,3S)-3-amino-1-[(3-iodobenzyl)amino]-4-phenyl-2-butanol,  
10 (2R,3S)-3-amino-1-[(4-nitrobenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[2-(4-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-{{[2-(2-pyridinyl)ethyl]amino}-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-[(4-pyridinylmethyl)amino]-2-butanol,  
15 (2R,3S)-3-amino-1-{{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}-4-phenyl-2-  
butanol,  
(2R,3S)-3-amino-1-[(2,3-dimethylbenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-{{[2-(trifluoromethoxy)benzyl]amino}-2-butanol,  
(2R,3S)-3-amino-1-[(2-chloro-6-phenoxybenzyl)amino]-4-phenyl-2-butanol,  
20 (2R,3S)-3-amino-4-phenyl-1-{{[4-(trifluoromethyl)benzyl]amino}-2-butanol,  
(2R,3S)-3-amino-1-[(2,3-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(3,5-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-phenyl-2-butanol,  
25 (2R,3S)-3-amino-4-phenyl-1-{{[4-(trifluoromethoxy)benzyl]amino}-2-butanol,  
4-({[(2R,3S)-3-amino-2-hydroxy-4-  
phenylbutyl]amino} methyl)benzenesulfonamide,  
(2R,3S)-3-amino-1-[(4-methoxybenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(4-methylbenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-[(3,4,5-trimethoxybenzyl)amino]-2-butanol,  
30 (2R,3S)-3-amino-4-phenyl-1-{{[3-(trifluoromethoxy)benzyl]amino}-2-butanol,  
(2R,3S)-3-amino-1-[(3,5-dimethoxybenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(2,4-dimethoxybenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(1,1'-biphenyl]-3-ylmethyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-[(3,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
35 (2R,3S)-3-amino-1-[(2-fluorobenzyl)amino]-4-phenyl-2-butanol,

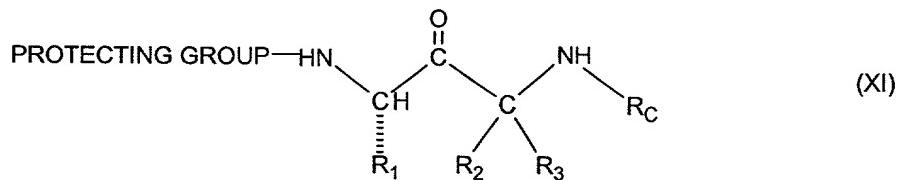
- (2R,3S)-3-amino-4-phenyl-1-{{[3-(trifluoromethyl)benzyl]amino}-2-butanol,  
(2R,3S)-3-amino-1-[(2-methylbenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-{{[(1R)-1-phenylethyl]amino}-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-{{[(1S)-1-phenylethyl]amino}-2-butanol,  
5 (2R,3S)-3-amino-1-{{[3,5-bis(trifluoromethyl)benzyl]amino}-4-phenyl-2-  
butanol,  
(2R,3S)-3-amino-4-phenyl-1-{{[2-(trifluoromethyl)benzyl]amino}-2-butanol,  
(2R,3S)-3-amino-1-{{[(1S)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[(1R)-1-(1-naphthyl)ethyl]amino}-4-phenyl-2-butanol,  
10 4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-2-  
methoxyphenol,  
4-({[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}methyl)-1,2-  
benzenediol,  
(2R,3S)-3-amino-1-[(3-methoxypropyl)amino]-4-phenyl-2-butanol,  
15 (2R,3S)-3-amino-1-{{[(1R)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-  
butanol,  
(2R,3S)-3-amino-1-{{[(1S)-2-hydroxy-1-methylethyl]amino}-4-phenyl-2-  
butanol,  
(2R,3S)-3-amino-4-phenyl-1-(2-propynylamino)-2-butanol,  
20 (2R,3S)-3-amino-1-{{[2-(2-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[2-(3-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[2-(4-fluorophenyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[2-(4-bromophenyl)ethyl]amino}-4-phenyl-2-butanol,  
25 (2R,3S)-3-amino-1-{{[2-(3-methoxyphenyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[2-(2,4-dichlorophenyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[2-(3-chlorophenyl)ethyl]amino}-4-phenyl-2-butanol,  
30 (2R,3S)-3-amino-1-{{[2-(2,5-dimethoxyphenyl)ethyl]amino}-4-phenyl-2-  
butanol,  
(2R,3S)-3-amino-1-{{[2-(4-methylphenyl)ethyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[(1R)-1-benzyl-2-hydroxyethyl]amino}-4-phenyl-2-  
butanol,  
(2R,3S)-3-amino-1-{{[3-(4-morpholinyl)propyl]amino}-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-(isobutylamino)-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[2-(4-morpholinyl)ethyl]amino}-4-phenyl-2-butanol,

- (2R,3S)-3-amino-4-phenyl-1-[(2-hydroxybutyl)amino]-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-{{[2-(2-thienyl)ethyl]amino}-2-butanol,  
4-{{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-1-butanol,  
(2R,3S)-3-amino-1-{{[(1S)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-  
5 butanol,  
(2R,3S)-3-amino-1-[(2,4-dichlorobenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-1-{{[(1R)-2-hydroxy-1-phenylethyl]amino}-4-phenyl-2-  
10 butanol,  
(2R,3S)-3-amino-1-[(4-tert-butylbenzyl)amino]-4-phenyl-2-butanol,  
(2R,3S)-3-amino-4-phenyl-1-[(1-phenylethyl)amino]-2-butanol,  
(1R,2S)-1-{{[(2R,3S)-3-amino-2-hydroxy-4-phenylbutyl]amino}-2,3-dihydro-  
1H-inden-2-ol,  
(2R,3S)-3-amino-1-[(3,4-dimethylbenzyl)amino]-4-phenyl-2-butanol,  
methyl 7-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-  
15 hydroxybutyl]amino}heptanoate,  
2-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-  
isobutylpropanamide,  
(2S)-2-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-  
isobutylpropanamide,  
20 2-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-  
isobutyl-2-methylpropanamide,  
2-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-  
isobutylacetamide,  
(2S)-2-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-  
25 isobutylbutanamide,  
(2R)-2-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-  
isobutylbutanamide,  
(2R,3S)-3-amino-1-(benzylamino)-4-(3,5-difluorophenyl)-2-butanol,  
(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(ethylamino)-2-butanol,  
30 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isobutylamino)-2-butanol,  
3-{{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-  
isobutyl-2-methylpropanamide,  
(2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{{[4-  
35 (dimethylamino)benzyl]amino}-2-butanol ,

- (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-phenylpropanamide,
- (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,
- 5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[2-(dimethylamino)ethyl]amino}-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-pyridinylmethyl)amino]-2-butanol,
- (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-10 (benzyloxy)-N-isobutylpropanamide,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1-methyl-1-phenylethyl)amino]-2-butanol,
- (2R)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-3-methylbutanamide,
- 15 (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutylpentanamide,
- (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-3-hydroxy-N-isobutylpropanamide,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylethyl)amino]-2-butanol,
- 20 (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-benzylpropanamide,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1S)-1-phenylpropyl]amino}-2-butanol,
- (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-25 ethylpropanamide,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,
- (2S)-2-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-N-isobutyl-2-phenylethanamide,
- 30 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-(isopentylamino)-2-butanol,
- (2R,3S)-3-amino-1-(cyclohexylamino)-4-(3,5-difluorophenyl)-2-butanol,
- (2R,3S)-3-amino-1-(butylamino)-4-(3,5-difluorophenyl)-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxypropyl)amino]-2-butanol,

- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-hydroxy-2-phenylethyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-butanol,
- 5 dimethyl (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,
- (1R,3S)-5-{[(2R,3S)-3-amino-4-(3,5-difluorophenyl)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[(1R)-1-phenylpropyl]amino}-2-butanol,
- 10 (2R,3S)-3-amino-1-[(3-chlorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methoxybenzyl)amino]-2-butanol,
- 15 (2R,3S)-3-amino-1-[(1,1'-biphenyl)-3-ylmethyl]amino]-4-(3,5-difluorophenyl)-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-iodobenzyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-methylbenzyl)amino]-2-butanol,
- 20 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-phenylpropyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(1,3-thiazol-5-ylmethyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-thienylmethyl)amino]-2-butanol,
- 25 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-pyrazinylmethyl)amino]-2-butanol,
- 30 (2R,3S)-3-amino-1-[(3,5-difluorobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,
- (2R,3S)-3-amino-1-[(1,3-benzodioxol-5-ylmethyl)amino]-4-(3,5-difluorophenyl)-2-butanol,

- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3,5-dimethoxybenzyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethyl)benzyl]amino}-2-butanol,
- 5 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(2-furylmethyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-{[3-(trifluoromethoxy)benzyl]amino}-2-butanol ,
- 10 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-fluorobenzyl)amino]-2-butanol,
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(3-isopropoxybenzyl)amino]-2-butanol,
- (2R,3S)-3-amino-1-[(3-bromobenzyl)amino]-4-(3,5-difluorophenyl)-2-butanol,
- 15 (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methyl-2-furylmethyl)amino]-2-butanol, and
- (2R,3S)-3-amino-4-(3,5-difluorophenyl)-1-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]-2-butanol.
- 20 82. A protected ketone of formula (XI)



- where  $R_2$  is:
- (I)-H,
- 25 (II)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;

- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 5 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or
- 10 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl; where R<sub>3</sub> is:
- (I)-H,
- 15 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1</sub>-aryl or R<sub>1</sub>-heteroaryl;
- 20 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or
- (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 25 and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:
- 30 (a) -H,
- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:
- (i) -OH, and

- (ii) -NH<sub>2</sub>,
- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- 5 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- 10 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,
- (j) -R<sub>1</sub>-aryl where R<sub>1</sub>-aryl is as defined above, and
- (k) -R<sub>1</sub>-heteroaryl where R<sub>1</sub>-heteroaryl is as defined above;
- 15 where R<sub>C</sub> is:
- (I)-C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub>
- 20 R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub> NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of
- 25 C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl where R<sub>C-x</sub> and R<sub>C-y</sub> are
- H,
- C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,
- 30 C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:
- F,
- (CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,
- C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

phenyl-,

and where  $R_{C-x}$  and  $R_{C-y}$  are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2-</sub>;

5 (IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteraryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

10 (V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

15 (VI) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heteraryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteraryl</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

15 (VIII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteraryl</sub>-R<sub>C-heteraryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(IX) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heterocycle</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(X) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteraryl</sub>-R<sub>C-heterocycle</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

20 (XI) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heterocycle</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(XII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heterocycle</sub>-R<sub>C-heteraryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

25 (XIII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heterocycle</sub>-R<sub>C-heterocycle</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(XIV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heterocycle</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(XV) -[C(R<sub>C-1</sub>)(R<sub>C-2</sub>)]<sub>1-3</sub>-CO-N-(R<sub>C-3</sub>)<sub>2</sub> where R<sub>C-1</sub> and R<sub>C-2</sub> are the same or different and are selected from the group consisting of:

30 (A) -H,

(B) -C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 5 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (E) -(CH<sub>2</sub>)<sub>1-2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- 10 (F) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (G) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub>,
- 15 (H) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub>,
- (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub>,
- (J) -R<sub>C-heteroaryl</sub>,
- (K) -R<sub>C-heterocycle</sub>,
- (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C'-aryl</sub> where R<sub>C-4</sub> is -O-, -S- or  
20 -NR<sub>C-5-</sub> where R<sub>C-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl,
- (N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-4</sub> is as defined above, and
- (O) -R<sub>C'-aryl</sub>,
- and where R<sub>C-3</sub> is the same or different and is:
- 25 (A) -H,
- (B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 30 (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (F) -R<sub>C'-aryl</sub>,
- (G) -R<sub>C-heteroaryl</sub>,
- (H) -R<sub>C-heterocycle</sub>,
- (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub>,
- (J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heteroaryl</sub>, or
- (K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub>,
- (XVI) -CH(R<sub>C-aryl</sub>)<sub>2</sub> where R<sub>C-aryl</sub> are the same or different,
- (XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different,
- (XVIII) -CH(R<sub>C-aryl</sub>)(R<sub>C-heteroaryl</sub>),
- (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (XXI) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-aryl</sub> R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,

(XXII)  $-(CH_2)_{0-1}-CHR_{C-6}-(CH_2)_{0-1}-R_{C-heteraryl}$  and  $R_{C-6}$  is as defined above,

(XXIII)  $-CH(-R_{C-aryl}$  or  $R_{C-heteraryl})-CO-O(C_1-C_4$  alkyl),

(XXIV)  $-CH(-CH_2-OH)-CH(-OH)-phenyl-NO_2$ ,

5 (XXV)  $(C_1-C_6$  alkyl)-O-( $C_1-C_6$  alkyl)-OH,

(XXVII)  $-CH_2-NH-CH_2-CH(-O-CH_2-CH_3)_2$ ,

(XXVIII) -H, or

(XXIX)  $-(CH_2)_{0-6}-C(=NR_{1-a})(NR_{1-a}R_{1-b})$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above; and

10 where  $R_1$  is:

$-CH_2$ -phenyl where -phenyl is substituted with two -F,

$-(CH_2)_{n1}-R_{1-heteraryl}$ , or

$-(CH_2)_{n1}-R_{1-heterocycle}$ ,

where PROTECTING GROUP is selected from the group consisting of *t*-

- 15 butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-
- 20 bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxy carbonyl, 1,1-diphenylprop-1-yloxy carbonyl, 2-phenylprop-2-yloxy carbonyl, 2-(*p*-toluyl)prop-2-yloxy carbonyl, cyclopentanyloxy carbonyl, 1-methylcyclopentanyloxy carbonyl, cyclohexanyloxy carbonyl, 1-
- 25 methylcyclohexanyloxy carbonyl, 2-methylcyclohexanyloxy carbonyl, 2-(4-tolylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxy carbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl,
- 30 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H.

83. A protected ketone of formula (XI) according to claim 82 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heteroaryl).

84. A protected ketone of formula (XI) according to claim 83 where n<sub>1</sub> is 1.

5

85. A protected ketone of formula (XI) according to claim 82 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heterocycle).

86. A protected ketone of formula (XI) according to claim 85 where n<sub>1</sub> is 1.

10

87. A protected ketone of formula (XI) according to claim 82 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

15

88. A protected ketone of formula (XI) according to claim 82 where R<sub>2</sub> and R<sub>3</sub> are both -H.

89. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is *t*-butoxycarbonyl.

20

90. A protected ketone of formula (XI) according to claim 82 where PROTECTING GROUP is benzyloxycarbonyl.

91. A protected ketone of formula (XI) according to claim 82 where R<sub>C</sub> is:

-H,

25

-C<sub>1</sub>-C<sub>8</sub> alkyl,

-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl,

-(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heterocycle, or

30

-cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C</sub>-aryl or R<sub>C</sub>-

heteroaryl or R<sub>C</sub>-heterocycle where R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle are as defined above.

92. A protected ketone of formula (XI) according to claim 91 where R<sub>C</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,

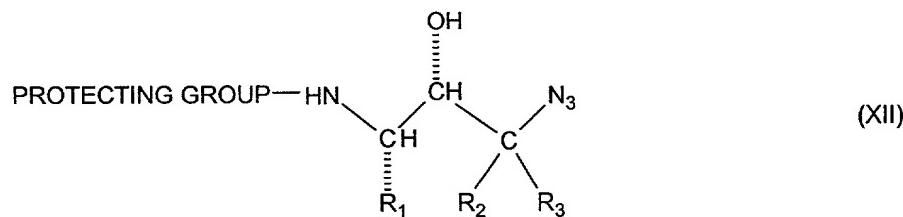
-(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,  
 -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl,  
 -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl,  
 -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heterocycle, or  
 5 - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle.

93. A protected ketone of formula (XI) according to claim 92 where R<sub>C</sub> is:

-C<sub>1</sub>-C<sub>8</sub> alkyl,  
 10 -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl,  
 -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl,  
 - cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle.

15 94. A protected ketone of formula (XI) according to claim 82 which is *tert*-butyl (1S)-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)amino]-2-oxopropylcarbamate.

95. A protected azide of formula (XII)



20

where R<sub>1</sub> is:

(I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
 25 (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
 (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

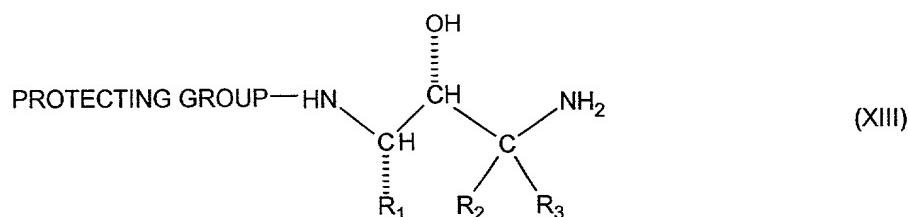
30

103. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is benzyloxycarbonyl.

104. A protected azide of formula (XII) according to claim 95 which is:

- 5      tert-Butyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate, or  
benzyl-(1S, 2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate

105. A protected amine of formula (XIII)



10

where R<sub>2</sub> is:

- (I)-H,  
 15      (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;  
 20      (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or  
 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

- (I)-H,
- (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or
- (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:
  - (a) -H,
  - (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:
    - (i) -OH, and
    - (ii) -NH<sub>2</sub>,
    - (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
    - (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
    - (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
    - (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
    - (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
    - (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
    - (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,
    - (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined

above;

- where PROTECTING GROUP is selected from the group consisting of  
*t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl,  
 5 dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-10 cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxy carbonyl, 1,1-diphenylprop-1-yloxy carbonyl, 2-phenylprop-2-yloxy carbonyl, 2-(*p*-toluyl)prop-2-yloxy carbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-tolylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,  
 15 20 cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H; and

where R<sub>1</sub> is:

- CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,  
 25 -(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1-heteroaryl</sub>,  
 -(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1-heterocycle</sub>.

106. A protected amine of formula (XIII) according to claim 105 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>).

30

107. A protected amine of formula (XIII) according to claim 106 where n<sub>1</sub> is 1.

108. A protected amine of formula (XIII) according to claim 105 where R<sub>1</sub> is:

-(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>).

109. A protected amine of formula (XIII) according to claim 108 where  $n_1$  is 1.
110. A protected amine of formula (XIII) according to claim 105 where phenyl is  
5 substituted in the 3- and 5- positions giving 3,5-difluorophenyl.
111. A protected amine of formula (XIII) according to claim 105 where  $R_2$  and  $R_3$  are both -H.
- 10 112. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is *t*-butoxycarbonyl.
113. A protected amine of formula (XIII) according to claim 105 where PROTECTING GROUP is benzyloxycarbonyl.
- 15 114. A protected amine of formula (XIII) according to claim 105 which is *tert*-butyl (1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropylcarbamate.
- 20 115. An unprotected azide of formula (XIV)
- $$\begin{array}{c} \text{NH}_2 \\ | \\ \text{CH} \\ | \\ \text{R}_1 \\ \text{C} \\ | \\ \text{CH}(\text{OH}) \\ | \\ \text{C}(\text{N}_3)(\text{R}_2) \\ | \\ \text{R}_3 \end{array}$$

(XIV)
- where  $R_1$  is:
- 25 (I)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $C_1-C_7$  alkyl (optionally substituted with  $C_1-C_3$  alkyl and  $C_1-C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where
- 30 R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1-C_6$  alkyl),

- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -
- 5 H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 10 (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
- (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three
- 15 substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,
- (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -
- 20 H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -
- 25 H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (D) -F, Cl, -Br or -I,
- (F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,
- (G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different
- 30 and are selected from the group consisting of:
- (a) -H,
- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

- (i) -OH, and
- (ii) -NH<sub>2</sub>,
- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
- 5 (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- 10 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,
- (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and
- (k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined
- 15 above,
- (H) -OH,
- (I) -C≡N,
- (J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,
- 20 -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or
- (N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- 25 (VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:
- pyridinyl,
- pyrimidinyl,
- quinolinyl,
- 30 benzothienyl,
- indolyl,
- indolinyl,
- pyridazinyl,
- pyrazinyl,

isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyt,  
5 phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
10 thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
15 benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
20 thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
25 isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
30 isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,

isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
5                   benzotetrahydrofuranyl,  
                  benzotetrahydrothienyl,  
                  purinyl,  
                  benzodioxolyl,  
                  triazinyl,  
10                phenoxyazinyl,  
                  phenothiazinyl,  
                  pteridinyl,  
                  benzothiazolyl,  
                  imidazopyridinyl,  
15                imidazothiazolyl,  
                  dihydrobenzisoxazinyl,  
                  benzisoxazinyl,  
                  benzoxazinyl,  
                  dihydrobenzisothiazinyl,  
20                benzopyranyl,  
                  benzothiopyranyl,  
                  coumarinyl,  
                  isocoumarinyl,  
                  chromonyl,  
25                chromanonyl, and  
                  pyridinyl-N-oxide  
                  tetrahydroquinolinyl  
                  dihydroquinolinyl  
                  dihydroquinolinonyl  
                  dihydroisoquinolinonyl  
30                dihydrocoumarinyl  
                  dihydroisocoumarinyl  
                  isoindolinonyl  
                  benzodioxanyl

benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
5 pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
10 quinazolinyl N-oxide,  
quinoxaliny N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
15 oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
20 benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
25 tetrazolyl N-oxide,  
benzothiopyranyl S-oxide, and  
benzothiopyranyl S,S-dioxide,  
where the R<sub>1</sub>-heteroaryl group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring  
atom of the parent R<sub>1</sub>-heteroaryl group substituted by hydrogen such that the new bond to  
30 the R<sub>1</sub>-heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is  
optionally substituted with one, two, three, or four:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three  
substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:

  - morpholinyl,
  - thiomorpholinyl,
  - thiomorpholinyl S-oxide,
  - thiomorpholinyl S,S-dioxide,
  - piperazinyl,
  - homopiperazinyl,
  - pyrrolidinyl,

pyrrolinyl,  
tetrahydropyranyl,  
piperidinyl,  
tetrahydrofuranyl,  
5 tetrahydrothienyl,  
homopiperidinyl,  
homomorpholinyl,  
homothiomorpholinyl,  
homothiomorpholinyl S,S-dioxide,  
10 oxazolidinonyl,  
dihydropyrazolyl,  
dihydropyrrolyl,  
dihydropyrazinyl,  
dihydropyridinyl,  
15 dihydropyrimidinyl,  
dihydrofuryl,  
dihydropyrananyl,  
tetrahydrothienyl S-oxide,  
tetrahydrothienyl S,S-dioxide, and  
20 homothiomorpholinyl S-oxide  
where the R<sub>1</sub>-heterocycle group is bonded by any atom of the  
parent R<sub>1</sub>-heterocycle group substituted by hydrogen such that the  
new bond to the R<sub>1</sub>-heterocycle group replaces the hydrogen atom  
and its bond, where heterocycle is optionally substituted with  
one, two, three, or four:  
25 (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or  
three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,  
-OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as  
defined above,  
30 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
optionally substituted with one, two or three substituents selected from the group  
consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 5 (4) -F, Cl, -Br or -I,  
 (5) C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two,  
 or three of -F,  
 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined  
 10 below,
- (8) -OH,  
 (9) -C≡N,  
 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one,  
 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  
 15 -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 20 above,  
 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or  
 (15) =O, with the proviso that when n<sub>1</sub> is zero  
 R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;  
 where R<sub>2</sub> is:
- 25 (I)-H,  
 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three  
 substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 30 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;  
 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  
 -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -

H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

10 where R<sub>3</sub> is:

(I)-H,

(II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> ;  
(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or  
(VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined above;

20 where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 30 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl, 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-

yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-(*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-tolylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-(triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl,  
10 cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N-)-H.

116. An unprotected azide of formula (XIV) according to claim 115 where R<sub>1</sub> is:

15 -CH<sub>2</sub>-(R<sub>1</sub>-aryl), or  
-CH<sub>2</sub>-(R<sub>1</sub>-heteroaryl).

117. An unprotected azide of formula (XIV) according to claim 116 where R<sub>1</sub>-aryl is phenyl.

20 118. An unprotected azide of formula (XIV) according to claim 117 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.

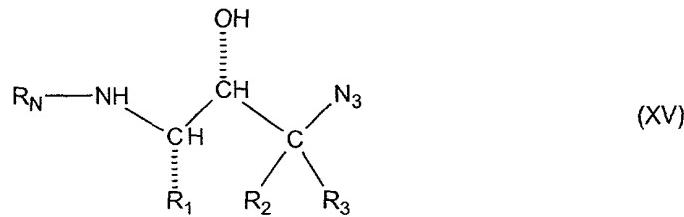
119. An unprotected azide of formula (XIV) according to claim 118 where phenyl is  
25 substituted with one or two -F.

120. An unprotected azide of formula (XIV) according to claim 119 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

30 121. An unprotected azide of formula (XIV) according to claim 1115 where R<sub>2</sub> and R<sub>3</sub> are both -H.

122. An unprotected azide of formula (XIV) according to claim 115 which is (2*R*, 3*S*)-3-amino-1-azido-4-(3,5-difluorophenyl)-2-butanol.

## 123. An azide of formula (XV)



5

where R<sub>1</sub> is:

- (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH,
- 10 (II) -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- 15 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 20 (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl
- 25 optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
  - (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,
- 30

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

5 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

10 (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:

15 (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,

20 (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

25 (D) -F, Cl, -Br or -I,

(E) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

(F) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(G) -OH,

30 (H) -C≡N,

(I) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or  
(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

5 (VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

- pyridinyl,
- pyrimidinyl,
- quinolinyl,
- 10 benzothienyl,
- indolyl,
- indolinyl,
- pyridazinyl,
- pyrazinyl,
- 15 isoindolyl,
- isoquinolyl,
- quinazolinyl,
- quinoxalinyl,
- phthalazinyl,
- 20 imidazolyl,
- isoxazolyl,
- pyrazolyl,
- oxazolyl,
- thiazolyl,
- 25 indolizinyl,
- indazolyl,
- benzothiazolyl,
- benzimidazolyl,
- benzofuranyl,
- 30 furanyl,
- thienyl,
- pyrrolyl,
- oxadiazolyl,
- thiadiazolyl,

triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
5                   isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
10                 isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
15                 isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
20                 benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxazinyl,  
25                 phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
30                 dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,

benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzdioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,

pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,  
where the R<sub>1</sub>-heteroaryl group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring  
-heteroaryl group substituted by hydrogen such that the new bond to  
replaces the hydrogen atom and its bond, where heteroaryl is  
with one, two, three, or four:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three  
from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
  
(2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
two or three substituents selected from the group consisting of  
≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
  
(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally  
two or three substituents selected from the group consisting of  
≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
  
(4) -F, Cl, -Br or -I,  
(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three  
  
(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the  
are selected from the group consisting of:  
(a) -H,  
(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
from the group consisting of:  
(i) -OH, and  
(ii) -NH<sub>2</sub>,

- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
to three -F, -Cl, -Br, or -I,  
 (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
 5 (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
 (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double  
bonds,  
 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
 (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and  
 10 one triple bond,  
 (j) -R<sub>1</sub>-aryl where R<sub>1</sub>-aryl is as defined above, and  
 (k) -R<sub>1</sub>-heteroaryl where R<sub>1</sub>-heteroaryl is as defined  
above,  
 (8) -OH,  
 15 (9) -C≡N,  
 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or  
three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,  
 -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
 20 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or  
 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero  
R<sub>1</sub>-heteroaryl is not bonded to the carbon chain by nitrogen, or  
 (VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1</sub>-heterocycle) where n<sub>1</sub> is as defined above and  
 25 R<sub>1</sub>-heterocycle is selected from the group consisting of:  
 morpholinyl,  
 thiomorpholinyl,  
 thiomorpholinyl S-oxide,  
 thiomorpholinyl S,S-dioxide,  
 30 piperazinyl,  
 homopiperazinyl,  
 pyrrolidinyl,  
 pyrrolinyl,  
 tetrahydropyranyl,

- piperidinyl,  
tetrahydrofuranyl,  
tetrahydrothienyl,  
homopiperidinyl,  
homomorpholinyl,  
homothiomorpholinyl,  
homothiomorpholinyl S,S-dioxide, and  
oxazolidinonyl,  
dihdropyrazolyl  
dihdropyrrolyl  
dihdropyrazinyl  
dihdropyridinyl  
dihdropyrimidinyl  
dihdrofuryl  
dihdropyranyl  
tetrahydrothienyl S-oxide  
tetrahydrothienyl S,S-dioxide  
homothiomorpholinyl S-oxide  
where the R<sub>1</sub>-heterocycle group is bonded by any atom of the  
parent R<sub>1</sub>-heterocycle group substituted by hydrogen such that the new bond to the  
R<sub>1</sub>-heterocycle group replaces the hydrogen atom and its bond, where heterocycle is  
optionally substituted with one, two, three, or four:  
 (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or  
three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,  
-OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as  
defined above,  
 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
optionally substituted with one, two or three substituents selected from the group  
consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
optionally substituted with one, two or three substituents selected from the group  
consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- (4) -F, Cl, -Br or -I,
- (5) C<sub>1</sub>-C<sub>6</sub> alkoxy,
- (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of -F,
- 5 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined above,
- (8) -OH,
- (9) -C≡N,
- (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, 10 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 15 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or
- (15) =O, with the proviso that when n<sub>1</sub> is zero R<sub>1</sub>-heterocycle is not bonded to the carbon chain by nitrogen;
- 20 where R<sub>2</sub> is:
  - (I)-H,
  - (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
  - 25 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;
  - (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

5 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

10 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

20 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined above,

25 where PROTECTING GROUP is selected from the group consisting of *t*-butoxycarbonyl, benzyloxycarbonyl, formyl, trityl, acetyl, trichloroacetyl, dichloroacetyl, chloroacetyl, trifluoroacetyl, difluoroacetyl, fluoroacetyl, 4-phenylbenzyloxycarbonyl, 2-methylbenzyloxycarbonyl, 4-ethoxybenzyloxycarbonyl, 4-fluorobenzyloxycarbonyl, 4-chlorobenzyloxycarbonyl, 3-chlorobenzyloxycarbonyl,

30 2-chlorobenzyloxycarbonyl, 2,4-dichlorobenzyloxycarbonyl, 4-bromobenzyloxycarbonyl, 3-bromobenzyloxycarbonyl, 4-nitrobenzyloxycarbonyl, 4-cyanobenzyloxycarbonyl, 2-(4-xenyl)isopropoxycarbonyl, 1,1-diphenyleth-1-yloxycarbonyl, 1,1-diphenylprop-1-yloxycarbonyl, 2-phenylprop-2-yloxycarbonyl, 2-

- (*p*-toluyl)prop-2-yloxycarbonyl, cyclopentanyloxycarbonyl, 1-methylcyclopentanyloxycarbonyl, cyclohexanyloxycarbonyl, 1-methylcyclohexanyloxycarbonyl, 2-methylcyclohexanyloxycarbonyl, 2-(4-toluylsulfonyl)ethoxycarbonyl, 2-(methylsulfonyl)ethoxycarbonyl, 2-5 (triphenylphosphino)ethoxycarbonyl, fluorenylmethoxycarbonyl, 2-(trimethylsilyl)ethoxycarbonyl, allyloxycarbonyl, 1-(trimethylsilylmethyl)prop-1-enyloxycarbonyl, 5-benzisoxalylmethoxycarbonyl, 4-acetoxybenzyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 2-ethynyl-2-propoxycarbonyl, cyclopropylmethoxycarbonyl, 4-(decyloxy)benzyloxycarbonyl, 10 isobornyloxycarbonyl and 1-piperidyloxycarbonyl, 9-fluorenylmethyl carbonate, -CH-CH=CH<sub>2</sub> and phenyl-C(=N)-H.

96. A protected azide of formula (XII) according to claim 95 where R<sub>1</sub> is:

- CH<sub>2</sub>-(R<sub>1</sub>-aryl), or  
15 -CH<sub>2</sub>-(R<sub>1</sub>-heteroaryl).

97. A protected azide of formula (XII) according to claim 96 where R<sub>1</sub>-aryl is phenyl.

98. A protected azide of formula (XII) according to claim 97 where phenyl is  
20 substituted with one, two or three -F, -Cl, -Br or -I.

99. A protected azide of formula (XII) according to claim 98 where phenyl is substituted with one or two -F.

- 25 100. A protected azide of formula (XII) according to claim 99 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.

101. A protected azide of formula (XII) according to claim 95 where R<sub>2</sub> and R<sub>3</sub> are both -H.

- 30 102. A protected azide of formula (XII) according to claim 95 where PROTECTING GROUP is *t*-butoxycarbonyl.

- (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 5 (C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (D) -F, Cl, -Br or -I,
- 10 (F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,
- (G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,
- (H) -OH,
- (I) -C≡N,
- 15 (J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 20 (M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or
- (N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where R<sub>1-heteroaryl</sub> is selected from the group consisting of:
- 25 pyridinyl,
- pyrimidinyl,
- quinolinyl,
- benzothienyl,
- indolyl,
- indolinyl,
- 30 pyridazinyl,
- pyrazinyl,
- isoindolyl,
- isoquinolyl,

quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
5               isoxazolyl,  
              pyrazolyl,  
              oxazolyl,  
              thiazolyl,  
              indolizinyl,  
10              indazolyl,  
              benzothiazolyl,  
              benzimidazolyl,  
              benzofuranyl,  
              furanyl,  
15              thienyl,  
              pyrrolyl,  
              oxadiazolyl,  
              thiadiazolyl,  
              triazolyl,  
20              tetrazolyl,  
              oxazolopyridinyl,  
              imidazopyridinyl,  
              isothiazolyl,  
              naphthyridinyl,  
25              cinnolinyl,  
              carbazolyl,  
              beta-carbolinyl,  
              isochromanyl,  
              chromanyl,  
30              tetrahydroisoquinolinyl,  
              isoindolinyl,  
              isobenzotetrahydrofuranyl,  
              isobenzotetrahydrothienyl,  
              isobenzothienyl,

benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxyazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,

- pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,
- where the R<sub>1-heteroaryl</sub> group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring atom of the parent R<sub>1-heteroaryl</sub> group substituted by hydrogen such that the new bond to the R<sub>1-heteroaryl</sub> group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:
- (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 5 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (4) -F, Cl, -Br or -I,
- 10 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,
- (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,
- (8) -OH,
- (9) -C≡N,
- 15 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 20 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or
- (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero R<sub>1-heteraryl</sub> is not bonded to the carbon chain by nitrogen, or
- (VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and R<sub>1-heterocycle</sub> is selected from the group consisting of:
- 25 morpholinyl,  
thiomorpholinyl,  
thiomorpholinyl S-oxide,  
thiomorpholinyl S,S-dioxide,  
piperazinyl,
- 30 homopiperazinyl,  
pyrrolidinyl,  
pyrrolinyl,  
tetrahydropyranyl,

- piperidinyl,  
 tetrahydrofuranyl,  
 tetrahydrothienyl,  
 homopiperidinyl,  
 5                   homomorpholinyl,  
 homothiomorpholinyl,  
 homothiomorpholinyl S,S-dioxide, and  
 oxazolidinonyl,  
 dihydropyrazolyl  
 10                 dihydropyrrolyl  
 dihydropyrazinyl  
 dihydropyridinyl  
 dihydropyrimidinyl  
 dihydrofuryl  
 15                 dihydropyranyl  
 tetrahydrothienyl S-oxide  
 tetrahydrothienyl S,S-dioxide  
 homothiomorpholinyl S-oxide  
 where the R<sub>1</sub>-heterocycle group is bonded by any atom of the  
 20                 parent R<sub>1</sub>-heterocycle group substituted by hydrogen such that the new bond to the  
 R<sub>1</sub>-heterocycle group replaces the hydrogen atom and its bond, where heterocycle is  
 optionally substituted with one, two, three, or four:  
 (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or  
 three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,  
 25                 -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as  
 defined above,  
 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
 30                 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
 optionally substituted with one, two or three substituents selected from the group  
 consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- (4) -F, Cl, -Br or -I,  
 (5) C<sub>1</sub>-C<sub>6</sub> alkoxy,  
 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two,  
 or three of -F,  
 5 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined  
 below,  
 (8) -OH,  
 (9) -C≡N,  
 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one,  
 10 two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH,  
 -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),  
 (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 15 (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or  
 (15) =O, with the proviso that when n<sub>1</sub> is zero  
 R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;  
 20 where R<sub>2</sub> is:  
 (I)-H,  
 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three  
 substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
 above,  
 25 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and  
 R<sub>1-heteroaryl</sub> are as defined above;  
 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
 substituted with one, two or three substituents selected from the group consisting of  
 30 -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -  
 H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or

5 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;

where R<sub>3</sub> is:

(I)-H,

10 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and

15 R<sub>1-heteroaryl</sub> are as defined above;

(IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or

20 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2-</sub>, where R<sub>N-2</sub> is as defined below;

25 where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N-</sub> where X<sub>N</sub> is selected from the group consisting of:

(A) -CO-,

(B) -SO<sub>2</sub>-,

(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and

30 are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

(E) a single bond;

where  $R_{N-1}$  is selected from the group consisting of:

(A)  $R_{N-aryl}$  where  $R_{N-aryl}$  is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can 5 be the same or different and are:

(1)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (2) -OH,

(3) -NO<sub>2</sub>,

(4) -F, -Cl, -Br, or -I,

(5) -CO-OH,

(6) -C≡N,

15 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

(a) -H,

(b)  $C_1-C_6$  alkyl optionally substituted with one substituent selected from the group consisting of:

20 (i) -OH, and

(ii) -NH<sub>2</sub>,

(c)  $C_1-C_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

25 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

30 (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,

(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,

- (8)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_1\text{-C}_{12}\text{ alkyl)}$ ,
- (9)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_2\text{-C}_{12}\text{ alkenyl with one, two or three double bonds)}$ ,
- 5      (10)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_2\text{-C}_{12}\text{ alkynyl with one, two or three triple bonds)}$ ,
- (11)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_3\text{-C}_7\text{ cycloalkyl)}$ ,
- (12)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above,
- 10     (13)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heteroaryl}}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as defined above,
- (14)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heterocycle}}$  where  $\text{R}_{1\text{-heterocycle}}$  is as defined above,
- (15)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{N\text{-}4}$  where  $\text{R}_{N\text{-}4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $\text{C}_1\text{-C}_6$  alkyl,
- 15     (16)  $-(\text{CH}_2)_{0-4}\text{-CO-O-R}_{N\text{-}5}$  where  $\text{R}_{N\text{-}5}$  is selected from the group consisting of:
- 20     (a)  $\text{C}_1\text{-C}_6$  alkyl,
- (b)  $-(\text{CH}_2)_{0-2}\text{-(R}_{1\text{-aryl})}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above,
- (c)  $\text{C}_2\text{-C}_6$  alkenyl containing one or two double bonds,
- 25     (d)  $\text{C}_2\text{-C}_6$  alkynyl containing one or two triple bonds,
- (e)  $\text{C}_3\text{-C}_7$  cycloalkyl, and
- (f)  $-(\text{CH}_2)_{0-2}\text{-(R}_{1\text{-heteroaryl})}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as defined above,
- 30     (17)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-NR}_{N\text{-}2}\text{R}_{N\text{-}3}$  where  $\text{R}_{N\text{-}2}$  and  $\text{R}_{N\text{-}3}$  are as defined above,
- (18)  $-(\text{CH}_2)_{0-4}\text{-SO-(C}_1\text{-C}_8\text{ alkyl)}$ ,
- (19)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_1\text{-C}_{12}\text{ alkyl)}$ ,
- (20)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_3\text{-C}_7\text{ cycloalkyl)}$ ,

- (21)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,
- (22)  $-(CH_2)_{0-4}-N(H \text{ or } R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- 5 (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- (24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,
- 10 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,
- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- 15 (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,
- (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is -H or  $C_1-C_4$  alkyl,
- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- 20 (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,
- 25 (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five } -F)$ ,
- (35)  $C_3-C_7$  cycloalkyl,
- (36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 30 (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or
- (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,

(B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

- pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,

isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
5 beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
10 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
15 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
20 phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
25 dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
30 benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,

chromanonyl, and  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,

- tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,  
where the R<sub>N-heteraryl</sub> group is bonded by any atom of
- 5      the parent R<sub>N-heteraryl</sub> group substituted by hydrogen such that the new bond to the R<sub>N-</sub> heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:
- (1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -
- 10     OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (2) -OH,  
(3) -NO<sub>2</sub>,  
(4) -F, -Cl, -Br, or -I  
15     (5) -CO-OH,  
(6) -C≡N,  
(7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:  
         (a) -H,  
20     (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:  
         (i) -OH, and  
         (ii) -NH<sub>2</sub>,  
         (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
25     to three -F, -Cl, -Br, or -I,  
         (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
         (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
         (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
         (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double  
30     bonds,  
         (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
         (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,  
         (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

- (k)  $-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (8)  $-(CH_2)_{0-4}-CO-(C_1-C_{12} \text{ alkyl})$ ,
- (9)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkenyl with one, two or three double bonds})$ ,
- (10)  $-(CH_2)_{0-4}-CO-(C_2-C_{12} \text{ alkynyl with one, two or three triple bonds})$ ,
- (11)  $-(CH_2)_{0-4}-CO-(C_3-C_7 \text{ cycloalkyl})$ ,
- (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$  where  $R_{1-aryl}$  is as defined above,
- (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,
- (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,
- (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $C_1-C_6$  alkyl,
- (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:
- (a)  $C_1-C_6$  alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,
- (c)  $C_2-C_6$  alkenyl containing one or two double bonds,
- (d)  $C_2-C_6$  alkynyl containing one or two triple bonds,
- (e)  $C_3-C_7$  cycloalkyl,
- (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,
- (17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,
- (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8 \text{ alkyl})$ ,



- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted}$   
 with one, two, three, four, or five of: -F),
- (35)  $C_3-C_7$  cycloalkyl,
- (36)  $C_2-C_6$  alkenyl with one or two double bonds
- 5     optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (37)  $C_2-C_6$  alkynyl with one or two triple bonds  
 optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or
- 10    (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where R<sub>N-5</sub> and R<sub>N-2</sub> can be the same or different and are as described above, or
- (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,
- (C)  $R_{N\text{-aryl}}-W-R_{N\text{-aryl}}$ , where R<sub>N-aryl</sub> is defined as above,
- (D)  $R_{N\text{-aryl}}-W-R_{N\text{-heteroaryl}}$ , where R<sub>N-aryl</sub> and R<sub>N-heteroaryl</sub> are as
- 15    defined above,
- (E)  $R_{N\text{-aryl}}-W-R_{N-1\text{-heterocycle}}$ , where R<sub>N-heterocycle</sub> is defined as R<sub>1-heterocycle</sub> is defined above,
- (F)  $R_{N\text{-heteroaryl}}-W-R_{N\text{-aryl}}$ , where R<sub>N-aryl</sub> and R<sub>n-heteroaryl</sub> are as
- defined above,
- 20    (G)  $R_{N\text{-heteroaryl}}-W-R_{N\text{-heteroaryl}}$ , where R<sub>N-heteroaryl</sub> is as defined above,
- (H)  $R_{N\text{-heteroaryl}}-W-R_{N-1\text{-heterocycle}}$ , where R<sub>N-1-heterocycle</sub> is as
- defined as R<sub>1-heterocycle</sub> is as defined above, and where R<sub>N-heteroaryl</sub> is as defined above,
- (I)  $R_{N\text{-heterocycle}}-W-R_{N\text{-aryl}}$ , where R<sub>N-heterocycle</sub> is as defined as R<sub>1-</sub>
- 25    heterocycle is defined and where R<sub>N-aryl</sub> are as defined above,
- (J)  $R_{N\text{-heterocycle}}-W-R_{N\text{-heteroaryl}}$ , where R<sub>N-heterocycle</sub> is as defined as R<sub>1-heterocycle</sub> as defined above and R<sub>N-heteroaryl</sub> are as defined above, and
- (K)  $R_{N\text{-heterocycle}}-W-R_{N-1\text{-heterocycle}}$ , where R<sub>N-heterocycle</sub> and R<sub>N-</sub>
- heteroaryl are as defined above,
- 30    where W is
- (6)  $-(CH_2)_{0-4}-$ ,
- (7) -O-,
- (8) -S(O)<sub>0-2</sub>-,
- (9) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above, or

(10) -CO-<sub>1</sub>

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

- 5 (A) -OH,  
(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,  
10 (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,  
15 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
and are as defined above,  
20 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and  
are as defined above,  
25 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl) optionally substituted with one, two, or  
three of: -F, -Cl, -Br, or -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
(R) -F, or -Cl

(III) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally substituted with one, two, or three substitutents selected from the group consisting of:

- 30 (A) -OH,  
(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,

- (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
- 5 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
- 10 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where the R<sub>N-8</sub>s are the same or different and are as defined above,
- 15 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(R) -F, -Cl,  
(IV) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-S-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:
- 20 (A) -OH,  
(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
- 25 (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
- 30 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
- (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and

are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

5 (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or  
three of: -F, -Cl, -Br, -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-(CH<sub>2</sub>)<sub>0-2</sub>-O-R<sub>N-10</sub>)-(CH<sub>2</sub>)<sub>0-2</sub>-R<sub>N-aryl</sub>/R<sub>N-heteroaryl</sub>) where R<sub>N-</sub>

10 aryl and R<sub>N-heteroaryl</sub> are as defined above, where R<sub>N-10</sub> is selected from the group  
consisting of:

(A) -H,

(B) C<sub>1</sub>-C<sub>6</sub> alkyl,

(C) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

15 (D) C<sub>2</sub>-C<sub>6</sub> alkenyl with one double bond,

(E) C<sub>2</sub>-C<sub>6</sub> alkynyl with one triple bond,

(F) R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(G) R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is as defined above, or

(VI) -CO-(C<sub>3</sub>-C<sub>8</sub> cycloalkyl) where alkyl is optionally substituted with  
20 one or two substituents selected from the group consisting of:

(A) -(CH<sub>2</sub>)<sub>0-4</sub>-OH,

(B) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -

25 phenyl,

(E) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same

or different and are as defined above,

(F) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

30 (H) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same

or different and are as defined above,

(I) -(CH<sub>2</sub>)<sub>0-4</sub>-NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

- (J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,
- (K) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,
- (L) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,
- 5 (M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,
- (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,
- (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or
- 10 three of: -F, -Cl, -Br, or -I),
- (Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and
- (R) -F, or -Cl.
124. An azide of formula (XV) according to claim 123 where R<sub>1</sub> is:
- 15 -CH<sub>2</sub>-(R<sub>1</sub>-aryl), or
- CH<sub>2</sub>-(R<sub>1</sub>-heteroaryl).
125. An azide of formula (XV) according to claim 124 where R<sub>1-aryl</sub> is phenyl.
- 20 126. An azide of formula (XV) according to claim 125 where phenyl is substituted with one, two or three -F, -Cl, -Br or -I.
127. An azide of formula (XV) according to claim 126 where phenyl is substituted with one or two -F.
- 25 128. An azide of formula (XV) according to claim 127 where phenyl is substituted with two -F in the 3- and 5- positions giving 3,5-difluorophenyl.
129. An azide of formula (XV) according to claim 123 where R<sub>2</sub> and R<sub>3</sub> are both -H.
- 30 130. An azide of formula (XV) according to claim 123 where R<sub>N</sub> is:
- R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:
- CO-, and

-SO<sub>2</sub>-,

where R<sub>N-1</sub> is selected from the group consisting of:

R<sub>N</sub>-aryl, and

-R<sub>N</sub>-heteroaryl.

5

131. An azide of formula (XV) according to claim 130 where R<sub>N</sub> is:

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

-CO-,

where R<sub>N-1</sub> is selected from the group consisting of:

10

R<sub>N</sub>-aryl

-R<sub>N</sub>-heteroaryl.

132. An azide of formula (XV) according to claim 131 where R<sub>N</sub> is:

(a) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N</sub>-aryl where R<sub>N</sub>-aryl is phenyl

15 substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3- and where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl, or

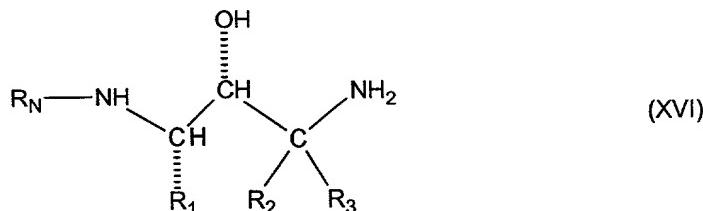
(b) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N</sub>-aryl where R<sub>N</sub>-aryl is phenyl substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5- and where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl.

20

133. An azide of formula (XV) according to claim 123 which is

N<sup>1</sup>-[(1S,2R)-3-azido-1-(3,5-difluorobenzyl)-2-hydroxypropyl]5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide.

25 134. A free amine of formula (XVI)



where R<sub>2</sub> is:

(I)-H,

- (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 5 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where 10 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or
- 15 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl; where R<sub>3</sub> is:
- (I)-H,
- 20 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub>;
- 25 (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or
- (VI) -(CH<sub>2</sub>)<sub>0-4</sub>- C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 30 and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-,

-S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

- (a) -H,
- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

5 substituent selected from the group consisting of:

- (i) -OH, and

- (ii) -NH<sub>2</sub>,

- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

to three -F, -Cl, -Br, or -I,

10 (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

- (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

15 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

one triple bond,

- (j) -R<sub>1</sub>-aryl where R<sub>1</sub>-aryl is as defined above, and

- (k) -R<sub>1</sub>-heteroaryl where R<sub>1</sub>-heteroaryl is as defined

20 above,

where R<sub>N</sub> is:

- (I) R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

- (A) -CO-,

- (B) -SO<sub>2</sub>-,

25 (C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

- (D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group

consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and

- (E) a single bond;

30 where R<sub>N-1</sub> is selected from the group consisting of:

- (A) R<sub>N</sub>-aryl where R<sub>N</sub>-aryl is phenyl, 1-naphthyl, 2-naphthyl,

tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 5 (2) -OH,
- (3) -NO<sub>2</sub>,
- (4) -F, -Cl, -Br, or -I,
- (5) -CO-OH,
- (6) -C≡N,
- 10 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:
  - (a) -H,
  - (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:
    - 15 (i) -OH, and
    - (ii) -NH<sub>2</sub>,
    - (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
    - (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
    - 20 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
    - (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
    - (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
  - (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
  - 25 (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,
  - (j) -R<sub>1</sub>-aryl, and
  - (k) -R<sub>1</sub>-heteroaryl,
  - (l) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),
  - 30 (m) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),
  - (n) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three triple bonds),
  - (o) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

- (12)  $-(CH_2)_{0-4}-CO-R_{1-aryl}$ ,
- (13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$ ,
- (14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$ ,
- (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the

5 group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,

- (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from

10 the group consisting of:

- (a) C<sub>1</sub>-C<sub>6</sub> alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$ ,
- (c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double

bonds,

15 (d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

- (e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and
- (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$ ,

- (17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where R<sub>N-2</sub> and R<sub>N-3</sub> are

20 the same or different and are selected from the group consisting of:

- (a) -H,
- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:

(i) -OH, and

(ii) -NH<sub>2</sub>,

- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,

(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

30

bonds,

(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and  
one triple bond,
- (j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined  
5 above,
- (18) -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(19) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>12</sub> alkyl),  
(20) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(21) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> can  
10 be the same or different and is as defined above,  
(22) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub>  
can be the same or different and is as defined above,  
(23) -(CH<sub>2</sub>)<sub>0-4</sub>-N-CS-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the  
same or different and is as defined above,  
15 (24) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-CO-R<sub>N-2</sub> where R<sub>N-5</sub> and  
R<sub>N-2</sub> can be the same or different and are as defined above,  
(25) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> can be the  
same or different and are as defined above,  
20 (26) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(27) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(28) -(CH<sub>2</sub>)<sub>0-4</sub>-O-P(O)-(OR<sub>N-aryl-1</sub>)<sub>2</sub> where R<sub>N-aryl-1</sub> is -H  
or C<sub>1</sub>-C<sub>4</sub> alkyl,  
25 (29) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined  
above,  
(30) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CS-N(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined  
above,  
30 (31) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,  
(32) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(R<sub>N-5</sub>)<sub>2</sub>-COOH where R<sub>N-5</sub> is as  
defined above,  
(33) -(CH<sub>2</sub>)<sub>0-4</sub>-S-(R<sub>N-5</sub>)<sub>2</sub> where R<sub>N-5</sub> is as defined above,  
(34) -(CH<sub>2</sub>)<sub>0-4</sub>-O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted  
with one, two, three, four, or five -F),  
(35) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(36) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds

optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds

5     optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and

R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

10    (B) -R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

quinolinyl,

15    benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

pyrazinyl,

20    isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

phthalazinyl,

25    imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

thiazolyl,

30    indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

benzofuranyl,

furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
5 thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
10 isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
15 isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
20 isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
25 benzotetrahydrothienyl,  
purinyl,  
benzdioxolyl,  
triazinyl,  
phenoazinyl,  
30 phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,

dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
5                   benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
10                chromanonyl, and  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
15                dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
20                benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
25                quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolinyl N-oxide,  
quinazolinyl N-oxide,  
30                quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,

thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
5 benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
10 tetrazolyl N-oxide,  
benzothiopyranyl S-oxide, and  
benzothiopyranyl S,S-dioxide,  
where the R<sub>N</sub>-heteroaryl group is bonded by any atom of  
the parent R<sub>N</sub>-heteroaryl group substituted by hydrogen such that the new bond to the R<sub>N</sub>-  
15 heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is optionally  
substituted with one, two, three, or four of:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or  
three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -  
OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
20 above,  
(2) -OH,  
(3) -NO<sub>2</sub>,  
(4) -F, -Cl, -Br, or -I  
(5) -CO-OH,  
25 (6) -C≡N,  
(7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the  
same or different and are selected from the group consisting of:  
(a) -H,  
(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
30 substituent selected from the group consisting of:  
(i) -OH, and  
(ii) -NH<sub>2</sub>,  
(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one  
to three -F, -Cl, -Br, or -I,

- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double  
5 bonds,  
(h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and  
one triple bond,  
10 (j) -R<sub>1</sub>-aryl, and  
(k) -R<sub>1</sub>-heteroaryl,  
(8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),  
(9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three  
double bonds),  
15 (10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or  
three triple bonds),  
(11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-aryl,  
(13) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-heteroaryl,  
20 (14) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-heterocycle,  
(15) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is selected from the  
group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,  
homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide,  
homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is  
optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,  
25 (16) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> is selected from  
the group consisting of:  
(a) C<sub>1</sub>-C<sub>6</sub> alkyl,  
(b) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1</sub>-aryl),  
30 (c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double  
bonds,  
(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple  
bonds,  
(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and  
(f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1</sub>-heteroaryl),

(17)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are

as defined above,

(18)  $-(\text{CH}_2)_{0-4}\text{-SO-(C}_1\text{-C}_8\text{ alkyl)}$ ,

(19)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_1\text{-C}_{12}\text{ alkyl)}$ ,

5 (20)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_3\text{-C}_7\text{ cycloalkyl)}$ ,

(21)  $-(\text{CH}_2)_{0-4}\text{-N(H or R}_{N-5}\text{)-CO-O-R}_{N-5}$  where  $\text{R}_{N-5}$  can

be the same or different and is as defined above,

(22)  $-(\text{CH}_2)_{0-4}\text{-N(H or R}_{N-5}\text{)-CO-N(R}_{N-5}\text{)}_2$ , where  $\text{R}_{N-5}$

can be the same or different and is as defined above,

10 (23)  $-(\text{CH}_2)_{0-4}\text{-N-CS-N(R}_{N-5}\text{)}_2$ , where  $\text{R}_{N-5}$  can be the  
same or different and is as defined above,

(24)  $-(\text{CH}_2)_{0-4}\text{-N(-H or R}_{N-5}\text{)-CO-R}_{N-2}$  where  $\text{R}_{N-5}$  and  
 $\text{R}_{N-2}$  can be the same or different and are as defined above,

15 (25)  $-(\text{CH}_2)_{0-4}\text{-NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  can be the  
same or different and are as defined above,

(26)  $-(\text{CH}_2)_{0-4}\text{-R}_{N-4}$  where  $\text{R}_{N-4}$  is as defined above,  
(27)  $-(\text{CH}_2)_{0-4}\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,  
(28)  $-(\text{CH}_2)_{0-4}\text{-O-P(O)-(OR}_{N\text{-aryl-1}}\text{)}_2$  where  $\text{R}_{N\text{-aryl-1}}$  is -H  
or  $\text{C}_1\text{-C}_4$  alkyl,

20 (29)  $-(\text{CH}_2)_{0-4}\text{-O-CO-N(R}_{N-5}\text{)}_2$  where  $\text{R}_{N-5}$  is as defined  
above,

(30)  $-(\text{CH}_2)_{0-4}\text{-O-CS-N(R}_{N-5}\text{)}_2$  where  $\text{R}_{N-5}$  is as defined  
above,

25 (31)  $-(\text{CH}_2)_{0-4}\text{-O-(R}_{N-5}\text{)}_2$  where  $\text{R}_{N-5}$  is as defined above,  
(32)  $-(\text{CH}_2)_{0-4}\text{-O-(R}_{N-5}\text{)}_2\text{-COOH}$  where  $\text{R}_{N-5}$  is as  
defined above,

30 (33)  $-(\text{CH}_2)_{0-4}\text{-S-(R}_{N-5}\text{)}_2$  where  $\text{R}_{N-5}$  is as defined above,  
(34)  $-(\text{CH}_2)_{0-4}\text{-O-(C}_1\text{-C}_6\text{ alkyl optionally substituted  
with one, two, three, four, or five of: -F)}$ ,

(35)  $\text{C}_3\text{-C}_7$  cycloalkyl,  
(36)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds  
optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $\text{C}_1\text{-C}_3$   
alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(37)  $C_2$ - $C_6$  alkynyl with one or two triple bonds

optionally substituted with  $C_1$ - $C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1$ - $C_3$  alkoxy, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

(38) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-SO<sub>2</sub>-R<sub>N-2</sub> where R<sub>N-5</sub> and

5 R<sub>N-2</sub> can be the same or different and are as described above, or

(39) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(C) R<sub>N-aryl</sub>-W-R<sub>N-aryl</sub>,

(D) R<sub>N-aryl</sub>-W-R<sub>N-heteroaryl</sub>,

(E) R<sub>N-aryl</sub>-W-R<sub>N-1-heterocycle</sub>, where R<sub>n-1-heterocycle</sub> is defined as R<sub>1-</sub>

10 heterocycle,

(F) R<sub>N-heteroaryl</sub>-W-R<sub>N-aryl</sub>,

(G) R<sub>N-heteroaryl</sub>-W-R<sub>N-heteroaryl</sub>,

(H) R<sub>N-heteroaryl</sub>-W-R<sub>N-1-heterocycle</sub>,

(I) R<sub>N-heterocycle</sub>-W-R<sub>N-aryl</sub>,

15 (J) R<sub>N-heterocycle</sub>-W-R<sub>N-heteroaryl</sub>, and

(K) R<sub>N-heterocycle</sub>-W-R<sub>N-1-heterocycle</sub>,

where W is

(11) -(CH<sub>2</sub>)<sub>0-4</sub>-,

(12) -O-,

20 (13) -S(O)<sub>0-2</sub>-,

(14) -N(R<sub>N-5</sub>)- where R<sub>N-5</sub> is as defined above,

or

(15) -CO-

(II) -CO-(C<sub>1</sub>-C<sub>10</sub> alkyl) where alkyl is optionally substituted with one,

25 two, or three substituents selected from the group consisting of:

(A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,

30 (E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or

different and are as defined above,

(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

- (H)  $-\text{SO}_2\text{-NR}_{\text{N-}2}\text{R}_{\text{N-}3}$  where  $\text{R}_{\text{N-}2}$  and  $\text{R}_{\text{N-}3}$  are the same or different and are as defined above,
- (I)  $-\text{NH-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- (J)  $-\text{NH-CO-O-R}_{\text{N-}8}$  where  $\text{R}_{\text{N-}8}$  is as defined above,
- 5 (K)  $-\text{NR}_{\text{N-}2}\text{R}_{\text{N-}3}$  where  $\text{R}_{\text{N-}2}$  and  $\text{R}_{\text{N-}3}$  are the same or different and are as defined above,
- (L)  $-\text{R}_{\text{N-}4}$  where  $\text{R}_{\text{N-}4}$  is as defined above,
- (M)  $-\text{O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- (N)  $-\text{O-CO-NR}_{\text{N-}8}\text{R}_{\text{N-}8}$  where  $\text{R}_{\text{N-}8}$  are the same or different and 10 are as defined above,
- (O)  $-\text{O-(C}_1\text{-C}_5\text{ alkyl)-COOH}$ ,
- (P)  $-\text{O-(C}_1\text{-C}_6\text{ alkyl}$  optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),
- (Q)  $-\text{NH-SO}_2\text{-}(C_1\text{-C}_6\text{ alkyl)}$ , and
- 15 (R) -F, or -Cl
- (III)  $-\text{CO-(C}_1\text{-C}_6\text{ alkyl)-O-(C}_1\text{-C}_6\text{ alkyl)}$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:
- (A) -OH,
- (B)  $-\text{C}_1\text{-C}_6$  alkoxy,
- 20 (C)  $-\text{C}_1\text{-C}_6$  thioalkoxy,
- (D)  $-\text{CO-O-R}_{\text{N-}8}$  where  $\text{R}_{\text{N-}8}$  is -H,  $\text{C}_1\text{-C}_6$  alkyl or -phenyl,
- (E)  $-\text{CO-NR}_{\text{N-}2}\text{R}_{\text{N-}3}$  where  $\text{R}_{\text{N-}2}$  and  $\text{R}_{\text{N-}3}$  are the same or 25 different and are as defined above,
- (F)  $-\text{CO-R}_{\text{N-}4}$  where  $\text{R}_{\text{N-}4}$  is as defined above,
- (G)  $-\text{SO}_2\text{-}(C_1\text{-C}_8\text{ alkyl)}$ ,
- (H)  $-\text{SO}_2\text{-NR}_{\text{N-}2}\text{R}_{\text{N-}3}$  where  $\text{R}_{\text{N-}2}$  and  $\text{R}_{\text{N-}3}$  are the same or 30 different and are as defined above,
- (I)  $-\text{NH-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- (J)  $-\text{NH-CO-O-R}_{\text{N-}8}$  where  $\text{R}_{\text{N-}8}$  is as defined above,
- (K)  $-\text{NR}_{\text{N-}2}\text{R}_{\text{N-}3}$  where  $\text{R}_{\text{N-}2}$  and  $\text{R}_{\text{N-}3}$  are the same or different and are as defined above,
- (L)  $-\text{R}_{\text{N-}4}$  where  $\text{R}_{\text{N-}4}$  is as defined above,
- (M)  $-\text{O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or

5 three of: -F, -Cl, -Br, or -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, -Cl,

(IV) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-S-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

10 (A) -OH,

(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,

(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,

(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or

15 different and are as defined above,

(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,

20 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different

and are as defined above,

(L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

25 (M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and  
are as defined above,

(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or

30 three of: -F, -Cl, -Br, -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, or -Cl,

(V) -CO-CH(-( $\text{CH}_2$ )<sub>0-2</sub>-O-R<sub>N-10</sub>)-( $\text{CH}_2$ )<sub>0-2</sub>-R<sub>N-aryl</sub>/R<sub>N-heteroaryl</sub>) where R<sub>N-aryl</sub> and R<sub>N-heteroaryl</sub> are as defined above, where R<sub>N-10</sub> is selected from the group consisting of:

- (A) -H,  
5 (B) C<sub>1</sub>-C<sub>6</sub> alkyl,  
(C) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
(D) C<sub>2</sub>-C<sub>6</sub> alkenyl with one double bond,  
(E) C<sub>2</sub>-C<sub>6</sub> alkynyl with one triple bond,  
(F) R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
10 (G) R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is as defined above, or
- (VI) -CO-(C<sub>3</sub>-C<sub>8</sub> cycloalkyl) where alkyl is optionally substituted with one or two substituents selected from the group consisting of:
- (A) -( $\text{CH}_2$ )<sub>0-4</sub>-OH,  
15 (B) -( $\text{CH}_2$ )<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -( $\text{CH}_2$ )<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -( $\text{CH}_2$ )<sub>0-4</sub>-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -phenyl,  
20 (E) -( $\text{CH}_2$ )<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
(F) -( $\text{CH}_2$ )<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -( $\text{CH}_2$ )<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
25 (H) -( $\text{CH}_2$ )<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
(I) -( $\text{CH}_2$ )<sub>0-4</sub>-NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
30 (K) -( $\text{CH}_2$ )<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,  
(L) -( $\text{CH}_2$ )<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,  
(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

- (P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, or -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
(R) -F, or -Cl,

5 where R<sub>1</sub> is:

- CH<sub>2</sub>-phenyl where -phenyl is substituted with two -F,  
-(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1-heteroaryl</sub>, or  
-(CH<sub>2</sub>)<sub>n1</sub>-R<sub>1-heterocycle</sub>.

10 135. A free amine of formula (XVI) according to claim 134 where R<sub>1</sub> is:

$$-(CH_2)_{n1}-(R_{1-heteroaryl}).$$

136. A free amine of formula (XVI) according to claim 135 where n<sub>1</sub> is 1.

15 137. A free amine of formula (XVI) according to claim 134 where R<sub>1</sub> is:

$$-(CH_2)_{n1}-(R_{1-heterocycle}).$$

138. A free amine of formula (XVI) according to claim 137 where n<sub>1</sub> is 1.

20 139. A free amine of formula (XVI) according to claim 134 where phenyl is substituted in the 3- and 5- positions giving 3,5-difluorophenyl.

140. A free amine of formula (XVI) according to claim 134 where R<sub>2</sub> and R<sub>3</sub> are both -H.

25

141. A free amine of formula (XVI) according to claim 134 where R<sub>N</sub> is:

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is selected from the group consisting of:

- CO-, and  
-SO<sub>2</sub>-,

30 where R<sub>N-1</sub> is selected from the group consisting of:

- R<sub>N-aryl</sub>, and  
-R<sub>N-heteroaryl</sub>.

142. A free amine of formula (XVI) according to claim 141 where R<sub>N</sub> is:

$R_{N-1}-X_N-$  where  $X_N$  is:

-CO-,

where  $R_{N-1}$  is selected from the group consisting of:

$R_{N\text{-aryl}}$ , and

5  $-R_{N\text{-heteroaryl}}$ .

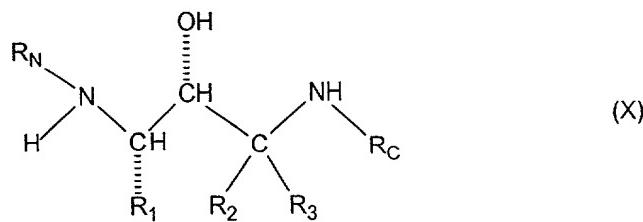
143. A free amine of formula (XVI) according to claim 142 where  $R_N$  is:

- (a)  $R_{N-1}-X_N-$  where  $X_N$  is -CO-, where  $R_{N-1}$  is  $R_{N\text{-aryl}}$  where  $R_{N\text{-aryl}}$  is phenyl substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3- and  
10 where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl, or  
(b)  $R_{N-1}-X_N-$  where  $X_N$  is-CO-, where  $R_{N-1}$  is  $R_{N\text{-aryl}}$  where  $R_{N\text{-aryl}}$  is phenyl substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on the phenyl is 1,3,5- and where R<sub>N-2</sub> and R<sub>N-3</sub> are the same and are C<sub>3</sub> alkyl.

15 144. A free amine of formula (XVI) according to claim 134 which is

$N^1\text{-}[(1S,2R)\text{-}3\text{-amino}\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ .

145. A method of treating a patient who has, or in preventing a patient from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating patients with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which comprises administration of a therapeutically effective amount of a compound selected from the group consisting of a substituted amine of formula (X)



where  $R_1$  is:

- (I)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl,  $C_1-C_7$  alkyl (optionally substituted with  $C_1-C_3$  alkyl and  $C_1-C_3$  alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1-C_6$  alkyl),
- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-( $C_1-C_6$  alkyl),
- (IV)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl,
- (V)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl,
- (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetrinalinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
  - (A)  $C_1-C_6$  alkyl optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy,
  - (B)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1-C_6$  alkyl,

(C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- 5 (D) -F, Cl, -Br or -I,  
(F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,

10 (G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(H) -OH,

- 15 (I) -C≡N,  
(J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

- 20 (L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or  
(N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

- 25 pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,  
pyridazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
30 quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,

pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
5 indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
10 thietyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
15 tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
20 cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
25 tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
30 benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,

	benzodioxolyl, triazinyl, phenoxyazinyl, phenothiazinyl, pteridinyl, benzothiazolyl, imidazopyridinyl, imidazothiazolyl, dihydrobenzisoxazinyl, benzisoxazinyl, benzoxazinyl, dihydrobenzisothiazinyl, benzopyranyl, benzothiopyranyl, coumarinyl, isocoumarinyl, chromonyl, chromanonyl, and pyridinyl-N-oxide
5	
10	
15	
20	tetrahydroquinolinyl dihydroquinolinyl dihydroquinolinonyl dihydroisoquinolinonyl dihydrocoumarinyl
25	dihydroisocoumarinyl isoindolinonyl benzodioxanyl benzoxazolinonyl pyrrolyl N-oxide,
30	pyrimidinyl N-oxide, pyridazinyl N-oxide, pyrazinyl N-oxide, quinolinyl N-oxide, indolyl N-oxide,

- indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxaliny N-oxide,  
5 phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
10 indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
15 oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
20 benzothiopyranyl S,S-dioxide,  
where the R<sub>1</sub>-heteroaryl group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring  
atom of the parent R<sub>1</sub>-heteroaryl group substituted by hydrogen such that the new bond to  
the R<sub>1</sub>-heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is  
optionally substituted with one, two, three, or four:  
25 (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three  
substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined  
above,  
30 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of  
-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
-H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
35 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally  
substituted with one, two or three substituents selected from the group consisting of

-F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(4) -F, Cl, -Br or -I,

(6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three

5 of: -F,

(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,

(8) -OH,

(9) -C≡N,

(10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or

10 three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

15 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

morpholinyl,

20 thiomorpholinyl,

thiomorpholinyl S-oxide,

thiomorpholinyl S,S-dioxide,

piperazinyl,

homopiperazinyl,

25 pyrrolidinyl,

pyrrolinyl,

tetrahydropyranyl,

piperidinyl,

tetrahydrofuranyl,

30 tetrahydrothienyl,

homopiperidinyl,

homomorpholinyl,

homothiomorpholinyl,

homothiomorpholinyl S,S-dioxide, and

- oxazolidinonyl,  
dihydropyrazolyl  
dihydropyrrolyl  
dihydropyrazinyl  
5 dihydropyridinyl  
dihydropyrimidinyl  
dihydrofuryl  
dihydropyranyl  
tetrahydrothienyl S-oxide  
10 tetrahydrothienyl S,S-dioxide  
homothiomorpholinyl S-oxide  
where the R<sub>1</sub>-heterocycle group is bonded by any atom of the  
parent R<sub>1</sub>-heterocycle group substituted by hydrogen such that the new bond to the  
R<sub>1</sub>-heterocycle group replaces the hydrogen atom and its bond, where heterocycle is  
15 optionally substituted with one, two, three, or four:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or  
three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I,  
-OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as  
defined above,  
20 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
optionally substituted with one, two or three substituents selected from the group  
consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
(3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
25 optionally substituted with one, two or three substituents selected from the group  
consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where  
R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
(4) -F, Cl, -Br or -I,  
(5) C<sub>1</sub>-C<sub>6</sub> alkoxy,  
30 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two,  
or three of -F,  
(7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined  
below,  
(8) -OH,

- (9) -C≡N,
- (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 5 (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 10 (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), or
- (15) =O, with the proviso that when n<sub>1</sub> is zero R<sub>1-heterocycle</sub> is not bonded to the carbon chain by nitrogen;
- where R<sub>2</sub> is:
- (I)-H,
- 15 (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and 20 R<sub>1-heteroaryl</sub> are as defined above;
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where 25 R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, or
- 30 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl;
- where R<sub>3</sub> is:

- (I)-H,
- (II) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 5 (III) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>2-1</sub> where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, or
- 10 (VI) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2-</sub>, where R<sub>N-2</sub> is as defined below;
- 15 where R<sub>N</sub> is:
- (I) R<sub>N-1</sub>-X<sub>N-</sub> where X<sub>N</sub> is selected from the group consisting of:
- 20 (A) -CO-,  
(B) -SO<sub>2</sub>-,  
(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,  
(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and  
25 (E) a single bond;  
where R<sub>N-1</sub> is selected from the group consisting of:  
(A) R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl, optionally substituted with one, two or three of the following substituents which can  
30 be the same or different and are:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- (2) -OH,
- (3) -NO<sub>2</sub>,
- (4) -F, -Cl, -Br, or -I,
- (5) -CO-OH,
- 5 (6) -C≡N,
- (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:

- (a) -H,
- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

10 substituent selected from the group consisting of:

- (i) -OH, and

- (ii) -NH<sub>2</sub>,

- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one

to three -F, -Cl, -Br, or -I,

- 15 (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

- (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),

- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double

bonds,

- 20 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,

- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and

one triple bond,

- (j) -R<sub>1</sub>-aryl where R<sub>1</sub>-aryl is as defined above, and

- (k) -R<sub>1</sub>-heteroaryl where R<sub>1</sub>-heteroaryl is as defined

25 above,

- (8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),

- (9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),

- (10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or

30 three triple bonds),

- (11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

- (12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-aryl where R<sub>1</sub>-aryl is as defined

above,

(13)  $-(CH_2)_{0-4}-CO-R_{1-heteroaryl}$  where  $R_{1-heteroaryl}$  is as defined above,

(14)  $-(CH_2)_{0-4}-CO-R_{1-heterocycle}$  where  $R_{1-heterocycle}$  is as defined above,

5 (15)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,

10 (16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

(a) C<sub>1</sub>-C<sub>6</sub> alkyl,

(b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined above,

15 (c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, and

20 (f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

(18)  $-(CH_2)_{0-4}-SO-(C_1-C_8\text{ alkyl})$ ,

25 (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}\text{ alkyl})$ ,

(20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7\text{ cycloalkyl})$ ,

(21)  $-(CH_2)_{0-4}-N(H\text{ or }R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can

be the same or different and is as defined above,

(22)  $-(CH_2)_{0-4}-N(H\text{ or }R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$

30 can be the same or different and is as defined above,

(23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

(24)  $-(CH_2)_{0-4}-N(-H\text{ or }R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,

- (25)  $-(\text{CH}_2)_{0-4}\text{-NR}_{\text{N}-2}\text{R}_{\text{N}-3}$  where  $\text{R}_{\text{N}-2}$  and  $\text{R}_{\text{N}-3}$  can be the same or different and are as defined above,
- (26)  $-(\text{CH}_2)_{0-4}\text{-R}_{\text{N}-4}$  where  $\text{R}_{\text{N}-4}$  is as defined above,
- (27)  $-(\text{CH}_2)_{0-4}\text{-O-CO-(C}_1\text{-C}_6\text{ alkyl)}$ ,
- 5 (28)  $-(\text{CH}_2)_{0-4}\text{-O-P(O)-(OR}_{\text{N-aryl-1}}\text{)}_2$  where  $\text{R}_{\text{N-aryl-1}}$  is  $-\text{H}$  or  $\text{C}_1\text{-C}_4$  alkyl,
- (29)  $-(\text{CH}_2)_{0-4}\text{-O-CO-N(R}_{\text{N}-5}\text{)}_2$  where  $\text{R}_{\text{N}-5}$  is as defined above,
- 10 (30)  $-(\text{CH}_2)_{0-4}\text{-O-CS-N(R}_{\text{N}-5}\text{)}_2$  where  $\text{R}_{\text{N}-5}$  is as defined above,
- (31)  $-(\text{CH}_2)_{0-4}\text{-O-(R}_{\text{N}-5}\text{)}_2$  where  $\text{R}_{\text{N}-5}$  is as defined above,
- (32)  $-(\text{CH}_2)_{0-4}\text{-O-(R}_{\text{N}-5}\text{)}_2\text{-COOH}$  where  $\text{R}_{\text{N}-5}$  is as defined above,
- 15 (33)  $-(\text{CH}_2)_{0-4}\text{-S-(R}_{\text{N}-5}\text{)}_2$  where  $\text{R}_{\text{N}-5}$  is as defined above,
- (34)  $-(\text{CH}_2)_{0-4}\text{-O-(C}_1\text{-C}_6\text{ alkyl optionally substituted with one, two, three, four, or five -F)}$ ,
- (35)  $\text{C}_3\text{-C}_7$  cycloalkyl,
- (36)  $\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,
- 20 (37)  $\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds optionally substituted with  $\text{C}_1\text{-C}_3$  alkyl,  $-\text{F}$ ,  $-\text{Cl}$ ,  $-\text{Br}$ ,  $-\text{I}$ ,  $-\text{OH}$ ,  $-\text{SH}$ ,  $-\text{C}\equiv\text{N}$ ,  $-\text{CF}_3$ ,  $\text{C}_1\text{-C}_3$  alkoxy, or  $-\text{NR}_{1-a}\text{R}_{1-b}$  where  $\text{R}_{1-a}$  and  $\text{R}_{1-b}$  are as defined above,
- (38)  $-(\text{CH}_2)_{0-4}\text{-N(-H or R}_{\text{N}-5}\text{)-SO}_2\text{-R}_{\text{N}-2}$  where  $\text{R}_{\text{N}-5}$  and  $\text{R}_{\text{N}-2}$  can be the same or different and are as described above, or
- 25 (39)  $-(\text{CH}_2)_{0-4}\text{-C}_3\text{-C}_7$  cycloalkyl,
- (B)  $-\text{R}_{\text{N-heteroaryl}}$  where  $\text{R}_{\text{N-heteroaryl}}$  is selected from the group consisting of:
- 30 pyridinyl,  
pyrimidinyl,  
quinolinyl,  
benzothienyl,  
indolyl,  
indolinyl,

pryidazinyl,  
pyrazinyl,  
isoindolyl,  
isoquinolyl,  
quinazolinyl,  
quinoxalinyl,  
phthalazinyl,  
imidazolyl,  
isoxazolyl,  
10 pyrazolyl,  
oxazolyl,  
thiazolyl,  
indolizinyl,  
indazolyl,  
15 benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
furanyl,  
thienyl,  
20 pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
triazolyl,  
tetrazolyl,  
25 oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
30 carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,

isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxyazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl

isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
5 pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
10 indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
15 imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
20 indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
25 thiadiazolyl N-oxide,  
triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,  
30 where the R<sub>N-heteroaryl</sub> group is bonded by any atom of  
the parent R<sub>N-heteroaryl</sub> group substituted by hydrogen such that the new bond to the R<sub>N-</sub>  
heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is optionally  
substituted with one, two, three, or four of:

(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 5 (2) -OH,  
(3) -NO<sub>2</sub>,  
(4) -F, -Cl, -Br, or -I  
(5) -CO-OH,  
(6) -C≡N,  
10 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:  
(a) -H,  
(b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:  
15 (i) -OH, and  
(ii) -NH<sub>2</sub>,  
(c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,  
(d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,  
20 (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),  
(f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),  
(g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,  
25 (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,  
(i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,  
(j) -R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and  
(k) -R<sub>1-heteroaryl</sub> where R<sub>1-heteroaryl</sub> is as defined above,  
30 (8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),  
(9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),  
(10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three triple bonds),

- (11)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_3\text{-C}_7\text{ cycloalkyl)}$ ,  
(12)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above,  
5      (13)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heteroaryl}}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as defined above,  
      (14)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heterocycle}}$  where  $\text{R}_{1\text{-heterocycle}}$  is as defined above,  
10     (15)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{N-4}$  where  $\text{R}_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of:  $\text{C}_1\text{-C}_6$  alkyl,  
      (16)  $-(\text{CH}_2)_{0-4}\text{-CO-O-R}_{N-5}$  where  $\text{R}_{N-5}$  is selected from the group consisting of:  
15     (a)  $\text{C}_1\text{-C}_6$  alkyl,  
          (b)  $-(\text{CH}_2)_{0-2}\text{-(R}_{1\text{-aryl})}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above,  
          (c)  $\text{C}_2\text{-C}_6$  alkenyl containing one or two double bonds,  
20     (d)  $\text{C}_2\text{-C}_6$  alkynyl containing one or two triple bonds,  
          (e)  $\text{C}_3\text{C}_7$  cycloalkyl,  
          (f)  $-(\text{CH}_2)_{0-2}\text{-(R}_{1\text{-heteroaryl})}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as defined above,  
25     (17)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are as defined above,  
      (18)  $-(\text{CH}_2)_{0-4}\text{-SO-(C}_1\text{-C}_8\text{ alkyl)}$ ,  
      (19)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_1\text{-C}_{12}\text{ alkyl)}$ ,  
      (20)  $-(\text{CH}_2)_{0-4}\text{-SO}_2\text{-(C}_3\text{-C}_7\text{ cycloalkyl)}$ ,  
30     (21)  $-(\text{CH}_2)_{0-4}\text{-N(H or R}_{N-5}\text{ )-CO-O-R}_{N-5}$  where  $\text{R}_{N-5}$  can be the same or different and is as defined above,  
      (22)  $-(\text{CH}_2)_{0-4}\text{-N(H or R}_{N-5}\text{ )-CO-N(R}_{N-5}\text{)}_2$ , where  $\text{R}_{N-5}$  can be the same or different and is as defined above,

- (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,
- (24)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as defined above,
- 5 (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,
- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6 \text{ alkyl})$ ,
- (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$
- 10 or  $C_1-C_4$  alkyl,
- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- 15 (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,
- (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl}$  optionally substituted
- 20 with one, two, three, four, or five of:  $-F$ ),
- (35)  $C_3-C_7$  cycloalkyl,
- (36)  $C_2-C_6$  alkenyl with one or two double bonds
- optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 25 (37)  $C_2-C_6$  alkynyl with one or two triple bonds
- optionally substituted with  $C_1-C_3$  alkyl,  $-F$ ,  $-Cl$ ,  $-Br$ ,  $-I$ ,  $-OH$ ,  $-SH$ ,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, or
- (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or
- 30 (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,
- (C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  is defined as above,
- (D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above,
- (E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  is defined as

$R_{1-heterocycle}$ , is defined above,

- (F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above,
- (G)  $R_{N-heteroaryl}-W-R_{N-heteroaryl}$ , where  $R_{N-heteroaryl}$  is as defined above,
- 5 (H)  $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-1-heterocycle}$  is as defined as  $R_{1-heterocycle}$  is as defined above, and where  $R_{N-heteroaryl}$  is as defined above,
- (I)  $R_{N-heterocycle}-W-R_{N-aryl}$ , where  $R_{N-heterocycle}$  is as defined as  $R_{1-heterocycle}$  is defined and where  $R_{N-aryl}$  are as defined above,
- 10 (J)  $R_{N-heterocycle}-W-R_{N-heteroaryl}$ , where  $R_{N-heterocycle}$  is as defined as  $R_{1-heterocycle}$  as defined above and  $R_{N-heteroaryl}$  are as defined above, and
- (K)  $R_{N-heterocycle}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  and  $R_{N-heteroaryl}$  are as defined above,

where W is

- 15 (16)  $-(CH_2)_{0-4}-$ ,
  - (17)  $-O-$ ,
  - (18)  $-S(O)_{0-2}-$ ,
  - (19)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above,
- or
- 20 (20)  $-CO-$

(II)  $-CO-(C_1-C_{10} \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

- 25 (A)  $-OH$ ,
- (B)  $-C_1-C_6$  alkoxy,
- (C)  $-C_1-C_6$  thioalkoxy,
- (D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6$  alkyl or  $-phenyl$ ,
- (E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or

different and are as defined above,

- (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,
- (G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,
- (H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,
- (I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,
- (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

- (K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
and are as defined above,
- (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
5 (N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and  
are as defined above,  
(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or  
three of: -F, -Cl, -Br, or -I),  
10 (Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
(R) -F, or -Cl  
(III) -CO-(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl) where alkyl is optionally  
substituted with one, two, or three substituents selected from the group consisting of:  
15 (A) -OH,  
(B) -C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or - $\phi$ ,  
(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,  
20 (F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
(H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
different and are as defined above,  
25 (I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different  
and are as defined above,  
30 (L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where the R<sub>N-8</sub>s are the same or different  
and are as defined above,  
(O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or  
three of: -F, -Cl, -Br, or -I),



- (E) C<sub>2</sub>-C<sub>6</sub> alkynyl with one triple bond,  
(F) R<sub>1</sub>-aryl, and  
(G) R<sub>N</sub>-heteroaryl, or  
(VI) -CO-(C<sub>3</sub>-C<sub>8</sub> cycloalkyl) where alkyl is optionally substituted with  
5 one or two substitutents selected from the group consisting of:  
(A) -(CH<sub>2</sub>)<sub>0-4</sub>-OH,  
(B) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> alkoxy,  
(C) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>1</sub>-C<sub>6</sub> thioalkoxy,  
(D) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is -H, C<sub>1</sub>-C<sub>6</sub> alkyl or -  
10 phenyl,  
(E) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same  
or different and are as defined above,  
(F) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(G) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),  
15 (H) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same  
or different and are as defined above,  
(I) -(CH<sub>2</sub>)<sub>0-4</sub>-NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,  
(K) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or  
20 different and are as defined above,  
(L) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,  
(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),  
(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and  
are as defined above,  
25 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,  
(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl) optionally substituted with one, two, or  
three of: -F, -Cl, -Br, or -I),  
(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl), and  
(R) -F, or -Cl,  
30 where R<sub>C</sub> is:  
(I) C<sub>1</sub>-C<sub>10</sub> alkyl optionally substituted with one, two or three  
substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>2</sub>R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub>

5 NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II) -(CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>8</sub>) cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O-phenyl, -CO-OH, -CO-O-(C<sub>1</sub>-C<sub>4</sub> alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (III) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub> where R<sub>C-x</sub> and R<sub>C-y</sub> are

-H,

C<sub>1</sub>-C<sub>4</sub> alkyl optionally substituted with one or two -OH,,

C<sub>1</sub>-C<sub>4</sub> alkoxy optionally substituted with one, two, or three of:

-F,

15 -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double bonds,

C<sub>2</sub>-C<sub>6</sub> alkynyl contianing one or two triple bonds,

phenyl-,

and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>- and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;

(IV) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub> and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

25 (V) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VI) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-aryl</sub>, R<sub>C-heteroaryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

30 (VII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-heteroaryl</sub>-R<sub>C-aryl</sub> where R<sub>C-heteroaryl</sub>, R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(VIII) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,

(IX) -(CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C-aryl</sub>-R<sub>C-heterocycle</sub> where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above, and R<sub>C-heterocycle</sub> is the same as R<sub>N-heterocycle</sub>,

(X)  $-(CR_{C-x}R_{C-y})_{0-4}-RC\text{-heteroaryl}-RC\text{-heterocycle}$  where  $RC\text{-heteroaryl}$ ,  $RC\text{-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XI)  $-(CR_{C-x}R_{C-y})_{0-4}-RC\text{-heterocycle}-RC\text{-aryl}$  where  $RC\text{-heterocycle}$ ,  $RC\text{-aryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (XII)  $-(CR_{C-x}R_{C-y})_{0-4}-RC\text{-heterocycle}-RC\text{-heteroaryl}$  where  $RC\text{-heterocycle}$ ,  $RC\text{-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-RC\text{-heterocycle}-RC\text{-heterocycle}$  where  $RC\text{-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

10 (XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-RC\text{-heterocycle}$  where  $RC\text{-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

15 (B)  $-C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20 (C)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (D)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(E)  $-(CH_2)_{1-2}\text{-}S(O)_{0-2}\text{-}(C_1\text{-}C_6 \text{ alkyl})$ ,

30 (F)  $-(CH_2)_{0-4}\text{-}C_3\text{-}C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_6$  alkoxy, -O- phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(G)  $-(C_1\text{-}C_4 \text{ alkyl})\text{-}RC\text{-aryl}$  where  $RC\text{-aryl}$  is as defined for R<sub>1-aryl</sub>,

(H)  $-(C_1\text{-}C_4 \text{ alkyl})\text{-}RC\text{-heteroaryl}$  where  $RC\text{-heteroaryl}$  is as defined above,

- (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C</sub>-heterocycle where R<sub>C</sub>-heterocycle is as defined above,
- (J) -R<sub>C</sub>-heteroaryl where R<sub>C</sub>-heteroaryl is as defined above,
- (K) -R<sub>C</sub>-heterocycle where R<sub>C</sub>-heterocycle is as defined above,
- 5 (M) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C'-aryl</sub> where R<sub>C-4</sub> is -O-, -S- or -NR<sub>C-5-</sub> where R<sub>C-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>C'-aryl</sub> is as defined above,
- (N) -(CH<sub>2</sub>)<sub>1-4</sub>-R<sub>C-4</sub>-(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl where R<sub>C-4</sub> and R<sub>C</sub>-heteroaryl are as defined above, and
- 10 (O) -R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub> is as defined above,
- and where R<sub>C-3</sub> is the same or different and is:
- (A) -H,
- (B) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as 15 defined above,
- (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- 20 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (E) -(CH<sub>2</sub>)<sub>0-4</sub>-C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, 25 two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (F) -R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub> is as defined above,
- (G) -R<sub>C</sub>-heteroaryl where R<sub>C</sub>-heteroaryl is as defined above,
- 30 (H) -R<sub>C</sub>-heterocycle where R<sub>C</sub>-heterocycle is as defined above,
- (I) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C'-aryl</sub> where R<sub>C'-aryl</sub> is as defined above,
- (J) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C</sub>-heteroaryl where R<sub>C</sub>-heteroaryl is as defined above, or

(K) -(C<sub>1</sub>-C<sub>4</sub> alkyl)-R<sub>C-heterocycle</sub> where R<sub>C-heterocycle</sub> is as defined above,

(XVI) -CH(R<sub>C-aryl</sub>)<sub>2</sub> where R<sub>C-aryl</sub> are the same or different and are as defined above,

5 (XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different and are as defined above,

(XVIII) -CH(R<sub>C-aryl</sub>)(R<sub>C-heteroaryl</sub>) where R<sub>C-aryl</sub> and R<sub>C-heteroaryl</sub> are as defined above,

10 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> where R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20 (XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (XXII) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is as defined above and R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,

(XXIII) -CH(-R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub>)-CO-O(C<sub>1</sub>-C<sub>4</sub> alkyl) where R<sub>C-aryl</sub> and R<sub>C-heteroaryl</sub> are as defined above,

(XXIV) -CH(-CH<sub>2</sub>-OH)-CH(-OH)-phenyl-NO<sub>2</sub>,

30 (XXV) (C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl)-OH,

(XXVII) -CH<sub>2</sub>-NH-CH<sub>2</sub>-CH(-O-CH<sub>2</sub>-CH<sub>3</sub>)<sub>2</sub>,

(XXVIII) -H, or

(XXIX) -(CH<sub>2</sub>)<sub>0-6</sub>-C(=NR<sub>1-a</sub>)(NR<sub>1-a</sub>R<sub>1-b</sub>) where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above;

or a pharmaceutically acceptable salt thereof.

146. A method of treatment according to claim 145, wherein the disease is Alzheimer's disease.

5

147. A method of treatment according to claim 145, wherein the method is helping prevent or delay the onset of Alzheimer's disease.

148. A method of treatment according to claim 145, wherein the disease is mild cognitive impairment.

149. A method of treatment according to claim 145, wherein the disease is Down's syndrome.

150. A method of treatment according to claim 145, wherein the disease is Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type.

151. A method of treatment according to claim 145, wherein the disease is cerebral amyloid angiopathy.

20

152. A method of treatment according to claim 145, wherein the disease is degenerative dementias.

25

153. A method of treatment according to claim 145, wherein the disease is diffuse Lewy body type of Alzheimer's disease.

154. A method of treatment according to claim 145, wherein the method is treating an existing disease.

30

155. A method of treatment according to claim 145, wherein the method is preventing a disease from developing.

156. A method of treatment according to claim 145, wherein the therapeutically effective amount for oral administration is from about 0.1 mg/day to about 1,000

mg/day; for parenteral, sublingual, intranasal, intrathecal administration is from about 0.5 to about 100 mg/day; for depo administration and implants is from about 0.5 mg/day to about 50 mg/day; for topical administration is from about 0.5 mg/day to about 200 mg/day; for rectal administration is from about 0.5 mg to about 500 mg.

5

157. A method of treatment according to claim 156, wherein the therapeutically effective amount for oral administration is from about 1 mg/day to about 100 mg/day and for parenteral administration is from about 5 to about 50 mg daily.

10 158. A method of treatment according to claim 157 where the therapeutically effective amount for oral administration is from about 5 mg/day to about 50 mg/day.

159. A method of treatment according to claim 145 where:

where  $R_1$  is:

15            $-(CH_2)_{0-1}-(R_1\text{-aryl})$ , or  
               $-(CH_2)_{n1}-(R_1\text{-heteroaryl})$

where  $R_N$  is:

$R_{N-1}\text{-}X_N$ , - where  $X_N$  is selected from the group consisting of:

20            $\text{-CO-}$ , and  
               $\text{-SO}_2\text{-}$ ,

where  $R_{N-1}$  is selected from the group consisting of:

25            $\text{-R}_N\text{-aryl}$ , and  
               $\text{-R}_N\text{-heteroaryl}$ ,  
               $\text{-CO-CH}(-(CH_2)_{0-2}\text{-O-}R_{N-10})-(CH_2)_{0-2}\text{-R}_N\text{-aryl/R}_N\text{-heteroaryl}$ , and

30           where  $R_C$  is:  
               $\text{-C}_1\text{-C}_8\text{ alkyl}$ ,  
               $-(CH_2)_{0-3}\text{-}(C_3\text{-}C_7)\text{ cycloalkyl}$ ,  
               $-(CR_{C-x}R_{C-y})_{0-4}\text{-R}_C\text{-aryl}$ ,  
               $-(CR_{C-x}R_{C-y})_{0-4}\text{-R}_C\text{-heteroaryl}$ ,  
               $-(CR_{C-x}R_{C-y})_{0-4}\text{-R}_C\text{-heterocycle}$ , or  
               $\text{-cyclopentyl or -cyclohexyl ring fused to R}_C\text{-aryl or R}_C\text{-heteroaryl or R}_C\text{-heterocycle}$ .

160. A method of treatment according to claim 159 where:

where R<sub>1</sub> is:

- (CH<sub>2</sub>)-(R<sub>1</sub>-aryl), or
- (CH<sub>2</sub>)-(R<sub>1</sub>-heteroaryl);

where R<sub>2</sub> is -H;

5 where R<sub>3</sub> is -H;

where R<sub>N</sub> is:

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is:

- CO-,

where R<sub>N-1</sub> is selected from the group consisting of:

10 -R<sub>N</sub>-aryl, and  
-R<sub>N</sub>-heteroaryl,

where R<sub>C</sub> is:

- (CH<sub>2</sub>)<sub>0-3</sub>-(C<sub>3</sub>-C<sub>7</sub>) cycloalkyl,
- (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl,
- 15 - (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl,
- (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heterocycle, or
- cyclopentyl or -cyclohexyl ring fused to a R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle.

20 161. A method of treatment according to claim 160 where R<sub>C</sub> is:

- (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl,
- (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl, or
- cyclopentyl or -cyclohexyl ring fused to a R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle.

25

162. A method of treatment according to claim 145 where R<sub>1</sub> is:

- (CH<sub>2</sub>)-(R<sub>1</sub>-aryl) where R<sub>1</sub>-aryl is phenyl.

163. A method of treatment according to claim 162 where R<sub>1</sub> is:

30 - (CH<sub>2</sub>)-(R<sub>1</sub>-aryl) where R<sub>1</sub>-aryl is phenyl substituted with two -F.

164. A method of treatment according to claim 163 where the -F substitution is 3,5-difluorobenzyl.

165. A method of treatment according to claim 145 where R<sub>2</sub> is -H.

166. A method of treatment according to claim 145 where R<sub>3</sub> is -H.

5 167. A method of treatment according to claim 145 where R<sub>N</sub> is

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N</sub>-aryl where R<sub>N</sub>-aryl is phenyl substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on phenyl is 1,3-.

168. A method of treatment according to claim 167 where R<sub>N-2</sub> and R<sub>N-3</sub> are the same  
10 and are C<sub>3</sub> alkyl.

169. A method of treatment according to claim 145 where R<sub>N</sub> is

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N</sub>-aryl where R<sub>N</sub>-aryl is phenyl substituted with one C<sub>1</sub> alkyl and with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where the substitution on  
15 the phenyl is 1,3,5-.

170. A method of treatment according to claim 169 where R<sub>N-2</sub> and R<sub>N-3</sub> are the same  
and are C<sub>3</sub> alkyl.

20 171. A method of treatment according to claim 145 where R<sub>N</sub> is

R<sub>N-1</sub>-X<sub>N</sub>- where X<sub>N</sub> is -CO-, where R<sub>N-1</sub> is R<sub>N</sub>-heteroaryl where R<sub>N</sub>-heteroaryl is substituted with one -CO-NR<sub>N-2</sub>R<sub>N-3</sub>.

172. A method of treatment according to claim 171 where R<sub>N-2</sub> and R<sub>N-3</sub> are the same  
25 and are -C<sub>3</sub> alkyl.

173. A method of treatment according to claim 145 where R<sub>C</sub> is:

- (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl where R<sub>C</sub>-aryl is phenyl,  
- (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-heteroaryl, or  
30 -cyclopentyl or -cyclohexyl ring fused to a R<sub>C</sub>-aryl or R<sub>C</sub>-heteroaryl or R<sub>C</sub>-heterocycle.

174. A method of treatment according to claim 173 where R<sub>C</sub> is:

- (CR<sub>C-x</sub>R<sub>C-y</sub>)<sub>0-4</sub>-R<sub>C</sub>-aryl where R<sub>C</sub>-aryl is phenyl.

175. A method of treatment according to claim 174 where phenyl is substituted in the 3-position or 3,5-positions.

176. A method of treatment according to claim 173 where R<sub>C</sub> is:

5 -(CH<sub>2</sub>)-R<sub>C-heteroaryl</sub>.

177. A method of treatment according to claim 173 where R<sub>C</sub> is:

-(CH<sub>2</sub>)-R<sub>C-heterocycle</sub>.

10 178. A method of treatment according to claim 173 where R<sub>C</sub> is:

-cyclohexyl ring fused to a phenyl ring.

179. A method of treatment according to claim 145 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids  
15 acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycolylarsanilic, hexamic, hexylresorcinic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic,  
20 methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, succinic, sulfamic, sulfanilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

25

180. A method of treatment according to claim 145 where the substituted amine (X) is selected from the group consisting of:

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(ethylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(benzylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopropylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(4-toluidino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(4-methoxyphenyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[{(3-methoxybenzyl)amino}propyl]}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- ethyl {[{3S)-3-({3-[(dipropylamino)carbonyl]benzoyl}amino)-2-hydroxy-4-phenylbutyl]amino}(phenyl)acetate,
- N<sup>1</sup>-((1S)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2-chlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(4-chlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-
- 20 hydroxyethoxy)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-1-ylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxypropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(tetrahydro-2-furanyl methyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,2-diethoxyethyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(butylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(cyclohexylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(1-pyrrolidinyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-butoxypropyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-isopropoxypropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-[(1S,2R)-1-benzyl-2-hydroxy-3-(isopentylamino)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-phenylpropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,3-dimethylbutyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-phenylbutyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S)-1-benzyl-2-hydroxy-3-[(4-nitrobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 35 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-chlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[2-(4-chlorophenyl)ethyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(2-pyridinyl)ethyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-pyridinylmethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{{(1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(1-methyl-2-pyrrolidinyl)ethyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(2,3-dimethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{[2-(trifluoromethoxy)benzyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(2-chloro-6-phenoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-2-hydroxy-3-{{[4-(trifluoromethyl)benzyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(2,3-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-3-[(3,5-difluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{[4-(trifluoromethoxy)benzyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-({2-[4-(aminosulfonyl)phenyl]ethyl}amino)-1-benzyl-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-methylbenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,4,5-trimethoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{[3-(trifluoromethoxy)benzyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-benzyl-2-hydroxy-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,4-dimethoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino} propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-methylbenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-phenylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-phenylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-3-{[3,5-bis(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethyl)benzyl]amino} propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-1-(1-naphthyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-1-(1-naphthyl)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(4-hydroxy-3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dihydroxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1S)-2-hydroxy-1-methylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(1R)-2-hydroxy-1-methylethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}(2\text{-propynylamino)propyl]}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(2\text{-fluorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 5       $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(3\text{-fluorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(4\text{-fluorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 10      $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(4\text{-bromophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(3\text{-methoxyphenyl)ethyl]amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(2,4\text{-dichlorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 15      $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(3\text{-chlorophenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2\text{-}(2,5\text{-dimethoxyphenyl)ethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(4\text{-methylphenyl)ethyl]amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 20      $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[(1R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxyethyl]amino}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[3\text{-}(4\text{-morpholinyl)propyl]amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 25      $N^1\text{-}[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}(isobutylamino)propyl]\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(4\text{-morpholinyl)ethyl]amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 30      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-hydroxybutyl]amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(2\text{-thienyl)ethyl]amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[4\text{-hydroxybutyl]amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1S)\text{-}2\text{-hydroxy}\text{-}1\text{-phenylethyl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[2,4\text{-dichlorobenzyl}]amino\}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 5       $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1R)\text{-}2\text{-hydroxy}\text{-}1\text{-phenylethyl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[4\text{-tert-butylbenzyl}]amino\}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 10      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1\text{-phenylethyl})amino]\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1R,2S)\text{-}2\text{-hydroxy}\text{-}2,3\text{-dihydro}\text{-}1H\text{-inden-1-yl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}3\text{-}\{[3,4\text{-dimethylbenzyl}]amino\}\text{-}2\text{-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 15      $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(isobutylamino)\text{-}1\text{-methyl-2-oxoethyl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1S)\text{-}2\text{-}(isobutylamino)\text{-}1\text{-methyl-2-oxoethyl}]amino\}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1S)\text{-}2\text{-}(isobutylamino)\text{-}1\text{-methyl-2-oxoethyl}]amino\}\text{propyl}\}\text{-}N^5,N^5\text{-dipropyl-3,5-pyridinedicarboxamide}$ ,  
 20      $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(isobutylamino)\text{-}1,1\text{-dimethyl-2-oxoethyl}]amino\}\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-}(isobutylamino)\text{-}2\text{-oxoethyl}]amino\}\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 25      $N^1\text{-}\{[(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1S)\text{-}1\text{-}[isobutylamino)carbonyl]\text{propyl}\}\text{amino}\}\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{[(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1R)\text{-}1\text{-}[isobutylamino)carbonyl]\text{propyl}\}\text{amino}\}\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 30      $N^1\text{-}\{[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{[(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}(ethylamino)\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide}$ ,

- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isobutylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(isobutylamino)-2-methyl-3-oxopropyl}amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5       $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-
- 10     [(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)ethyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
- 15     pyridinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-
- 20     phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-
- [(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-
- 25     [(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-
- 30     phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-3-{[(1S)-2-(benzylamino)-1-methyl-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-1-
- phenylpropyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1S)-2-(ethylamino)-1-methyl-2-oxoethyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-2-oxo-1-phenylethyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
5        $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
10       $N^1$ -[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
           $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
           $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-2-phenylethyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
15       $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3R,5S)-3,5-dimethoxycyclohexyl]amino}-2-hydroxypropyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
          dimethyl (1R,3S)-5-{{(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-(dipropylamino)carbonyl]-5-methylbenzoyl)amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylate,  
20      (1R,3S)-5-{{(2R,3S)-4-(3,5-difluorophenyl)-3-[(3-(dipropylamino)carbonyl]-5-methylbenzoyl)amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,  
           $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1-phenylpropyl]amino)propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
25       $N^1$ -[(1S,2R)-3-[(3-chlorobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
          N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(2-propylpentyl)sulfonyl]benzamide,  
           $N^1$ -[(1S,2R)-3-[(1,1'-biphenyl)-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
30       $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,  
           $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
phenylpropyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
yImethyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
thienylmethyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
tetrahydro-1-naphthalenyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
pyrazinylmethyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[  
[(3,5-difluorobenzyl)amino]-2-  
hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-3-[  
[(1,3-benzodioxol-5-ylmethyl)amino]-1-benzyl-2-  
hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[  
[(3,5-dimethoxybenzyl)amino]-2-  
hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
[trifluoromethyl]benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
tetrahydro-1-naphthalenyl]amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
[3-  
(trifluoromethoxy)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[  
[(3-fluorobenzyl)amino]-2-  
hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[  
[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-  
hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
[(5-methyl-2-  
furyl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
[(5-methoxy-1,2,3,4-  
tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[  
[(5-methoxy-1,2,3,4-  
tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}[(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}(1,2,3,4\text{-tetrahydro}\text{-}1\text{-naphthalenylamino})\text{propyl}]\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}5\text{-methoxy}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 5       $N^1\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}5\text{-chloro}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^3\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}10\text{ }N^5,N^5\text{-dipropyl-3,5-pyridinedicarboxamide}$ ,  
 $N^1\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}5\text{-fluoro}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 15       $N^2\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}N^5,N^5\text{-dipropyl-2,5-thiophenedicarboxamide}$ ,  
 $N^4\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}N^2,N^2\text{-dipropyl-2,4-pyridinedicarboxamide}$ ,  
 $N^4\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}N^6,N^6\text{-dipropyl-4,6-pyrimidinedicarboxamide}$ ,  
 $N\text{-}[(1S,2R)\text{-}3\text{-}(benzylamino)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}3\text{-}(4\text{-morpholinylcarbonyl)benzamide}$ ,  
 20       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}benzyl\text{-}2\text{-hydroxy-3-[}(3\text{-methylbenzyl)amino]propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3-[}(3\text{-methoxybenzyl)amino]propyl}\}\text{-}N^5,N^5\text{-dipropylpentanediamide}$ ,  
 25       $N^1\text{-}[(1S,2R)\text{-}3\text{-}\{[(1R)\text{-}1\text{-}[(benzyloxy)methyl]\text{-}2\text{-}(isobutylamino)\text{-}2\text{-oxoethyl)amino}\}\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxypropyl}]\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}((1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3-[}(1R)\text{-}1\text{-}(hydroxymethyl)\text{-}2\text{-}(isobutylamino)\text{-}2\text{-oxoethyl)amino]propyl}\)\text{-}5\text{-methyl-N}^3,N^3\text{-dipropylisophthalamide}$ ,  
 30       $N^1\text{-}[(1S,2R)\text{-}1\text{-}benzyl\text{-}2\text{-hydroxy-3-(pentylamino)propyl}]\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
 $N^1\text{-}[(1S)\text{-}3\text{-}\{2\text{-}[4\text{-}(aminosulfonyl)phenyl]ethyl\}amino)\text{-}1\text{-}benzyl\text{-}2\text{-hydroxypropyl}]\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,

N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,  
 3-benzoyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}benzamide,  
 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}[1,1'-biphenyl]-3-carboxamide,  
 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-(2-methoxyethyl)-N<sup>3</sup>-dipropylisophthalamide,  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-ethoxybenzamide,  
 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-naphthamide,  
 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 15 N<sup>1</sup>-[(1R)-3-{{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,3-difluorobenzyl)amino]-2-hydroxypropyl}-  
 20 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[3-fluoro-4-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(2,5-difluorobenzyl)amino]-2-hydroxypropyl}-  
 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 25 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-difluorobenzyl)amino]-2-hydroxypropyl}-  
 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[4-fluoro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 30 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[2-chloro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[4-chloro-3-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-(2,3-dihydro-1H-inden-2-ylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S)-1-benzyl-2-hydroxy-3-[(3-nitrobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[3-(difluoromethoxy)benzyl]amino}-2-hydroxypropyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{{(5-methyl-2-pyrazinyl)methyl}amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenoxyethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(4-methyl-1,3-thiazol-2-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,
- 25 N<sup>2</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-2,5-furandicarboxamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-trifluoromethyl)benzyl}amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- 30 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1,2-diphenylethyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(7\text{-methoxy}\text{-}1,2,3,4\text{-tetrahydro}\text{-}1\text{-naphthalenyl})\text{amino}]\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- isomer A,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(7\text{-methoxy}\text{-}1,2,3,4\text{-tetrahydro}\text{-}1\text{-naphthalenyl})\text{amino}]\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- isomer B,
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}3\text{-}(dimethylamino)benzamide,$
- $N\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}2\text{-methyl}\text{-}1H\text{-benzimidazole}\text{-}5\text{-carboxamide,}$
- $3\text{-}(aminosulfonyl)\text{-}N\text{-}\{(1S)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}4\text{-chlorobenzamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}3\text{-cyanobenzamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}4\text{-chloro}\text{-}3\text{-nitrobenzamide,}$
- $methyl\ 3\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{amino}]\text{carbonyl}\}\text{-}5\text{-nitrobenzoate,}$
- $tert\text{-butyl}\ 3\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{amino}]\text{carbonyl}\}\text{phenylcarbamate,}$
- $N\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}9,10\text{-dioxo}\text{-}9,10\text{-dihydro}\text{-}2\text{-anthrancenylcarboxamide,}$
- $N\text{-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}1H\text{-}1,2,3\text{-benzotriazole}\text{-}6\text{-carboxamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}4\text{-}(3\text{-methyl}\text{-}5\text{-oxo}\text{-}4,5\text{-dihydro}\text{-}1H\text{-pyrazol}\text{-}1\text{-yl})benzamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}1H\text{-indole}\text{-}5\text{-carboxamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}3\text{-fluoro}\text{-}5\text{-}(trifluoromethyl)benzamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}3\text{-}(trifluoromethyl)benzamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}4\text{-}(butylamino)benzamide,}$

- N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ -3-(trifluoromethoxy)benzamide,
- N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ -3,5-dimethoxybenzamide,
- 5 N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ -3,5-dimethylbenzamide,
- N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ -3,5-difluorobenzamide,
- 10 N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ -3,5-dichlorobenzamide,
- N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ -4-(benzyloxy)benzamide,
- N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ -1,3-benzodioxole-5-carboxamide,
- 15 3-(acetylamino)-N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ benzamide,
- 4-(acetylamino)-N- $\{(1S,2R)$ -1-benzyl-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl $\}$ benzamide,
- N<sup>1</sup>- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-3-{[(3,5-dimethyl-4-
- 20 isoxazolyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3-[ $(3$ -phenylpropyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-3-[ $(3$ -furylmethyl)amino]-2-
- 25 hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3-[ $(tetrahydro-3$ -furanyl)methyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3-[ $(3$ -propoxybenzyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3-[ $(2$ -pyridinyl)methyl)amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{[(1S,2R)$ -3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[1\text{-methyl}\text{-}1\text{-}(3\text{-methylphenyl)ethyl]amino}\text{ propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[1S)\text{-}1,2,3,4\text{-tetrahydro}\text{-}1\text{-naphthalenylamino}\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
5       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}\{[2,5\text{-dimethylbenzyl)amino}\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}3\text{-}\{[2\text{-chloro}\text{-}5\text{-}(trifluoromethyl)benzyl]amino}\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
10      $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[2\text{-hydroxy}\text{-}5\text{-methylbenzyl)amino}\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(1S,2R)\text{-}2\text{-hydroxy}\text{-}2,3\text{-dihydro}\text{-}1H\text{-inden}\text{-}1\text{-yl]amino}\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}3\text{-}\{[(1R)\text{-}2,3\text{-dihydro}\text{-}1H\text{-inden}\text{-}1\text{-ylamino}\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
15      $5\text{-chloro}\text{-}N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[1\text{-methyl}\text{-}1\text{-phenylethyl)amino}\text{propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}3\text{-}\{[(1\text{-benzofuran}\text{-}2\text{-ylmethyl)amino}\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}3\text{-}\{[(1R)\text{-}1\text{-}(3\text{-bromophenyl)ethyl]amino}\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
20      $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(4\text{-fluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-iodobenzyl)amino}\text{propyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)amino}\text{propyl}\}\text{-}3\text{-}[butyl(butyryl)amino]\text{-}5\text{-methylbenzamide},$   
25      $N^1\text{-}\{1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)amino}\text{propyl}\}\text{-}4\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
 $N^3\text{-}\{1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)amino}\text{propyl}\}\text{-}4\text{-methyl}\text{-}N^1,N^1\text{-dipropylisophthalamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)amino}\text{propyl}\}\text{-}4\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$   
30      $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl)amino}\text{propyl}\}\text{-}1\text{-butyl}\text{-}1H\text{-indole}\text{-}6\text{-carboxamide},$   
 $N^1\text{-}\{(1S,2R)\text{-}3\text{-anilino}\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxypropyl}\}\text{-}5\text{-methyl}\text{-}N^3,N^3\text{-dipropylisophthalamide},$

5-bromo-N<sup>1</sup>-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-methylpentanamide,  
5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methylpentanamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
10 cyano-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide hydrochloride,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
1- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide trifluoroacetate,  
15 5-(aminosulfonyl)-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
20 [(methylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
[(dimethylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-  
methyl-3-(methylsulfonyl)propanamide,  
25 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-  
(methylsulfonyl)propanamide,  
2-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-thiazole-4-carboxamide,  
30 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
(methylsulfonyl)pentanamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>4</sup>-  
phenylsuccinamide,  
(3R)-N<sup>4</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-  
2,2,3-trimethylbutanediamide,

- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,
- N<sup>1</sup>-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,
- 5 N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-oxo-4-(1-piperidinyl)butanamide,
- N<sup>1</sup>-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,
- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-oxo-10 5-(1-piperidinyl)pentanamide,
- N<sup>1</sup>-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>-phenylpentanediamide,
- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3,3-dimethyl-4-oxo-4-(1-piperidinyl)butanamide,
- 15 N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-(isopentylsulfonyl)butanamide,
- N<sup>1</sup>-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2,2-dimethyl-N<sup>4</sup>,N<sup>4</sup>-dipropylsuccinamide,
- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-20 [(dipropylamino)sulfonyl]butanamide,
- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-[(methylanilino)sulfonyl]butanamide,
- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(methylanilino)sulfonyl]propanamide,
- 25 N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}acetamide, N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(isopentylsulfonyl)propanamide,
- N-<{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,
- 30 N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide and
- N-<{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-[(3-methoxybenzyl)amino]propyl}\text{-}5\text{-ethyl-N}^3\text{,N}^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-[(3-methoxybenzyl)amino]propyl}\text{-}5\text{-isobutyl-N}^3\text{,N}^3\text{-dipropylisophthalamide,}$
- 5        $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-[(3-methoxybenzyl)amino]propyl}\text{-}5\text{-tert-butyl-N}^3\text{,N}^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-[(3-methoxybenzyl)amino]propyl}\text{-}5\text{-cyano-N}^3\text{-propylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)}\text{-}2\text{-hydroxy}\text{-}3\text{-[(3-methoxybenzyl)amino]propyl}\text{-}N^3\text{,N}^3\text{-dipropyl-1,3,5-benzenetricarboxamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-[(3-methoxybenzyl)amino]propyl}\text{-}N^3\text{,N}^3\text{-dimethyl-N}^5\text{,N}^5\text{-dipropyl-1,3,5-benzenetricarboxamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}3\text{-amino-1-benzyl-2-hydroxypropyl}\text{-}N^3\text{,N}^3\text{-dipropyl-1,3,5-benzenetricarboxamide,}$
- 10       $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl-2-hydroxy-3-(isopentylamino)propyl}\text{-}N^3\text{,N}^3\text{-dipropyl-1,3,5-benzenetricarboxamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}\text{-}N^3\text{,N}^3\text{-dipropyl-1,3,5-benzenetricarboxamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}\text{-}3\text{-[butyryl(propyl)amino]-5-methylbenzamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}\text{-}1\text{-propyl-1H-indole-6-carboxamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)}\text{-}2\text{-hydroxy-3-[(3-methoxybenzyl)amino]propyl}\text{-}1\text{-propyl-1H-indole-6-carboxamide,}$
- 15       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)}\text{-}3\text{-[(3,4-dimethylbenzyl)amino]\text{-}2\text{-hydroxypropyl}\text{-}5\text{-methyl-N}^3\text{,N}^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}3\text{-[(3-aminobenzyl)amino]\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxypropyl}\text{-}5\text{-methyl-N}^3\text{,N}^3\text{-dipropylisophthalamide,}}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy-3-[(3-iodobenzyl)amino]propyl}\text{-octanamide,}$
- 20       $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)\text{-}2\text{-hydroxy-3-[(1-methyl-1-[3-(trifluoromethyl)phenyl]ethyl)amino]propyl}\text{-}N^5\text{,N}^5\text{-dipropyl-3,5-pyridinedicarboxamide,}$

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[1\text{-}methyl\text{-}1\text{-}[3\text{-}(trifluoromethyl)phenyl]ethyl\}amino\}propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(1R,2S)\text{-}2\text{-}hydroxy\text{-}2,3\text{-}dihydro\text{-}1H-inden\text{-}1\text{-}yl]amino\}propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}\{[(1R)\text{-}2,3\text{-}dihydro\text{-}1H-inden\text{-}1\text{-}yl]amino\}\text{-}2\text{-}hydroxypropyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[3\text{-}iodobenzyl]amino\}propyl\}\text{-}3\text{-}methylbenzamide,$
- 10       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}(1H\text{-}isoindol\text{-}3\text{-}ylamino)propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(1R,2S,5R)\text{-}2\text{-}isopropyl\text{-}5\text{-}methylcyclohexyl]amino\}propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1,N^1\text{-}diallyl\text{-}5\text{-}chloro\text{-}N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(1\text{-}methyl\text{-}1\text{-}phenylethyl)amino]propyl\}\}isophthalamide,$
- 15       $N^1,N^1\text{-}diallyl\text{-}5\text{-}chloro\text{-}N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(1\text{-}methyl\text{-}1\text{-}phenylethyl)amino]propyl\}\}isophthalamide,$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[1\text{-}phenylcyclopentyl]amino\}propyl\}\text{-}N^5,N^5\text{-}dipropyl\text{-}3,5\text{-}pyridinedicarboxamide,$
- 20       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}\{[(3\text{-}ethylbenzyl)amino]\text{-}2\text{-}hydroxypropyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}\{[3\text{-}(dimethylamino)benzyl]amino\}\text{-}2\text{-}hydroxypropyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 25       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}\{[(4,5\text{-}dimethyl\text{-}2\text{-}furyl)methyl]amino\}\text{-}2\text{-}hydroxypropyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(1\text{-}phenylcyclopentyl)amino]propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}3\text{-}(cyclopropylamino)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxypropyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- 30       $N^1\text{-}\{(1S,2R)\text{-}3\text{-}\{[(cyclopropylmethyl)amino]\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxypropyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-dipropylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(3\text{-}iodobenzyl)amino]propyl\}\text{-}N^5,N^5\text{-}dipropylpentanediamide,$

$N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}[(2\text{-}furylmethyl)amino]\text{-}2\text{-}hydroxypropyl}\}\text{-}N^5,N^5\text{-}dipropyl\text{-}3,5\text{-}pyridinedicarboxamide,$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(tetrahydro\text{-}2\text{-}furanylmethyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
5        $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(1\text{-}phenylcyclopropyl)amino]propyl}\}\text{-}N^5,N^5\text{-}dipropyl\text{-}3,5\text{-}pyridinedicarboxamide,$   
       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(2\text{-}oxo\text{-}3\text{-}azepanyl)amino]propyl}\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(3\text{-}methyl\text{-}2\text{-}furyl)methyl]amino\}propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
10       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(2S)\text{-}tetrahydro\text{-}2\text{-}furanylmethyl]amino\}propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
       $5\text{-}chloro\text{-}N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(1\text{-}methyl\text{-}1\text{-}phenylethyl)amino]propyl\}\text{-}N^3,N^3\text{-}di(2\text{-}propynyl)isophthalamide,$   
15       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(3\text{-}isopropenylbenzyl)amino]propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(2\text{-}prooxyethyl)amino]propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
       $N^1\text{-}\{[(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}3\text{-}(hexylamino)\text{-}2\text{-}hydroxypropyl]\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
20       $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(3\text{-}iodobenzyl)amino]propyl\}\text{-}4\text{-}(3\text{-}methyl\text{-}5\text{-}oxo\text{-}4,5\text{-}dihydro\text{-}1H\text{-}pyrazol\text{-}1\text{-}yl)benzamide,$   
      methyl 4-\{[(2R,3S)\text{-}4\text{-}(3,5\text{-}difluorophenyl)\text{-}3\text{-}\{3\text{-}[(dipropylamino)carbonyl]\text{-}5\text{-}methylbenzoyl\}amino\}\text{-}2\text{-}hydroxybutyl\}amino\}methyl)benzoate,  
25       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(2\text{-}methoxyethyl)amino]propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
       $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(5\text{-}isoxazolylmethyl)amino]propyl\}\text{-}5\text{-}methyl\text{-}N^3,N^3\text{-}dipropylisophthalamide,$   
30       $(1R,2R)\text{-}N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}[(3\text{-}iodobenzyl)amino]propyl\}\text{-}N^2,N^2\text{-}dipropyl\text{-}1,2\text{-}cyclopropanedicarboxamide,$   
       $N^3\text{-}\{[(1S,2R)\text{-}1\text{-}(3,5\text{-}difluorobenzyl)\text{-}2\text{-}hydroxy\text{-}3\text{-}\{[(2S)\text{-}tetrahydro\text{-}2\text{-}furanylmethyl]amino\}propyl\}\text{-}N^5,N^5\text{-}dipropyl\text{-}3,5\text{-}pyridinedicarboxamide,$

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5       4-(butyrylamino)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}benzamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-amino-3-oxopropyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-
- 10     N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide 1-oxide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15     N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-methyl-1,3-thiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20     N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-1,3-thiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3R)-2-oxoazepanyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(cyclobutylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25     N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-
- 30     methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-methyl-2-furyl)methyl}amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,

- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[1-(2-furyl)-1-methylethyl]amino}-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5        $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,
- $N^1$ -{{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-
- 10      dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-benzyl-3-{{[2-(2-chlorophenyl)ethyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{{[3-(2-oxo-1-pyrrolidinyl)propyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15       $N^1$ -{{(1S,2R)-1-benzyl-3-[(cyclohexylmethyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-benzyl-3-(cyclopropylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-
- dipropylisophthalamide,
- $N^1$ -{{(1S,2R)-1-benzyl-2-hydroxy-3-[(2-oxo-3-azepanyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-
- 20      dipropylisophthalamide,
- N-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-(butylsulfonyl)benzamide,
- $N^1$ -[(1S,2R)-1-benzyl-3-{{2-[(2-ethylhexyl)oxy]ethyl}amino}-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25       $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{{[(1S,2R)-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-benzyl-2-hydroxy-3-{{[1-(4-hydroxyphenyl)ethyl]amino}propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-benzyl-3-(cycloheptylamino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-
- 30      dipropylisophthalamide,
- $N^1$ -{{(1S,2R)-1-benzyl-3-{{[1,1'-biphenyl]-2-ylmethyl}amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -{{(1S,2R)-1-benzyl-3-[(2-fluorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-
- dipropylisophthalamide,

- N- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl}-3-(dimethylamino)benzamide,
- N- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3-[ $(3$ -methoxybenzyl)amino]propyl}-1-naphthamide,
- 5        N<sup>1</sup>-[(1S,2R)-1-benzyl-3-( $\{2$ -[ $\{5$ -[(dimethylamino)methyl]-2-furyl}methyl)sulfanyl]ethyl)amino]-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-benzyl-3-( $\{2$ -[(2-chloro-6-fluorobenzyl)sulfanyl]ethyl)amino)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3- $\{[(1,1'$ -biphenyl]-4-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-
- 10      hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1-naphthylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3-[ $(1H$ -imidazol-5-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15      N<sup>1</sup>- $\{[(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3- $\{[(2$ -phenyl-1H-imidazol-5-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{[(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3- $\{[(1$ -methyl-1H-imidazol-2-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{[(1S,2R)$ -3- $\{[(2$ -butyl-4-chloro-1H-imidazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20      N<sup>1</sup>- $\{[(1S,2R)$ -3- $\{[(6$ -chloroimidazo[2,1-b][1,3]thiazol-5-yl)methyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{[(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3- $\{[(1$ -methyl-1H-benzimidazol-2-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25      N<sup>1</sup>- $\{[(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3- $\{[(2$ -hydroxy-1-naphthyl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{[(1S,2R)$ -1-(3,5-difluorobenzyl)-2-hydroxy-3- $\{[(4$ -oxo-4H-chromen-3-yl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>- $\{[(1S,2R)$ -1-(3,5-difluorobenzyl)-3- $\{[(1,5$ -dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30      N<sup>1</sup>- $\{[(1S,2R)$ -3- $\{[5$ -cyano-6-(methylsulfanyl)-2-pyridinyl]methyl]amino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- [5-({[(2R,3S)-4-(3,5-difluorophenyl)-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-2-furyl]methyl acetate,
- N<sup>1</sup>-[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5       methyl 4-({[(2R,3S)-4-(3,5-difluorophenyl)-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[1-(phenylsulfonyl)-1H-pyrrol-2-yl]methyl}amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10      N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[1-methyl-1H-pyrrol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-{{[(4-chloro-1-methyl-1H-pyrazol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[(3,5-dimethyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15      N<sup>1</sup>-[(1S,2R)-3-{{[(5-chloro-3-methyl-1-phenyl-1H-pyrazol-4-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(3-phenyl-1H-pyrazol-4-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20      N<sup>1</sup>-[(1S,2R)-3-{{[(5-chloro-2-thienyl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(3-phenoxy-2-thienyl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25      N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-quinolinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-quinolinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1-methyl-1H-indol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30      N<sup>1</sup>-[(1S,2R)-3-{{[(1-benzyl-1H-indol-3-yl)methyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[(1-methyl-1H-indol-3-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$\text{N}^1\text{-}\{(1S,2R)\text{-1-(3,5-difluorobenzyl)-2-hydroxy-3-[}\{\{1\text{-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl} \text{methyl}\}\text{amino}\}\text{propyl}\}\text{-5-methyl-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 5  $\text{N}^1\text{-}\{(1S,2R)\text{-3-[}\{[(2-butyl-1H-imidazol-5-yl)methyl]\text{amino}\}\text{-1-(3,5-difluorobenzyl)-2-hydroxypropyl}\}\text{-5-methyl-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 10  $\text{methyl 3-}\{[(2R,3S)\text{-4-(3,5-difluorophenyl)-3-(}\{3\text{-[dipropylamino]carbonyl}\text{-5-methylbenzoyl}\}\text{amino)-2-hydroxybutyl}\]\text{amino}\}\text{methyl}\}\text{-1H-indole-6-carboxylate,}$   
 15  $\text{3-}\{[(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-amino}\}\text{carbonyl}\}\text{-5-[butyl(butyryl)\text{amino}\}]\text{benzyl diethyl phosphate,}$   
 20  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-5-(cyanomethyl)-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 25  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-5-(hydroxymethyl)-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 30  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-5-ethynyl-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 35  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-iodobenzyl}\}\text{amino}\}\text{propyl}\}\text{-N}^3,\text{N}^3\text{-dipropyl-5-prop-1-ynilisophthalamide,}$   
 40  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-trifluoromethylbenzyl}\}\text{amino}\}\text{propyl}\}\text{-5-ethynyl-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 45  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-iodobenzyl}\}\text{amino}\}\text{propyl}\}\text{-5-ethynyl-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 50  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-3-[}\{3\text{-fluorobenzyl}\}\text{amino}\}\text{-2-hydroxypropyl}\}\text{-5-ethynyl-N}^3,\text{N}^3\text{-dipropylisophthalamide,}$   
 55  $\text{N}^1\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-N}^3,\text{N}^3\text{-dipropyl-5-(8-quinolinyl)isophthalamide,}$   
 60  $\text{N}^3\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-4'-methoxy-N}^5,\text{N}^5\text{-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,}$   
 65  $\text{N}^3\text{-}\{(1S,2R)\text{-1-(3,5-difluorobenzyl)-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-N}^5,\text{N}^5\text{-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,}$   
 70  $\text{N}^3\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-N}^5,\text{N}^5\text{-dipropyl[1,1'-biphenyl]-3,5-dicarboxamide,}$   
 75  $\text{N}^3\text{-}\{(1S,2R)\text{-1-benzyl-2-hydroxy-3-[}\{3\text{-methoxybenzyl}\}\text{amino}\}\text{propyl}\}\text{-4'-(dimethylamino)sulfonyl-N}^5,\text{N}^5\text{-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,}$

- N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4'-[(dimethylamino)sulfonyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-1,1'-biphenyl-3,5-dicarboxamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(3-thienyl)isophthalamide,
- 5 N-{(1R,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-pentanoylbenzamide,  
N<sup>1</sup>-(4-hydroxybutyl)-N<sup>3</sup>-{(1S)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>1</sup>-propylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>-(3-hydroxypropyl)-5-methyl-N<sup>3</sup>-  
10 propylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-3-{{[3-(2,4-dimethylphenyl)propyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-{{[3-(4-methylphenyl)propyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-1,3-dioxo-2-propyl-5-isoindolinecarboxamide,  
N-{(1R,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-bromo-5-methylbenzamide,  
3-bromo-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methylbenzamide,  
25 N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
30 N<sup>3</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-N<sup>1</sup>,N<sup>1</sup>-dipropylisophthalamide,  
N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-(2-furyl)-5-methylbenzamide,

- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3',5,5'-trimethyl-1,1'-biphenyl-3-carboxamide,
- 3'-Acetyl-N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,
- 5 N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3'-methoxy-5-methyl[1,1'-biphenyl]-3-carboxamide,
- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl[1,1'-biphenyl]-3-carboxamide,
- N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-thienyl)benzamide,
- N-<{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide,
- N-<{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-methyl-5-(3-thienyl)benzamide,
- 15 N-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-methyl-3-(3-thienyl)benzamide,
- N<sup>1</sup>-<{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>,N<sup>5</sup>,N<sup>5</sup>-tetrapropylbenzene-1,3,5-tricarboxamide,
- N<sup>1</sup>-<{(1S,2R)-1-(3,5-Difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,
- 20 Ethyl 3-[<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}amino]carbonyl]-5-[(dipropylamino)carbonyl]benzoate,
- N<sup>1</sup>-<{(1S,2R)-2-Hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylbenzene-1,3,5-tricarboxamide,
- 25 N<sup>1</sup>-<{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-<{[(trifluoromethyl)sulfonyl]amino}isophthalamide,
- 5-Amino-N<sup>1</sup>-<{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-<{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-<{[(trifluoroacetyl)amino]isophthalamide},
- N<sup>1</sup>-<{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-<{[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide},

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-Benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropyl\text{-}5\text{-}\{[(thien-2-ylsulfonyl)\text{amino}]\text{isophthalamide},
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-Benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropyl\text{-}5\text{-}\{[(thien-2-ylcarbonyl)\text{amino}]\text{isophthalamide},
- 5       $N^1\text{-}\{(1S,2R)\text{-}1\text{-Benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}5\text{-}$
- (methacryloylamino)\text{-}N^3,N^3\text{-dipropylisophthalamide},
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-Benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}5\text{-}$
- [(2,2-dimethylpropanoyl)\text{amino}]\text{-}N^3,N^3\text{-dipropylisophthalamide},
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-Benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}5\text{-}$
- 10     [(phenylsulfonyl)\text{amino}]\text{-}N^3,N^3\text{-dipropylisophthalamide}.
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}5\text{-}$
- (methylthio)pentanamide,
- tert-butyl (2R,3S)-3-(3-[dipropylamino]sulfonyl]- propanoyl)amino)-2-
- hydroxy-4-phenylbutyl(3-methoxybenzyl)carbamate
- 15      $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}3\text{-}$
- methyl-5-[propionyl(propyl)amino]benzamide,
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}1\text{-}$
- butyl-1H-indole-5-carboxamide,
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}3\text{-}$
- 20     bromo-5-methylbenzamide,
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}3\text{-}$
- [butyl(propionyl)amino]-5-methylbenzamide,
- $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}4\text{-}$
- methyl-1-propyl-1H-indole-6-carboxamide,
- 25      $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}1\text{-}(1\text{-}$
- propylbutyl)-1H-indole-6-carboxamide,
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(2\text{-oxo-2,3-dihydro-1,3-benzoxazol-6\text{-}}$
- yl)methyl]\text{amino}\}\text{propyl}\text{-}5\text{-methyl-N}^3,N^3\text{-dipropylisophthalamide},
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5-difluorobenzyl)\text{-}3\text{-}\{[(3\text{-ethylbenzyl})\text{amino}]\text{-}2\text{-}$
- 30     hydroxypropyl\text{-}N^3,N^3\text{-dipropyl-5-\{[(trifluoromethyl)sulfonyl]\text{amino}\}\text{isophthalamide},
- 3-\{((1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}
- methoxybenzyl)\text{amino}]\text{propyl}\text{amino}\}\text{carbonyl}\}\text{-}5\text{-}\{[(dipropylamino)\text{carbonyl}]\text{benzoic}
- acid,

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 hydroxypropyl} $\text{}}\text{-}N^3,N^3\text{-dipropyl}\text{-}5\text{-prop-1-ynylisophthalamide,}$   
 $N\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-methoxybenzyl)amino]propyl}\text{}}\text{-}2\text{-}$   
 (dipropylamino)isonicotinamide,  
 5       $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 iodobenzyl)amino]propyl} $\text{}}\text{-}2\text{-hydroxy}\text{-}2\text{-}(4\text{-methylphenyl)acetamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 iodobenzyl)amino]propyl} $\text{}}\text{-}4\text{-hydroxy}\text{-}N^3\text{-methylisophthalamide,}$   
 $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 10     iodobenzyl)amino]propyl} $\text{}}\text{-}2\text{-hydroxy}\text{-}2\text{-}(4\text{-methoxy}\text{-}3\text{-nitrophenyl)acetamide,}$   
 $5\text{-}(aminosulfonyl)\text{-}N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 iodobenzyl)amino]propyl} $\text{}}\text{-}2\text{-methoxybenzamide,}$   
 $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 iodobenzyl)amino]propyl} $\text{}}\text{-}4\text{-hydroxy}\text{-}3\text{-}(pyrrolidin-1-ylcarbonyl)benzamide,}$   
 15      $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 iodobenzyl)amino]propyl} $\text{}}\text{-}2\text{-}[(methylsulfonyl)amino]\text{-}1,3\text{-oxazole}\text{-}4\text{-carboxamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 methoxybenzyl)amino]propyl} $\text{}}\text{-}5\text{-}(3,5\text{-dimethylisoxazol-4-yl)}\text{-}N^3,N^3\text{-}$   
 dipropylisophthalamide,  
 20      $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 methoxybenzyl)amino]propyl} $\text{}}\text{-}N^3,N^3\text{-dipropyl}\text{-}5\text{-}(1,3\text{-thiazol-2-yl)isophthalamide,}$   
 $3\text{-}(cyclohexylcarbonyl)\text{-}N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 methoxybenzyl)amino]propyl} $\text{}}\text{-}5\text{-methylbenzamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}[(3\text{-}}$   
 25     methoxybenzyl)amino]propyl} $\text{}}\text{-}5\text{-methyl-N}^3\text{-propylisophthalamide,}$   
 $3\text{-}[cyclohexyl(hydroxy)methyl]\text{-}N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-}$   
 hydroxy-3-[(3-methoxybenzyl)amino]propyl} $\text{}}\text{-}5\text{-methylbenzamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 hydroxypropyl} $\text{}}\text{-}5\text{-}(4\text{-methyl-1,3\text{-oxazol-2-yl)}\text{-}N^3,N^3\text{-dipropylisophthalamide}$   
 30      $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 hydroxypropyl} $\text{}}\text{-}N^5,N^5\text{-dipropylpyridine-3,5-dicarboxamide,}$   
 $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-isobutyl-1,2,4-oxadiazol}}$   
 -5-yl)methyl]amino}propyl) $\text{}}\text{-}5\text{-methyl-N}^3,N^3\text{-dipropylisophthalamide,}$

- N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpyridine-3,5-dicarboxamide,
- N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpyridine-3,5-dicarboxamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-(4-hydroxybut-1-ynyl)benzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}amino]carbonyl]-5-methylbenzoyl}-L-prolinamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isopropyl-5-methylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-N<sup>3</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,5-dimethyl-N<sup>3</sup>-prop-2-ynylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isobutyl-5-methylisophthalamide,
- N<sup>1</sup>-(sec-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-diethyl-5-methylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,5-dimethyl-N<sup>3</sup>-propylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isopropyl-N<sup>3</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>1</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-isobutyl-N<sup>3</sup>,5-dimethylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-5-methyl-N<sup>3</sup>-propylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-5-methyl-N<sup>3</sup>-propylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-N<sup>3</sup>-isopropyl-5-methylisophthalamide,

- $N^1,N^1$ -diallyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- $3$ -(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide
- 5       $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -  $N^3,N^3$ -diisopropyl-5-methylisophthalamide,
- $N^1$ -butyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1$ -ethyl-5-methylisophthalamide,
- $N^1$ -(cyclopropylmethyl)- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl- $N^1$ -propylisophthalamide,
- 15      1-{3-[(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl]amino}carbonyl]-5-methylbenzoyl}-D-prolinamide,
- $N^1$ -cyclohexyl- $N^3$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- $N^1,5$ -dimethylisophthalamide,
- $N^1$ -(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3- {[1-(3-
- 20      methylphenyl)cyclopropyl]amino}propyl)-5-methyl- $N^3,N^3$ -dipropylisophthalamide,
- $N^3$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(1,2,3,4-tetrahydronaphthalen-1-ylamino)propyl]- $N^5,N^5$ -diisopropylpyridine-3,5-dicarboxamide, and
- $N$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- {[(trifluoromethyl)sulfonyl]amino}benzamide.

181. A method of treatment according to claim 180 where the substituted amine (X) is selected from the group consisting of:

- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-furylmethyl)amino]-2-hydroxypropyl}-5-methyl- $N^3,N^3$ -dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- $N^3,N^3$ -dipropylisophthalamide,

- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(2-hydroxyethoxy)ethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-3-[(2-aminobenzyl)amino]-1-benzyl-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[2-(trifluoromethoxy)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,5-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethoxy)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(1,1'-biphenyl]-3-ylmethyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dichlorobenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[3-(trifluoromethyl)benzyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S)-1-benzyl-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3,4-dimethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1S)-2-(isobutylamino)-1-methyl-2-oxoethyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[2-(isobutylamino)-1,1-dimethyl-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{2-(isobutylamino)-2-oxoethyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1R)-1-[(isobutylamino)carbonyl]propyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[{3-(isobutylamino)-2-methyl-3-oxopropyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-3-{[(1S)-1-benzyl-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]-2-methylpropyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-pyridinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-3-{[(1S)-1-[(benzyloxy)methyl]-2-(isobutylamino)-2-oxoethyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({(1S)-1-[(isobutylamino)carbonyl]butyl}amino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1-(hydroxymethyl)-2-(isobutylamino)-2-oxoethyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- $N^1$ -[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-3-(cyclohexylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxypropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- (1R,3S)-5-{(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}-1,3-cyclohexanedicarboxylic acid,
- N<sup>1</sup>-[(1S,2R)-3-[(1,1'-biphenyl)-3-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-10 hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-phenylpropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-thienylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyrazinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,5-dimethoxybenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(trifluoromethyl)benzyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{[3-(trifluoromethoxy)benzyl]amino}propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-fluorobenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-bromobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methoxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-10 N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-chloro-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-15 N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-fluoro-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methylbenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,
- 20 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1,3-thiazol-5-ylmethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl][1,1'-biphenyl]-3-carboxamide,
- 25 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>-(2-methoxyethyl)-N<sup>3</sup>-propylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1R)-3-{{[3,5-bis(trifluoromethyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[2-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-3-{{[3-fluoro-5-(trifluoromethyl)benzyl]amino}-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1-((1S,2R)-1\text{-benzyl}-3-\{[4\text{-fluoro-3-(trifluoromethyl)benzyl]amino}\}-2\text{-hydroxypropyl})\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- $N^1-((1S,2R)-1\text{-benzyl}-3-\{[4\text{-chloro-3-(trifluoromethyl)benzyl]amino}\}-2\text{-hydroxypropyl})\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- 5       $N^1-\{(1S)\text{-1-benzyl-2-hydroxy-3-[}(3\text{-nitrobenzyl)amino]propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- $N^1-((1S,2R)-1\text{-benzyl}-3-\{[3\text{-(difluoromethoxy)benzyl]amino}\}-2\text{-hydroxypropyl})\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- 10      $N^1-\{(1S,2R)-1\text{-benzyl-3-[}(3\text{-ethoxybenzyl)amino]-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- $N^1-\{(1S,2R)-1\text{-benzyl-3-[}(3\text{-bromo-4-fluorobenzyl)amino]-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- 15      $N^1-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-3-[}(3,5\text{-dimethylbenzyl)amino]-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- 20      $N^1-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-3-[}(3\text{-ethoxybenzyl)amino]-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- 25      $N^1-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-2-hydroxy-3-[}(2\text{-phenoxyethyl)amino]propyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- 30      $N^1-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-2-hydroxy-3-[}(4\text{-methyl-1,3-thiazol-2-yl)methyl]amino}propyl\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- $N^1-\{[(1S,2R)-3-(benzylamino)-1-(3,5\text{-difluorobenzyl)-2-hydroxypropyl}]\}\text{-}N^3$ -  
methyl-N<sup>3</sup>-propylisophthalamide,
- 35      $N^3-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-2-hydroxy-3-[}(3\text{-trifluoromethyl)benzyl]amino}propyl\}\text{-}N^5,N^5\text{-dipropyl-3,5-pyridinedicarboxamide}$ ,
- 40      $N^3-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-2-hydroxy-3-[}(1\text{-methyl-1-phenylethyl)amino}propyl\}\text{-}N^5,N^5\text{-dipropyl-3,5-pyridinedicarboxamide}$ ,
- 45      $N^1-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-2-hydroxy-3-[}(7\text{-methoxy-1,2,3,4-tetrahydro-1-naphthalenyl)amino}propyl\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,  
isomer B,
- 50      $N^1-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-3-[}(3\text{-furylmethyl)amino]-2-hydroxypropyl}\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,
- 55      $N^1-\{(1S,2R)-1\text{-}(3,5\text{-difluorobenzyl)-2-hydroxy-3-[}(tetrahydro-3-furanylmethyl)amino}propyl\}\text{-}N^3,N^3\text{-dipropylisophthalamide}$ ,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-propoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-pyridinylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-hydroxy-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[[1-methyl-1-(3-methylphenyl)ethyl]amino]propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1S)-1,2,3,4-tetrahydro-1-naphthalenylamino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(2,5-dimethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-{{2-chloro-5-(trifluoromethyl)benzyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-hydroxy-5-methylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5-chloro-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-{{(1R)-1-(3-bromophenyl)ethyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-hydroxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-cyano-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide hydrochloride,  
25 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
5-(aminosulfonyl)-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-pyrrolidinylsulfonyl)isophthalamide,  
30 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(dimethylamino)sulfonyl]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[  
[(dipropylamino)sulfonyl]propanamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-5-oxo-5-(1-piperidinyl)pentanamide,  
5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
iodobenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
ethyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-tert-  
10 butyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-  
cyano-N<sup>3</sup>-propylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
methoxybenzyl)amino]propyl}-1-propyl-1H-indole-6-carboxamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3,4-dimethylbenzyl)amino]-2-  
hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-3-[(3-aminobenzyl)amino]-1-(3,5-difluorobenzyl)-2-  
10 hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>3</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-({1-methyl-1-[3-  
(trifluoromethyl)phenyl]ethyl}amino)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-  
pyridinedicarboxamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1R,2S)-2-hydroxy-2,3-  
25 dihydro-1H-inden-1-yl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(1R)-2,3-dihydro-1H-inden-1-  
ylamino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5-chloro-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-  
phenylethyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-bis(2-methoxyethyl)isophthalamide,  
30 N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-  
phenylcyclopentyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{[3-(dimethylamino)benzyl]amino}-2-  
hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-{[(4,5-dimethyl-2-furyl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopentyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanyl methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropenylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-propoxyethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(hexylamino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-(3-methyl-5-oxo-4,5-dihydro-1H-pyrazol-1-yl)benzamide,  
20 methyl 4-([(2R,3S)-4-(3,5-difluorophenyl)-3-({3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino)methyl)benzoate,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxyethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-oxazolylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
(1R,2R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,  
N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2S)-tetrahydro-2-furanyl methyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,  
30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>3</sup>-[(1S,2R)-3-(benzylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide 1-oxide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(7-oxabicyclo[2.2.1]hept-2-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-methyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(butylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-(5-hexynylamino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-methyl-2-furyl)methyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-methyl-1-phenylethyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[[1-(2-furyl)-1-methylethyl]amino]-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isobutyl-5-isoxazolyl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(2-isobutyl-1,3-thiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(dipropylamino)sulfonyl]propanamide,
- 30 N<sup>1</sup>-[(1S,2R)-3-[[1,1'-biphenyl]-4-ylmethyl]amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1H-imidazol-5-ylmethyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

$N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-phenyl-1H-imidazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 $N^1$ -[(1S,2R)-3-{{(2-butyl-4-chloro-1H-imidazol-5-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5       $N^1$ -[(1S,2R)-3-({{[5-cyano-6-(methylsulfanyl)-2-pyridinyl]methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
      [5-({{(2R,3S)-4-(3,5-difluorophenyl)-3-{{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino}-2-hydroxybutyl}amino}methyl)-2-furyl]methyl acetate,  
       $N^1$ -[(1S,2R)-3-[(1-benzofuran-3-ylmethyl)amino]-1-(3,5-difluorobenzyl)-2-  
10     hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
      methyl 4-({{(2R,3S)-4-(3,5-difluorophenyl)-3-{{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino}-2-hydroxybutyl}amino}methyl)-1-methyl-1H-pyrrole-2-carboxylate,  
       $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-pyrrol-2-  
15     yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
       $N^1$ -[(1S,2R)-3-{{(5-chloro-2-thienyl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
       $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-2-  
20     yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
       $N^1$ -[(1S,2R)-3-{{(1-benzyl-1H-indol-3-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
       $N^1$ -((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(1-methyl-1H-indol-3-  
25     yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
       $N^1$ -[(1S,2R)-3-{{(2-butyl-1H-imidazol-5-yl)methyl}amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
      methyl 3-({{(2R,3S)-4-(3,5-difluorophenyl)-3-{{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino}-2-hydroxybutyl}amino}methyl)-1H-indole-6-carboxylate,  
       $N^1$ -{{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(cyanomethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
30      $N^1$ -{{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(hydroxymethyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
       $N^1$ -{{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-ethynyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-iodobenzyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropyl\text{-}5\text{-prop-1-ynylisophthalamide},
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}4'\text{-}$
- methoxy\text{-}N^5,N^5\text{-dipropyl[1,1'\text{-biphenyl}]\text{-}3,5\text{-dicarboxamide hydrochloride},}
- 5       $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}$
- methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}N^5,N^5\text{-dipropyl[1,1'\text{-biphenyl}]\text{-}3,5\text{-dicarboxamide},}
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}N^5,N^5\text{-}$
- dipropyl[1,1'\text{-biphenyl}]\text{-}3,5\text{-dicarboxamide},
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}4'\text{-}$
- 10      $[(\text{dimethylamino})\text{sulfonyl}]\text{-}N^5,N^5\text{-dipropyl-1,1'\text{-biphenyl-3,5-dicarboxamide},}$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-iodobenzyl})\text{amino}]\text{propyl}\}\text{-}4'\text{-}$
- $[(\text{dimethylamino})\text{sulfonyl}]\text{-}N^5,N^5\text{-dipropyl-1,1'\text{-biphenyl-3,5-dicarboxamide},}$
- $N\text{-}\{(1R,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}$
- methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}3\text{-methyl-5-pentanoylbenzamide,}
- 15      $N^1\text{-}\{(1S,2R)\text{-}2\text{-hydroxy-1-(4-hydroxybenzyl)}\text{-}3\text{-}\{[(3\text{-}$
- methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}N^3\text{-}(3\text{-hydroxypropyl)}\text{-}5\text{-methyl-}N^3\text{-}
- propylisophthalamide,
- $N^1\text{-}\{(1S,2R)\text{-}2\text{-hydroxy-1-(4-hydroxybenzyl)}\text{-}3\text{-}\{[(3\text{-}$
- methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}5\text{-methyl-}N^3,N^3\text{-dipropylisophthalamide,}
- 20      $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}5\text{-}$
- methyl-}N^3,N^3\text{-dipropylisophthalamide,}
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl)}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}$
- methoxybenzyl)\text{amino}]\text{propyl}\}\text{-}4\text{-methyl- }N^3,N^3\text{-dipropylisophthalamide,}
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-Benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}$
- 25      $N^3,N^3,N^5\text{-tetrapropylbenzene-1,3,5-tricarboxamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-Difluorobenzyl)}\text{-}3\text{-}\{[(3\text{-ethylbenzyl})\text{amino}\}\text{-}2\text{-}$
- hydroxypropyl}\text{-}N^3,N^3\text{-dipropylbenzene-1,3,5-tricarboxamide,}
- $\text{ethyl 3-}\{[(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-}}$
- methoxybenzyl)\text{amino}]\text{propyl}\}\text{amino)carbonyl}\text{-}5\text{-}
- 30      $[(\text{dipropylamino})\text{carbonyl}]\text{benzoate,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-benzyl}\text{-}2\text{-hydroxy}\text{-}3\text{-}\{[(3\text{-methoxybenzyl})\text{amino}]\text{propyl}\}\text{-}N^3,N^3\text{-}$
- dipropyl\text{-}\{[(\text{trifluoromethyl})\text{sulfonyl}]\text{amino}\}\text{isophthalamide,}

- 5-amino-N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(thien-2-ylcarbonyl)amino]isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-10 (methacryloylamino)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-Benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(methylthio)pentanamide,
- 15 3-amino-N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-methylbutanamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-ethylhexanamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-20 [(isobutylsulfonyl)amino]propanamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>-(isobutylsulfonyl)-beta-alaninamide,
- 5-bromo-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide, and
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(1-phenylcyclopropyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-benzyl-2-hydroxy-3-{[(2-oxo-2,3-dihydro-1,3-benzoxazol-6-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-30 hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{{(trifluoromethyl)sulfonyl]amino}isophthalamide,
- 3-[(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl]amino)carbonyl]-5-[(dipropylamino)carbonyl]benzoic acid,

- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^3\text{,}N^3\text{-dipropyl}\text{-}5\text{-prop-1-ynylisophthalamide,}$
- $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}[(3\text{-iodobenzyl)amino]pro-}$   
 $\text{pyl}\}\text{-}4\text{-hydroxy-3\text{-}(pyrrolidin-1-ylcarbonyl)benzamide,}$
- 5       $N\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}[(3\text{-iodobenzyl)amino]pro-}$   
 $\text{pyl}\}\text{-}2\text{-}[(methylsulfonyl)amino]\text{-}1\text{-}3\text{-oxazole-4\text{-carboxamide,}}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}[(3\text{-}}$   
 $\text{methoxybenzyl)amino]propyl}\text{-}N^3\text{,}N^3\text{-dipropyl}\text{-}5\text{-}(1\text{-}3\text{-thiazol-2-yl)isophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}[(3\text{-}}$   
10      $\text{methoxybenzyl)amino]propyl}\text{-}5\text{-methyl-N}^3\text{-propylisophthalamide,}$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^5\text{,}N^5\text{-dipropylpyridine-3,5-dicarboxamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}[(3\text{-isobutyl-1,2,4-oxadiazol-}}$   
 $\text{-}5\text{-yl)methyl)amino]propyl}\text{-}5\text{-methyl-N}^3\text{,}N^3\text{-dipropylisophthalamide,}$
- 15      $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethynylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^5\text{,}N^5\text{-dipropylpyridine-3,5-dicarboxamide,}$
- $N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}[(3\text{-}}$   
 $\text{isopropylbenzyl)amino]propyl}\text{-}N^5\text{,}N^5\text{-dipropylpyridine-3,5-dicarboxamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}2\text{-hydroxy-3\text{-}[(3\text{-}(4\text{-hydroxybut-1-}}$   
20      $\text{ynyl)benzyl)amino]propyl}\text{-}5\text{-methyl-N}^3\text{,}N^3\text{-dipropylisophthalamide,}$
- $1\text{-}\{3\text{-}[(\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{amino)carbonyl}\text{-}5\text{-methylbenzoyl}\}\text{-L-prolinamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^3\text{-isopropyl-5-methylisophthalamide,}$
- 25      $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^3\text{-ethyl-N}^3\text{,}5\text{-dimethylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}N^3\text{,}5\text{-dimethyl-N}^3\text{-prop-2-ynylisophthalamide,}$
- $N^1\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
30      $\text{hydroxypropyl}\}\text{-}N^3\text{-isobutyl-5-methylisophthalamide,}$
- $N^1\text{-}(sec\text{-butyl)-}N^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}$   
 $2\text{-hydroxypropyl}\}\text{-}5\text{-methylisophthalamide,}$
- $N^1\text{-butyl-N}^3\text{-}\{(1S,2R)\text{-}1\text{-}(3,5\text{-difluorobenzyl})\text{-}3\text{-}[(3\text{-ethylbenzyl)amino]}\text{-}2\text{-}$   
 $\text{hydroxypropyl}\}\text{-}5\text{-methylisophthalamide,}$

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>,N<sup>3</sup>-diethyl-5-methylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>,5-dimethyl-N<sup>3</sup>-propylisophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-isopropyl-N<sup>3</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>1</sup>,5-dimethylisophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-isobutyl-N<sup>3</sup>,5-dimethylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-ethyl-5-methyl-N<sup>3</sup>-propylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>-ethyl-N<sup>3</sup>-isopropyl-5-methylisophthalamide,
- N<sup>1</sup>,N<sup>1</sup>-diallyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,
- 20 3-(azepan-1-ylcarbonyl)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(4-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- 25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3- [(3-hydroxypiperidin-1-yl)carbonyl]-5-methylbenzamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl} -N<sup>3</sup>,N<sup>3</sup>-diisopropyl-5-methylisophthalamide,
- 30 N<sup>1</sup>-butyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>1</sup>-ethyl-5-methylisophthalamide,
- N<sup>1</sup>-(cyclopropylmethyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-N<sup>1</sup>-propylisophthalamide,
- 35 N<sup>1</sup>-cyclohexyl-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>1</sup>,5-dimethylisophthalamide,
- 40 and

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino}benzamide.

182. A method of treatment according to claim 145 where the substituted  
5 amine (X) is selected from the group consisting of:

N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

10 N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylbutanoyl)-5-methylbenzamide,

N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(2-ethylpentanoyl)-5-methylbenzamide,

20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-(2-propylpentanoyl)isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-(2-propylpentanoyl)isophthalamide,

25 N-[(1S,2R)-3-[(3-ethylbenzyl)amino]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(2-propylpentanoyl)benzamide,

30 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-pyridinyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-pyridinyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-propynyl)isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(1-propynyl)isophthalamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(2-propynyl)isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-(2-propynyl)isophthalamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 20 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(cyclohexylmethyl)-2-hydroxypropyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
2,3,5-trideoxy-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-5-[3-methoxybenzyl]amino]-1-S-phenyl-1-thio-D-erythro-pentitol,  
5 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-{(1S)-1-{(1R)-2-(benzylamino)-1-hydroxyethyl}-3-methylbutyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-butynyl)-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
30 N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(4-hydroxybenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5 N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-methylbutyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(3-furylmethyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 10 N<sup>1</sup>-((1S)-1-{(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl}-3-methylbutyl)- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(4-fluorobenzyl)-2-hydroxypropyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-1-(2-furylmethyl)-2-hydroxypropyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(1-naphthylmethyl)propyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(2-thienylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-3-(benzylamino)-1-[4-(benzyloxy)benzyl]-2-hydroxypropyl}-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-
- 30 methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- N<sup>1</sup>-[(1S,2R)-3-(benzylamino)-2-hydroxy-1-(3-thienylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5        N<sup>1</sup>-{(1S)-1-[(1R)-2-(benzylamino)-1-hydroxyethyl]-3-butynyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 10      N<sup>1</sup>-{(1S,2R)-1-(cyclohexylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 15      N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-
- 20      methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-((1S)-1-[(1R)-1-hydroxy-2-[(3-methoxybenzyl)amino]ethyl]-3-methylbutyl)- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 25      N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[(3-
- 30      methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-3-[{(3-ethylbenzyl)amino]-2-hydroxy-1-[3-(hydroxymethyl)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[3-(hydroxymethyl)benzyl]-3-[{(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[{(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-3-[{(3-ethylbenzyl)amino]-2-hydroxy-1-[4-(hydroxymethyl)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-[4-(hydroxymethyl)benzyl]-3-[{(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[{(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-[(1S,2R)-3-[{(3-ethylbenzyl)amino]-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-5-hydroxybenzyl)-2-hydroxy-3-[{(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[{(3-iodobenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-[3-(benzyloxy)-5-fluorobenzyl]-2-hydroxy-3-[{(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[{(3-methoxybenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,  
N<sup>1</sup>-{(1S,2R)-1-[4-(benzyloxy)benzyl]-2-hydroxy-3-[{(3-methoxybenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
30 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[{(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]propanamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[{(3-methoxybenzyl)amino]-1-(1-naphthylmethyl)propyl]-N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[{(3-methoxybenzyl)amino]propyl]propanamide,

- N<sup>1</sup>-{(1S,2R)-1-(4-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}propanamide,
- 5 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,  
N<sup>1</sup>-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
10 3-[(dipropylamino)sulfonyl]-N-{(1S,2R)-1-(2-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}propanamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-furylmethyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
15 3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,  
N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
3-[(dipropylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]propanamide,  
20 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-thienylmethyl)propyl]- N<sup>5</sup>,N<sup>5</sup>-dipropylpentanediamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2R)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,  
25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-{[(2S)-1-ethylpyrrolidinyl]carbonyl}-5-methylbenzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,  
30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[(1-ethyl-1H-imidazol-2-yl)carbonyl]-5-methylbenzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-

methoxybenzyl)amino]propyl}-3-[(1-ethyl-4-methyl-1H-imidazol-5-yl)carbonyl]-5-methylbenzamide,

- N<sup>1</sup>-((1S,2S)-1-(3,5-difluorobenzyl)-2-hydroxy-2-{1-[(3-methoxybenzyl)amino]cyclopropyl}ethyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-((1S,2S)-1-(3,5-difluorobenzyl)-2-{1-[(3-ethylbenzyl)amino]cyclopropyl}-2-hydroxyethyl)-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- (1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2,3-cyclopropanetricarboxamide,
- (1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
- 10 methoxybenzyl)amino]propyl}-3-phenyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,
- (1R,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,
- 15 (1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-methyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,
- (1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-phenyl- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-
- 20 cyclopropanedicarboxamide,
- (1R,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2,3-cyclopropanetricarboxamide,
- (1R,2R,3S)-3-(2-amino-2-oxoethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-
- 25 cyclopropanedicarboxamide,
- (1R,2R,3R)-3-(2-amino-2-oxoethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>2</sup>,N<sup>2</sup>-dipropyl-1,2-cyclopropanedicarboxamide,
- (1R,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-
- 30 methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,

- (1R,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-methylcyclopropanecarboxamide,
- 5 (1S,2R,3R)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,
- (1S,2R,3S)-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[2-(dipropylamino)-2-oxoethyl]-3-phenylcyclopropanecarboxamide,
- 10 (1S,2R,3R)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-cyclopropanedicarboxamide,
- (1S,2R,3S)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-3-[2-(dipropylamino)-2-oxoethyl]-1,2-15 cyclopropanedicarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{[(trifluoromethyl)sulfonyl]amino}isophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-{methyl[(trifluoromethyl)sulfonyl]amino}- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-{propyl[(trifluoromethyl)sulfonyl]amino}isophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-methoxybenzyl)amino]propyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[ (3-isopropylbenzyl)amino]propyl}-3-[(dipropylamino)sulfonyl]propanamide,

15 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

20 N-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(2-ethyl-1,3-thiazol-5-yl)methyl]amino)-2-hydroxypropyl)-3-[(dipropylamino)sulfonyl]propanamide,

25 N-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-1,3-thiazol-5-yl)methyl}amino}propyl)-3-[(dipropylamino)sulfonyl]propanamide,

30 N-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-3-[(dipropylamino)sulfonyl]propanamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-cyclopropylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(1,3-thiazol-2-yl)benzyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{3-(1,3-oxazol-2-yl)benzyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl- N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(aminosulfonyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-[(3-acetylbenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-(methylsulfonyl)-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-[(1S,2R)-3-{[3-(diethylamino)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(4-morpholinyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-piperazinyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-{[3-(aminosulfonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)sulfonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(piperidinylsulfonyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(isopropylsulfonyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-{[3-(aminocarbonyl)benzyl]amino}-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)carbonyl]benzyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-{[3-(cyanobenzyl)amino]-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-{[3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenylcarbamate,

3-({[(2R,3S)-4-(3,5-difluorophenyl)-3-{3-[(dipropylamino)carbonyl]-5-methylbenzoyl}amino)-2-hydroxybutyl]amino}methyl)phenyl dimethylcarbamate,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-methyl-1-butynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(2-propynyl)benzyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-oxadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(5-ethyl-1,3,4-thiadiazol-2-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-thiadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(3-ethyl-1,2,4-oxadiazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[(2-ethyl-1,3-oxazol-5-yl)methyl]amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
25 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(2-isobutyl-1,3-oxazol-5-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(5-isobutyl-1,3,4-oxadiazol-2-yl)methyl]amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(5-isobutyl-1,3,4-thiadiazol-2-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-thiadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 5 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(5-ethyl-1,3,4-oxadiazol-2-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-oxadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(3-ethyl-1,2,4-thiadiazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-thiadiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(3-isobutyl-1,2,4-oxadiazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-2H-tetraazol-5-yl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isobutyl-2H-tetraazol-5-yl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethyl-4-
- 20 pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(2-isopropyl-4-pyrimidinyl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{{(2-ethynyl-4-
- 25 pyrimidinyl)methyl}amino}-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{{(6-isopropyl-4-pyrimidinyl)methyl}amino}propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{{[6-(dimethylamino)-4-
- 30 pyrimidinyl)methyl}amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-

dipropylisophthalamide,

N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[2-(dimethylamino)-4-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

5 N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[4-(dimethylamino)-2-pyrimidinyl]methyl}amino)-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(4-isopropyl-2-pyrimidinyl)methyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(4-ethyl-2-pyrimidinyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(5-ethyl-3-pyridazinyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[3-(dimethylamino)benzyl]amino}-2-hydroxypropyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(5-isopropyl-3-pyridazinyl)methyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

20 N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[3-(1-propynyl)benzyl]amino}propyl)-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(6-isopropyl-4-pyridazinyl)methyl]amino)propyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-

hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

N<sup>1</sup>-((1S,2R)-1-(3,5-difluorobenzyl)-3-[(6-ethyl-4-pyridazinyl)methyl]amino)-2-hydroxypropyl)-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-isopropylbenzyl)amino]propyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,

- N<sup>1</sup>-{[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[(6-ethyl-2-pyrazinyl)methyl]amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>3</sup>-{[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>5</sup>,N<sup>5</sup>-dipropyl-3,5-pyridinedicarboxamide,
- 5 N<sup>1</sup>-{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(6-isopropyl-2-pyrazinyl)methyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{[(1S,2R)-2-hydroxy-3-{[(3-methoxybenzyl)amino]-1-(3,4,5-trifluorobenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{[(1S,2R)-2-hydroxy-1-(3,4,5-trifluorobenzyl)-3-{[(3-trifluoromethyl)benzyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-{[(1S,2R)-2-hydroxy-1-(2,3,5,6-tetrafluorobenzyl)-3-{[(3-trifluoromethyl)benzyl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{[(1S,2R)-2-hydroxy-3-{[(3-methoxybenzyl)amino]-1-(2,3,5,6-tetrafluorobenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-{[(1R,2S)-2-hydroxy-6-methoxy-2,3-dihydro-1H-inden-1-yl]amino}propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 20 N<sup>1</sup>-{[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{[(1S,2R)-1-(3,5-difluorobenzyl)-3-{[(1R,2S)-6-ethyl-2-hydroxy-2,3-dihydro-1H-inden-1-yl]amino}-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 25 N<sup>1</sup>-{[(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-{[(3-methoxybenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{[(1S,2R)-3-{[(3-ethylbenzyl)amino]-2-hydroxy-1-(1H-indol-5-ylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{[(1S,2R)-2-hydroxy-1-(1H-indol-5-ylmethyl)-3-{[(3-methoxybenzyl)amino]propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(3-methylbenzyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5        N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethyl)benzyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 10      N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-pyridinylmethyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15      N<sup>1</sup>-{(1S,2R)-1-[3-fluoro-5-(trifluoromethyl)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 20      N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[3-(trifluoromethoxy)benzyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-hydroxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 25      N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(4-methylbenzyl)propyl]- N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(4-fluoro-3-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

5 N<sup>1</sup>-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(4-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

10 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(3-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

15 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-methoxybenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3-chloro-5-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

20 N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(4-chloro-3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

25 N<sup>1</sup>-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-dichlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

N<sup>1</sup>-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-[4-(dimethylamino)benzyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
5 N<sup>1</sup>-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-chlorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-fluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
15 N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-1-(4-isopropylbenzyl)-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
20 N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(6-methoxy-2-pyridinyl)methyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-[(5-methyl-2-pyridinyl)methyl]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,  
25 N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methylbenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,

- N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3-fluoro-4-methoxybenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- 5 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(2-methoxy-5-methylbenzyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 10 N<sup>1</sup>-[(1S,2R)-2-hydroxy-3-[(3-methoxybenzyl)amino]-1-(1,3-thiazol-2-ylmethyl)propyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-[(5-chloro-2-thienyl)methyl]-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-1,3,5-benzenetricarboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-
- 20 hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-carboxamide,
- 25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-thiazole-4-
- carboxamide,
- 30 N-{(1S,2R)-1-benzyl-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)-1-methylethyl]amino}-2-hydroxypropyl)-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-((1S,2R)-1-(3,5-difluorobenzyl)-3-{[1-(3-ethylphenyl)cyclopropyl]amino}-2-hydroxypropyl)-4-hydroxy-3-(1-pyrrolidinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperidinylcarbonyl)benzamide,

- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-benzyl-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-4-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(ethylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- 15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(4-morpholinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(propylsulfonyl)amino]-1,3-oxazole-2-carboxamide,
- 20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,
- 25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-[(methylsulfonyl)amino]-1,3-thiazole-2-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-3-(1-piperazinylcarbonyl)benzamide,

- N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -4-methyl-2-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-5-carboxamide,  
N $^4$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -2-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-4,5-dicarboxamide,  
5 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-2\text{-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide},$   
N $^1$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -4-hydroxy-N $^3$ -methylisophthalamide,  
N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-2\text{-[(methylsulfonyl)amino]-1,3-oxazole-5-carboxamide},$   
10 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -2-[ $(\text{ethylsulfonyl})\text{amino}$ ]-1,3-oxazole-4-carboxamide,  
N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-5\text{-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide},$   
15 N $^1$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-methoxybenzyl})\text{amino}]\}-4\text{-hydroxy-N}^3\text{-methylisophthalamide},$   
N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy}-3-[(3\text{-iodobenzyl})\text{amino}]\}-4\text{-methyl-5-[(methylsulfonyl)amino]-1,3-oxazole-2-carboxamide},$   
20 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-[(3\text{-methoxybenzyl})\text{amino}]\}-2\text{-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide},$   
N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -4-methyl-5-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-2-carboxamide,  
25 N $^1$ - $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-[(3\text{-methoxybenzyl})\text{amino}]\}-N^3\text{-ethyl-4-hydroxyisophthalamide},$   
N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -5-[ $(\text{methylsulfonyl})\text{amino}$ ]-1,3-oxazole-2-carboxamide,  
N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-2\text{-hydroxy-3-[(3\text{-iodobenzyl})\text{amino}]\}-2\text{-[(ethylsulfonyl)amino]-1,3-oxazole-4-carboxamide},$   
30 N- $\{(1S,2R)-1-(3,5\text{-difluorobenzyl})-3-[(3\text{-ethylbenzyl})\text{amino}]\}-2\text{-hydroxypropyl}\}$ -5-[ $(\text{methylsulfonyl})\text{amino}$ ]-3-isoxazolecarboxamide,

- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-[(methylsulfonyl)amino]-3-isoxazolecarboxamide,  
5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-  
10 iodobenzyl)amino]propyl}-N<sup>3</sup>-ethyl-4-hydroxyisophthalamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]-5-isoxazolecarboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-  
15 carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-(hydroxymethyl)-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-  
20 [(3-iodobenzyl)amino]propyl}-4-hydroxyisophthalamide,  
5-cyclopropyl-N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-5-isopropyl-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N<sup>3</sup>-(cyclopropylmethyl)-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxyisophthalamide,  
30 N-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-2-[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methyl-2-[(propylsulfonyl)amino]-1,3-oxazole-4-carboxamide,

N-[<sup>(1S,2R)</sup>-3-(cyclopropylamino)-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-2-[  
[(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,  
N-[<sup>(1S,2R)</sup>-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxy-1-(4-hydroxybenzyl)propyl]-  
2-[<sup>(methylsulfonyl)amino</sup>-1,3-oxazole-4-carboxamide,  
5 N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-  
hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutylisophthalamide,  
2-{[(cyclopropylmethyl)sulfonyl]amino}-N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-  
3-[<sup>(3-ethylbenzyl)amino</sup>]-2-hydroxypropyl}-1,3-oxazole-4-carboxamide,  
N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-  
10 hydroxypropyl}-4-hydroxy-N<sup>3</sup>-isobutyl-N<sup>3</sup>-methylisophthalamide,  
N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-  
hydroxypropyl}-2-[<sup>(isobutylsulfonyl)amino</sup>-1,3-oxazole-4-carboxamide,  
N<sup>3</sup>-{(cyclopropylmethyl)-N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-</sup>  
ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methylisophthalamide,  
15 N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-</sup>  
methoxybenzyl)amino]propyl}-2-[<sup>(isobutylsulfonyl)amino</sup>-1,3-oxazole-4-  
carboxamide,  
N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-  
hydroxypropyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,  
20 N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-</sup>  
iodobenzyl)amino]propyl}-2-[<sup>(isobutylsulfonyl)amino</sup>-1,3-oxazole-4-carboxamide,  
N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-</sup>  
methoxybenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>-methyl-N<sup>3</sup>-propylisophthalamide,  
N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-</sup>  
25 iodobenzyl)amino]propyl}-2-[<sup>(phenylsulfonyl)amino</sup>-1,3-oxazole-4-carboxamide,  
N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-</sup>  
methoxybenzyl)amino]propyl}-N<sup>3</sup>-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,  
N-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-2-hydroxy-3-[<sup>(3-</sup>  
iodobenzyl)amino]propyl}-2-{[(4-methylphenyl)sulfonyl]amino}-1,3-oxazole-4-  
30 carboxamide,  
N<sup>1</sup>-{<sup>(1S,2R)</sup>-1-(3,5-difluorobenzyl)-3-[<sup>(3-ethylbenzyl)amino</sup>]-2-  
hydroxypropyl}-N<sup>3</sup>-ethyl-4-hydroxy-N<sup>3</sup>-propylisophthalamide,

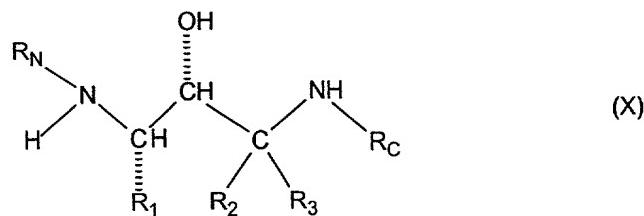
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(4-methylphenyl)sulfonyl]amino]-1,3-oxazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(phenylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-methoxybenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[methyl(methylsulfonyl)amino]-1,3-oxazole-4-carboxamide,
- 15 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-4-hydroxy-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-[(3-iodobenzyl)amino]propyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-2-[(methylsulfonyl)amino]-1,3-thiazole-4-carboxamide,
- 20 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(methylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(ethylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- 25 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isopropylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(isobutylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,
- 30 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>, N<sup>3</sup>-dipropyl-5-[(thien-2-ylsulfonyl)amino]-isophthalamide,
- N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(2-furylsulfonyl)amino]-N<sup>3</sup>, N<sup>3</sup>-dipropylisophthalamide,

N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(1,3-thiazol-5-ylsulfonyl)amino]isophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-5-ylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
5 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1,3-oxazol-4-ylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(1,3-thiazol-4-ylsulfonyl)amino]isophthalamide,  
10 N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-[(phenylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
15 5-[(5-cyanopyridin-2-yl)sulfonyl]amino}-N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
N<sup>1</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-({[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino)isophthalamide,  
20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{{[(1-methyl-1H-imidazol-4-yl)sulfonyl]amino}benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-{{[5-(trifluoromethyl)pyridin-2-yl]sulfonyl}amino}benzamide,  
25 3-{{[(5-cyanopyridin-2-yl)sulfonyl]amino}-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(phenylsulfonyl)amino]benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(methylsulfonyl)amino]benzamide,  
30 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(ethylsulfonyl)amino]benzamide,  
N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(propylsulfonyl)amino]benzamide,

- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isobutylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isopropylsulfonyl)amino]benzamide,
- 5 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1-ethylpropyl)sulfonyl]amino}benzamide,
- 3-[(cyclohexylsulfonyl)amino]-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1-propylbutyl)sulfonyl]amino}benzamide,
- 10 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-2-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(2-furylsulfonyl)amino]benzamide,
- 15 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-5-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(isoxazol-3-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(3-furylsulfonyl)amino]benzamide,
- 20 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(thien-3-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-4-ylsulfonyl)amino]benzamide,
- 25 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-5-ylsulfonyl)amino]benzamide,
- N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(1,3-thiazol-2-ylsulfonyl)amino]benzamide,
- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-
- 30 N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-N<sup>3</sup>,N<sup>3</sup>-dipropyl-5-[(trifluoromethyl)sulfonyl]amino}isophthalamide,
- N<sup>1</sup>-[(1S,2R)-3-amino-1-(3,5-difluorobenzyl)-2-hydroxypropyl]-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,

- N<sup>1</sup>-[(1S,2R)-1-(3,5-difluorobenzyl)-2-hydroxy-3-(isopentylamino)propyl]-5-[(methylsulfonyl)amino]-N<sup>3</sup>,N<sup>3</sup>-dipropylisophthalamide,  
 N<sup>1</sup>-(tert-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,  
 5  
 N<sup>1</sup>-(tert-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylisophthalamide,  
 5-bromo-N<sup>1</sup>-(tert-butyl)-N<sup>3</sup>-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}isophthalamide,  
 10  
 3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}benzamide,  
 3-tert-butoxy-N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-5-methylbenzamide,  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-[(trifluoromethyl)sulfonyl]amino}benzamide,  
 15  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-(trifluoromethoxy)benzamide, and  
 N-{(1S,2R)-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)amino]-2-hydroxypropyl}-3-methyl-5-(trifluoromethoxy)benzamide.

- 20 183. A pharmaceutical composition which comprises a substituted amine of formula (X)



where R<sub>1</sub> is:

- 25 (I) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkyl (optionally substituted with C<sub>1</sub>-C<sub>3</sub> alkyl and C<sub>1</sub>-C<sub>3</sub> alkoxy), -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 30 (II) -CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

- (III) -CH<sub>2</sub>-CH<sub>2</sub>-S(O)<sub>0-2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),
- (IV) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 5 (V) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 10 (VI) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-aryl</sub>) where n<sub>1</sub> is zero or one and where R<sub>1-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl and indanyl, indenyl, dihydronaphthalyl, or tetralinyl optionally substituted with one, two, three, or four of the following substituents on the aryl ring:
- 15 (A) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy,
- 20 (B) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- 25 (C) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,
- (D) -F, Cl, -Br or -I,
- (F) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,
- (G) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,
- 30 (H) -OH,
- (I) -C≡N,
- (J) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N,

-CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

(K) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(L) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(M) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or

5 (N) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl),

(VII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heteroaryl</sub>) where n<sub>1</sub> is as defined above and where

R<sub>1-heteroaryl</sub> is selected from the group consisting of:

pyridinyl,

pyrimidinyl,

10 quinolinyl,

benzothienyl,

indolyl,

indolinyl,

pyridazinyl,

15 pyrazinyl,

isoindolyl,

isoquinolyl,

quinazolinyl,

quinoxalinyl,

20 phthalazinyl,

imidazolyl,

isoxazolyl,

pyrazolyl,

oxazolyl,

25 thiazolyl,

indolizinyl,

indazolyl,

benzothiazolyl,

benzimidazolyl,

30 benzofuranyl,

furanyl,

thienyl,

pyrrolyl,

oxadiazolyl,

thiadiazolyl,  
triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
5 imidazopyridinyl,  
isothiazolyl,  
naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
10 beta-carbolinyl,  
isochromanyl,  
chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
15 isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
20 benzotetrahydrofuranyl,  
benzotetrahydrothienyl,  
purinyl,  
benzodioxolyl,  
triazinyl,  
25 phenoxazinyl,  
phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
30 imidazothiazolyl,  
dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,

benzopyranyl,  
benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
5 chromonyl,  
chromanonyl, and  
pyridinyl-N-oxide  
tetrahydroquinolinyl  
dihydroquinolinyl  
10 dihydroquinolinonyl  
dihydroisoquinolinonyl  
dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
15 benzodioxanyl  
benzoxazolinonyl  
pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
20 pyrazinyl N-oxide,  
quinolinyl N-oxide,  
indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
25 quinazolinyl N-oxide,  
quinoxalinyl N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
30 oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,

- benzimidazolyl N-oxide,  
 pyrrolyl N-oxide,  
 oxadiazolyl N-oxide,  
 thiadiazolyl N-oxide,  
 5                    triazolyl N-oxide,  
 tetrazolyl N-oxide,  
 benzothiopyranyl S-oxide,  
 benzothiopyranyl S,S-dioxide,  
 where the R<sub>1</sub>-heteroaryl group is bonded to -(CH<sub>2</sub>)<sub>n1</sub>- by any ring  
 10 atom of the parent R<sub>1</sub>-heteroaryl group substituted by hydrogen such that the new bond to the R<sub>1</sub>-heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four:  
 (1) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH,  
 15 -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
 (2) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are  
 20 -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 (3) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,  
 25 (4) -F, Cl, -Br or -I,  
 (6) -C<sub>1</sub>-C<sub>6</sub> alkoxy optionally substituted with one, two, or three of: -F,  
 (7) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are as defined below,  
 (8) -OH,  
 30 (9) -C≡N,  
 (10) C<sub>3</sub>-C<sub>7</sub> cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl,

- (11) -CO-(C<sub>1</sub>-C<sub>4</sub> alkyl),
- (12) -SO<sub>2</sub>-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,
- (13) -CO-NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, or
- (14) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>4</sub> alkyl), with the proviso that when n<sub>1</sub> is zero

5 R<sub>1-heteroaryl</sub> is not bonded to the carbon chain by nitrogen, or

(VIII) -(CH<sub>2</sub>)<sub>n1</sub>-(R<sub>1-heterocycle</sub>) where n<sub>1</sub> is as defined above and

R<sub>1-heterocycle</sub> is selected from the group consisting of:

- 10 morpholinyl,
- thiomorpholinyl,
- thiomorpholinyl S-oxide,
- thiomorpholinyl S,S-dioxide,
- piperazinyl,
- homopiperazinyl,
- pyrrolidinyl,
- 15 pyrrolinyl,
- tetrahydropyranyl,
- piperidinyl,
- tetrahydrofuranyl,
- tetrahydrothienyl,
- 20 homopiperidinyl,
- homomorpholinyl,
- homothiomorpholinyl,
- homothiomorpholinyl S,S-dioxide, and
- oxazolidinonyl,
- 25 dihydropyrazolyl
- dihydropyrrolyl
- dihydropyrazinyl
- dihydropyridinyl
- dihydropyrimidinyl
- 30 dihydrofuryl
- dihydropyranyl
- tetrahydrothienyl S-oxide
- tetrahydrothienyl S,S-dioxide
- homothiomorpholinyl S-oxide

where the  $R_{1-heterocycle}$  group is bonded by any atom of the parent  $R_{1-heterocycle}$  group substituted by hydrogen such that the new bond to the  $R_{1-heterocycle}$  group replaces the hydrogen atom and its bond, where heterocycle is optionally substituted with one, two, three, or four:

(15) =O, with the proviso that when  $n_1$  is zero

$R_{1\text{-heterocycle}}$  is not bonded to the carbon chain by nitrogen;

where  $R_2$  is:

(I)-H,

5 (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (III)  $-(CH_2)_{0\text{-}4}\text{-}R_{2\text{-}1}$  where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

15 (IV)  $C_2\text{-}C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl, -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl,

20 (V)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl, or

25 (VI)  $-(CH_2)_{0\text{-}4}\text{-}C_3\text{-}C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or  $C_1\text{-}C_6$  alkyl;

where  $R_3$  is:

(I)-H,

30 (II)  $C_1\text{-}C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1\text{-}C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1\text{-}C_3$  alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(III)  $-(CH_2)_{0\text{-}4}\text{-}R_{2\text{-}1}$  where R<sub>2-1</sub> is R<sub>1-aryl</sub> or R<sub>1-heteroaryl</sub> where R<sub>1-aryl</sub> and R<sub>1-heteroaryl</sub> are as defined above;

(IV)  $C_2\text{-}C_6$  alkenyl with one or two double bonds,

(V)  $C_2\text{-}C_6$  alkynyl with one or two triple bonds, or

(VI)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of -F, -Cl, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are -H or C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>2</sub> and R<sub>3</sub> are taken together with the carbon to which they are attached to 5 form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2</sub>-, where R<sub>N-2</sub> is as defined below;

where R<sub>N</sub> is:

(I) R<sub>N-1</sub>-X<sub>N-</sub> where X<sub>N</sub> is selected from the group consisting of:

- 10 (A) -CO-,  
(B) -SO<sub>2</sub>-,  
(C) -(CR'R'')<sub>1-6</sub> where R' and R'' are the same or different and are -H or C<sub>1</sub>-C<sub>4</sub> alkyl,

(D) -CO-(CR'R'')<sub>1-6</sub>-X<sub>N-1</sub> where X<sub>N-1</sub> is selected from the group 15 consisting of -O-, -S- and -NR'- and where R' and R'' are as defined above, and  
(E) a single bond;

where R<sub>N-1</sub> is selected from the group consisting of:

- (A) R<sub>N-aryl</sub> where R<sub>N-aryl</sub> is phenyl, 1-naphthyl, 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H-benzo[a]cycloheptenyl,  
20 optionally substituted with one, two or three of the following substituents which can be the same or different and are:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 25 (2) -OH,  
(3) -NO<sub>2</sub>,  
(4) -F, -Cl, -Br, or -I,  
(5) -CO-OH,  
(6) -C≡N,  
30 (7) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are selected from the group consisting of:  
(a) -H,

- (b) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one substituent selected from the group consisting of:
- (i) -OH, and
- (ii) -NH<sub>2</sub>,
- (c) -C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
- (d) -C<sub>3</sub>-C<sub>7</sub> cycloalkyl,
- (e) -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (f) -(C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl),
- (g) -C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds,
- (h) -C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds,
- (i) -C<sub>1</sub>-C<sub>6</sub> alkyl chain with one double bond and one triple bond,
- (j) -R<sub>1</sub>-aryl where R<sub>1</sub>-aryl is as defined above, and
- (k) -R<sub>1</sub>-heteroaryl where R<sub>1</sub>-heteroaryl is as defined above,
- (8) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>1</sub>-C<sub>12</sub> alkyl),
- (9) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkenyl with one, two or three double bonds),
- (10) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>2</sub>-C<sub>12</sub> alkynyl with one, two or three triple bonds),
- (11) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),
- (12) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-aryl where R<sub>1</sub>-aryl is as defined above,
- (13) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-heteroaryl where R<sub>1</sub>-heteroaryl is as defined above,
- (14) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>1</sub>-heterocycle where R<sub>1</sub>-heterocycle is as defined above,
- (15) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-R<sub>N-4</sub> where R<sub>N-4</sub> is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,

(16)  $-(CH_2)_{0-4}-CO-O-R_{N-5}$  where  $R_{N-5}$  is selected from the group consisting of:

- (a)  $C_1-C_6$  alkyl,
- (b)  $-(CH_2)_{0-2}-(R_{1-aryl})$  where  $R_{1-aryl}$  is as defined

5 above,

- (c)  $C_2-C_6$  alkenyl containing one or two double bonds,
- (d)  $C_2-C_6$  alkynyl containing one or two triple bonds,

10

- (e)  $C_3-C_7$  cycloalkyl, and

(f)  $-(CH_2)_{0-2}-(R_{1-heteroaryl})$  where  $R_{1-heteroaryl}$  is as defined above,

(17)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are as defined above,

15

- (18)  $-(CH_2)_{0-4}-SO-(C_1-C_8$  alkyl),

- (19)  $-(CH_2)_{0-4}-SO_2-(C_1-C_{12}$  alkyl),

- (20)  $-(CH_2)_{0-4}-SO_2-(C_3-C_7$  cycloalkyl),

(21)  $-(CH_2)_{0-4}-N(H$  or  $R_{N-5})-CO-O-R_{N-5}$  where  $R_{N-5}$  can be the same or different and is as defined above,

20

- (22)  $-(CH_2)_{0-4}-N(H$  or  $R_{N-5})-CO-N(R_{N-5})_2$ , where  $R_{N-5}$

can be the same or different and is as defined above,

- (23)  $-(CH_2)_{0-4}-N-CS-N(R_{N-5})_2$ , where  $R_{N-5}$  can be the same or different and is as defined above,

- (24)  $-(CH_2)_{0-4}-N(-H$  or  $R_{N-5})-CO-R_{N-2}$  where  $R_{N-5}$  and

25

$R_{N-2}$  can be the same or different and are as defined above,

- (25)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  can be the same or different and are as defined above,

- (26)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,

- (27)  $-(CH_2)_{0-4}-O-CO-(C_1-C_6$  alkyl),

30

- (28)  $-(CH_2)_{0-4}-O-P(O)-(OR_{N-aryl-1})_2$  where  $R_{N-aryl-1}$  is  $-H$  or  $C_1-C_4$  alkyl,

- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,

- (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,
- 5        (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted with one, two, three, four, or five } -F)$ ,
- 10        (35)  $C_3-C_7$  cycloalkyl,
- (36)  $C_2-C_6$  alkenyl with one or two double bonds  
optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 15        (37)  $C_2-C_6$  alkynyl with one or two triple bonds  
optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 15        (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or
- 20        (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,  
(B)  $-R_N$ -heteroaryl where  $R_N$ -heteroaryl is selected from the group consisting of:
- 25        pyridinyl,  
          pyrimidinyl,  
          quinolinyl,  
          benzothienyl,  
          indolyl,  
          indolinyl,  
          pyridazinyl,  
          pyrazinyl,  
          isoindolyl,  
30        isoquinolyl,  
          quinazolinyl,  
          quinoxalinyl,  
          phthalazinyl,  
          imidazolyl,

isoxazolyl,  
pyrazolyl,  
oxazolyl,  
thiazolyl,  
5 indolizinyl,  
indazolyl,  
benzothiazolyl,  
benzimidazolyl,  
benzofuranyl,  
10 furanyl,  
thienyl,  
pyrrolyl,  
oxadiazolyl,  
thiadiazolyl,  
15 triazolyl,  
tetrazolyl,  
oxazolopyridinyl,  
imidazopyridinyl,  
isothiazolyl,  
20 naphthyridinyl,  
cinnolinyl,  
carbazolyl,  
beta-carbolinyl,  
isochromanyl,  
25 chromanyl,  
tetrahydroisoquinolinyl,  
isoindolinyl,  
isobenzotetrahydrofuranyl,  
isobenzotetrahydrothienyl,  
30 isobenzothienyl,  
benzoxazolyl,  
pyridopyridinyl,  
benzotetrahydrofuranyl,  
benzotetrahydrothienyl,

purinyl,  
benzodioxolyl,  
triazinyl,  
phenoxyazinyl,  
5 phenothiazinyl,  
pteridinyl,  
benzothiazolyl,  
imidazopyridinyl,  
imidazothiazolyl,  
10 dihydrobenzisoxazinyl,  
benzisoxazinyl,  
benzoxazinyl,  
dihydrobenzisothiazinyl,  
benzopyranyl,  
15 benzothiopyranyl,  
coumarinyl,  
isocoumarinyl,  
chromonyl,  
chromanonyl, and  
20 pyridinyl-N-oxide,  
tetrahydroquinolinyl  
dihydroquinolinyl  
dihydroquinolinonyl  
dihydroisoquinolinonyl  
25 dihydrocoumarinyl  
dihydroisocoumarinyl  
isoindolinonyl  
benzodioxanyl  
benzoxazolinonyl  
30 pyrrolyl N-oxide,  
pyrimidinyl N-oxide,  
pyridazinyl N-oxide,  
pyrazinyl N-oxide,  
quinolinyl N-oxide,

- indolyl N-oxide,  
indolinyl N-oxide,  
isoquinolyl N-oxide,  
quinazolinyl N-oxide,  
quinoxaliny N-oxide,  
phthalazinyl N-oxide,  
imidazolyl N-oxide,  
isoxazolyl N-oxide,  
oxazolyl N-oxide,  
thiazolyl N-oxide,  
indolizinyl N-oxide,  
indazolyl N-oxide,  
benzothiazolyl N-oxide,  
benzimidazolyl N-oxide,  
pyrrolyl N-oxide,  
oxadiazolyl N-oxide,  
thiadiazolyl N-oxide,  
triazolyl N-oxide,  
tetrazolyl N-oxide,  
benzothiopyranyl S-oxide,  
benzothiopyranyl S,S-dioxide,  
where the R<sub>N</sub>-heteroaryl group is bonded by any atom of  
the parent R<sub>N</sub>-heteroaryl group substituted by hydrogen such that the new bond to the R<sub>N</sub>-heteroaryl group replaces the hydrogen atom and its bond, where heteroaryl is optionally substituted with one, two, three, or four of:  
(1) C<sub>1</sub>-C<sub>6</sub> alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>3</sub> alkoxy, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,  
(2) -OH,  
(3) -NO<sub>2</sub>,  
(4) -F, -Cl, -Br, or -I  
(5) -CO-OH,  
(6) -C≡N,

(7)  $-(\text{CH}_2)_{0-4}\text{-CO-NR}_{N-2}\text{R}_{N-3}$  where  $\text{R}_{N-2}$  and  $\text{R}_{N-3}$  are the same or different and are selected from the group consisting of:

- (a) -H,
- (b)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one substituent selected from the group consisting of:
  - (i) -OH, and
  - (ii) -NH<sub>2</sub>,
  - (c)  $-\text{C}_1\text{-C}_6$  alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
- 10 (d)  $-\text{C}_3\text{-C}_7$  cycloalkyl,
- (e)  $-(\text{C}_1\text{-C}_2 \text{ alkyl})\text{-}(\text{C}_3\text{-C}_7 \text{ cycloalkyl})$ ,
- (f)  $-(\text{C}_1\text{-C}_6 \text{ alkyl})\text{-O-}(\text{C}_1\text{-C}_3 \text{ alkyl})$ ,
- (g)  $-\text{C}_2\text{-C}_6$  alkenyl with one or two double bonds,
- 15 (h)  $-\text{C}_2\text{-C}_6$  alkynyl with one or two triple bonds,
- (i)  $-\text{C}_1\text{-C}_6$  alkyl chain with one double bond and one triple bond,
- (j)  $-\text{R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above, and
- (k)  $-\text{R}_{1\text{-heteroaryl}}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as defined

20 above,

- (8)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_1\text{-C}_{12} \text{ alkyl)}$ ,
- (9)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_2\text{-C}_{12} \text{ alkenyl with one, two or three double bonds)}$ ,
- (10)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_2\text{-C}_{12} \text{ alkynyl with one, two or three triple bonds)}$ ,

25 three triple bonds),

- (11)  $-(\text{CH}_2)_{0-4}\text{-CO-(C}_3\text{-C}_7 \text{ cycloalkyl)}$ ,
- (12)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-aryl}}$  where  $\text{R}_{1\text{-aryl}}$  is as defined above,
- (13)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heteroaryl}}$  where  $\text{R}_{1\text{-heteroaryl}}$  is as

30 defined above,

- (14)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{1\text{-heterocycle}}$  where  $\text{R}_{1\text{-heterocycle}}$  is as defined above,

(15)  $-(\text{CH}_2)_{0-4}\text{-CO-R}_{N-4}$  where  $\text{R}_{N-4}$  is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl,

homomorpholinyl, homothiomorpholinyl, homomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of: C<sub>1</sub>-C<sub>6</sub> alkyl,

(16) -(CH<sub>2</sub>)<sub>0-4</sub>-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> is selected from

5 the group consisting of:

(a) C<sub>1</sub>-C<sub>6</sub> alkyl,

(b) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-aryl</sub>) where R<sub>1-aryl</sub> is as defined

above,

(c) C<sub>2</sub>-C<sub>6</sub> alkenyl containing one or two double

10 bonds,

(d) C<sub>2</sub>-C<sub>6</sub> alkynyl containing one or two triple

bonds,

(e) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(f) -(CH<sub>2</sub>)<sub>0-2</sub>-(R<sub>1-heteroaryl</sub>) where R<sub>1-heteroaryl</sub> is as

15 defined above,

(17) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are

as defined above,

(18) -(CH<sub>2</sub>)<sub>0-4</sub>-SO-(C<sub>1</sub>-C<sub>8</sub> alkyl),

(19) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>12</sub> alkyl),

20

(20) -(CH<sub>2</sub>)<sub>0-4</sub>-SO<sub>2</sub>-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl),

(21) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-O-R<sub>N-5</sub> where R<sub>N-5</sub> can

be the same or different and is as defined above,

(22) -(CH<sub>2</sub>)<sub>0-4</sub>-N(H or R<sub>N-5</sub>)-CO-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub>

can be the same or different and is as defined above,

25

(23) -(CH<sub>2</sub>)<sub>0-4</sub>-N-CS-N(R<sub>N-5</sub>)<sub>2</sub>, where R<sub>N-5</sub> can be the

same or different and is as defined above,

(24) -(CH<sub>2</sub>)<sub>0-4</sub>-N(-H or R<sub>N-5</sub>)-CO-R<sub>N-2</sub> where R<sub>N-5</sub> and

R<sub>N-2</sub> can be the same or different and are as defined above,

(25) -(CH<sub>2</sub>)<sub>0-4</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> can be the

30 same or different and are as defined above,

(26) -(CH<sub>2</sub>)<sub>0-4</sub>-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(27) -(CH<sub>2</sub>)<sub>0-4</sub>-O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(28) -(CH<sub>2</sub>)<sub>0-4</sub>-O-P(O)-(OR<sub>N-aryl-1</sub>)<sub>2</sub> where R<sub>N-aryl-1</sub> is -H

or C<sub>1</sub>-C<sub>4</sub> alkyl,

- (29)  $-(CH_2)_{0-4}-O-CO-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (30)  $-(CH_2)_{0-4}-O-CS-N(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- 5 (31)  $-(CH_2)_{0-4}-O-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (32)  $-(CH_2)_{0-4}-O-(R_{N-5})_2-COOH$  where  $R_{N-5}$  is as defined above,
- (33)  $-(CH_2)_{0-4}-S-(R_{N-5})_2$  where  $R_{N-5}$  is as defined above,
- (34)  $-(CH_2)_{0-4}-O-(C_1-C_6 \text{ alkyl optionally substituted}$
- 10 with one, two, three, four, or five of: -F),
- (35)  $C_3-C_7$  cycloalkyl,
- (36)  $C_2-C_6$  alkenyl with one or two double bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above,
- 15 (37)  $C_2-C_6$  alkynyl with one or two triple bonds optionally substituted with  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH,  $-C\equiv N$ ,  $-CF_3$ ,  $C_1-C_3$  alkoxy, or  $-NR_{1-a}R_{1-b}$  where  $R_{1-a}$  and  $R_{1-b}$  are as defined above, or
- (38)  $-(CH_2)_{0-4}-N(-H \text{ or } R_{N-5})-SO_2-R_{N-2}$  where  $R_{N-5}$  and  $R_{N-2}$  can be the same or different and are as described above, or
- 20 (39)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,
- (C)  $R_{N-aryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  is defined as above,
- (D)  $R_{N-aryl}-W-R_{N-heteroaryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above,
- (E)  $R_{N-aryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  is defined as
- 25  $R_{1-heterocycle}$ , is defined above,
- (F)  $R_{N-heteroaryl}-W-R_{N-aryl}$ , where  $R_{N-aryl}$  and  $R_{N-heteroaryl}$  are as defined above,
- (G)  $R_{N-heteroaryl}-W-R_{N-heteroaryl}$ , where  $R_{N-heteroaryl}$  is as defined above,
- 30 (H)  $R_{N-heteroaryl}-W-R_{N-1-heterocycle}$ , where  $R_{N-1-heterocycle}$  is as defined as  $R_{1-heterocycle}$  is as defined above, and where  $R_{N-heteroaryl}$  is as defined above,
- (I)  $R_{N-heterocycle}-W-R_{N-aryl}$ , where  $R_{N-heterocycle}$  is as defined as  $R_{1-heterocycle}$  is defined and where  $R_{N-aryl}$  are as defined above,

(J)  $R_{N-heterocycle}-W-R_{N-heteroaryl}$ , where  $R_{N-heterocycle}$  is as defined as  $R_{1-heterocycle}$  as defined above and  $R_{N-heteroaryl}$  are as defined above, and

(K)  $R_{N-heterocycle}-W-R_{N-1-heterocycle}$ , where  $R_{N-heterocycle}$  and  $R_{N-heteroaryl}$  are as defined above,

5 where W is

(21)  $-(CH_2)_{0-4}-$ ,

(22)  $-O-$ ,

(23)  $-S(O)_{0-2}-$ ,

(24)  $-N(R_{N-5})-$  where  $R_{N-5}$  is as defined above,

10 or

(25)  $-CO-I$

(II)  $-CO-(C_1-C_{10} \text{ alkyl})$  where alkyl is optionally substituted with one, two, or three substituents selected from the group consisting of:

(A)  $-OH$ ,

15 (B)  $-C_1-C_6$  alkoxy,

(C)  $-C_1-C_6$  thioalkoxy,

(D)  $-CO-O-R_{N-8}$  where  $R_{N-8}$  is  $-H$ ,  $C_1-C_6$  alkyl or  $-phenyl$ ,

(E)  $-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

20 (F)  $-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(G)  $-SO_2-(C_1-C_8 \text{ alkyl})$ ,

(H)  $-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,

(I)  $-NH-CO-(C_1-C_6 \text{ alkyl})$ ,

25 (J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,

(K)  $-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different

and are as defined above,

(L)  $-R_{N-4}$  where  $R_{N-4}$  is as defined above,

(M)  $-O-CO-(C_1-C_6 \text{ alkyl})$ ,

30 (N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and are as defined above,

(O)  $-O-(C_1-C_5 \text{ alkyl})-COOH$ ,

(P)  $-O-(C_1-C_6 \text{ alkyl}$  optionally substituted with one, two, or three of:  $-F$ ,  $-Cl$ ,  $-Br$ , or  $-I$ ),



(E) -CO-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(F) -CO-R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(G) -SO<sub>2</sub>-(C<sub>1</sub>-C<sub>8</sub> alkyl),

5 (H) -SO<sub>2</sub>-NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different and are as defined above,

(I) -NH-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(J) -NH-CO-O-R<sub>N-8</sub> where R<sub>N-8</sub> is as defined above,

(K) -NR<sub>N-2</sub>R<sub>N-3</sub> where R<sub>N-2</sub> and R<sub>N-3</sub> are the same or different

10 and are as defined above,

(L) -R<sub>N-4</sub> where R<sub>N-4</sub> is as defined above,

(M) -O-CO-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(N) -O-CO-NR<sub>N-8</sub>R<sub>N-8</sub> where R<sub>N-8</sub> are the same or different and are as defined above,

15 (O) -O-(C<sub>1</sub>-C<sub>5</sub> alkyl)-COOH,

(P) -O-(C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two, or three of: -F, -Cl, -Br, -I),

(Q) -NH-SO<sub>2</sub>-(C<sub>1</sub>-C<sub>6</sub> alkyl),

(R) -F, or -Cl,

20 (V) -CO-CH(-CH<sub>2</sub>)<sub>0-2</sub>-O-R<sub>N-10</sub>-(CH<sub>2</sub>)<sub>0-2</sub>-R<sub>N-aryl/R<sub>N-heteroaryl</sub></sub> where R<sub>N-</sub>

aryl and R<sub>N-heteroaryl</sub> are as defined above, where R<sub>N-10</sub> is selected from the group consisting of:

(A) -H,

(B) C<sub>1</sub>-C<sub>6</sub> alkyl,

25 (C) C<sub>3</sub>-C<sub>7</sub> cycloalkyl,

(D) C<sub>2</sub>-C<sub>6</sub> alkenyl with one double bond,

(E) C<sub>2</sub>-C<sub>6</sub> alkynyl with one triple bond,

(F) R<sub>1-aryl</sub> where R<sub>1-aryl</sub> is as defined above, and

(G) R<sub>N-heteroaryl</sub> where R<sub>N-heteroaryl</sub> is as defined above, or

30 (VI) -CO-(C<sub>3</sub>-C<sub>8</sub> cycloalkyl) where alkyl is optionally substituted with one or two substituents selected from the group consisting of:

(A) -(CH<sub>2</sub>)<sub>0-4</sub>-OH,

- (B)  $-(CH_2)_{0-4}C_1-C_6$  alkoxy,  
(C)  $-(CH_2)_{0-4}C_1-C_6$  thioalkoxy,  
(D)  $-(CH_2)_{0-4}-CO-O-R_{N-8}$  where  $R_{N-8}$  is -H,  $C_1-C_6$  alkyl or -phenyl,  
5 (E)  $-(CH_2)_{0-4}-CO-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or different and are as defined above,  
(F)  $-(CH_2)_{0-4}-CO-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
(G)  $-(CH_2)_{0-4}-SO_2-(C_1-C_8$  alkyl),  
(H)  $-(CH_2)_{0-4}-SO_2-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same  
10 or different and are as defined above,  
(I)  $-(CH_2)_{0-4}-NH-CO-(C_1-C_6$  alkyl),  
(J)  $-NH-CO-O-R_{N-8}$  where  $R_{N-8}$  is as defined above,  
(K)  $-(CH_2)_{0-4}-NR_{N-2}R_{N-3}$  where  $R_{N-2}$  and  $R_{N-3}$  are the same or  
different and are as defined above,  
15 (L)  $-(CH_2)_{0-4}-R_{N-4}$  where  $R_{N-4}$  is as defined above,  
(M)  $-O-CO-(C_1-C_6$  alkyl),  
(N)  $-O-CO-NR_{N-8}R_{N-8}$  where  $R_{N-8}$  are the same or different and  
are as defined above,  
20 (O)  $-O-(C_1-C_5$  alkyl)-COOH,  
(P)  $-O-(C_1-C_6$  alkyl optionally substituted with one, two, or  
three of: -F, -Cl, -Br, or -I),  
(Q)  $-NH-SO_2-(C_1-C_6$  alkyl), and  
(R) -F, or -Cl,  
where  $R_C$  is:  
25 (I)  $C_1-C_{10}$  alkyl optionally substituted with one, two or three  
substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH,  
-SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O-phenyl, -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as  
defined above, -OC=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, -S(=O)<sub>0-2</sub>  
R<sub>1-a</sub> where R<sub>1-a</sub> is as defined above, -NR<sub>1-a</sub>C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as  
30 defined above, -C=O NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above, and -S(=O)<sub>2</sub>  
NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(II)  $-(CH_2)_{0-3}-(C_3-C_8)$  cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O-phenyl, -CO-OH, -CO-O-( $C_1-C_4$  alkyl), and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 5 (III)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}$  where R<sub>C-x</sub> and R<sub>C-y</sub> are  
           -H,  
            $C_1-C_4$  alkyl optionally substituted with one or two -OH,,  
            $C_1-C_4$  alkoxy optionally substituted with one, two, or three of:  
           -F,  
 10            $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl,  
            $C_2-C_6$  alkenyl containing one or two double bonds,  
            $C_2-C_6$  alkynyl contianing one or two triple bonds,  
           phenyl-,  
           and where R<sub>C-x</sub> and R<sub>C-y</sub> are taken together with the carbon to which they are  
 15 attached to form a carbocycle of three, four, five, six, or seven carbon atoms,  
           optionally where one carbon atom is replaced by a heteroatom selected from the  
           group consisting of -O-, -S-, -SO<sub>2</sub>-, and -NR<sub>N-2-</sub> and R<sub>C-aryl</sub> is the same as R<sub>N-aryl</sub>;  
           (IV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}$  where R<sub>C-heteroaryl</sub> is the same as R<sub>N-heteroaryl</sub> and R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,  
 20           (V)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-aryl}$  where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are as  
           defined above,  
           (VI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heteroaryl}$  where R<sub>C-aryl</sub>, R<sub>C-heteroaryl</sub>, R<sub>C-x</sub> and  
           R<sub>C-y</sub> are as defined above,  
           (VII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-aryl}$  where R<sub>C-heteroaryl</sub>, R<sub>C-aryl</sub>, R<sub>C-x</sub>  
 25 and R<sub>C-y</sub> are as defined above,  
           (VIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heteroaryl}$  where R<sub>C-heteroaryl</sub>, R<sub>C-x</sub> and  
           R<sub>C-y</sub> are as defined above,  
           (IX)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-aryl}-R_{C-heterocycle}$  where R<sub>C-aryl</sub>, R<sub>C-x</sub> and R<sub>C-y</sub> are  
           as defined above, and R<sub>C-heterocycle</sub> is the same as R<sub>N-heterocycle</sub>,  
 30           (X)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heteroaryl}-R_{C-heterocycle}$  where R<sub>C-heteroaryl</sub>, R<sub>C-heterocycle</sub>,  
           R<sub>C-x</sub> and R<sub>C-y</sub> are as defined above,  
           (XI)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-aryl}$  where R<sub>C-heterocycle</sub>, R<sub>C-aryl</sub>, R<sub>C-x</sub>  
           and R<sub>C-y</sub> are as defined above,

(XII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heteroaryl}$  where  $R_{C-heterocycle}$ ,  $R_{C-heteroaryl}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XIII)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

5 (XIV)  $-(CR_{C-x}R_{C-y})_{0-4}-R_{C-heterocycle}$  where  $R_{C-heterocycle}$ ,  $R_{C-x}$  and  $R_{C-y}$  are as defined above,

(XV)  $-[C(R_{C-1})(R_{C-2})]_{1-3}-CO-N-(R_{C-3})_2$  where  $R_{C-1}$  and  $R_{C-2}$  are the same or different and are selected from the group consisting of:

(A) -H,

10 (B)  $C_1-C_6$  alkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (C)  $C_2-C_6$  alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20 (D)  $C_2-C_6$  alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

• (E)  $-(CH_2)_{1-2}-S(O)_{0-2}-(C_1-C_6$  alkyl),

25 (F)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of  $C_1-C_3$  alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>,  $C_1-C_6$  alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(G)  $-(C_1-C_4$  alkyl)- $R_{C'-aryl}$  where  $R_{C'-aryl}$  is as defined for R<sub>1-aryl</sub>,

30 (H)  $-(C_1-C_4$  alkyl)- $R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is as defined above,

(I)  $-(C_1-C_4$  alkyl)- $R_{C-heterocycle}$  where  $R_{C-heterocycle}$  is as defined above,

(J)  $-R_{C-heteroaryl}$  where  $R_{C-heteroaryl}$  is as defined above,

(K)  $-R_{C-heterocycle}$  where  $R_{C-heterocycle}$  is as defined above,

(M)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C'-aryl}$  where  $R_{C-4}$  is -O-, -S- or -NR<sub>C-5</sub>- where R<sub>C-5</sub> is C<sub>1</sub>-C<sub>6</sub> alkyl, and where R<sub>C'-aryl</sub> is as defined above,

(N)  $-(CH_2)_{1-4}-R_{C-4}-(CH_2)_{0-4}-R_{C-heteroaryl}$  where R<sub>C-4</sub> and R<sub>C-heteroaryl</sub> are as defined above, and

5 (O)  $-R_{C'-aryl}$  where R<sub>C'-aryl</sub> is as defined above,

and where R<sub>C-3</sub> is the same or different and is:

(A) -H,

10 (B) C<sub>1</sub>-C<sub>6</sub> alkyl optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

15 (C) C<sub>2</sub>-C<sub>6</sub> alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

20 (D) C<sub>2</sub>-C<sub>6</sub> alkynyl with one or two triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

25 (E)  $-(CH_2)_{0-4}-C_3-C_7$  cycloalkyl, optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

(F)  $-R_{C'-aryl}$  where R<sub>C'-aryl</sub> is as defined above,

30 (G)  $-R_{C-heteroaryl}$  where R<sub>C-heteroaryl</sub> is as defined above,

(H)  $-R_{C-heterocycle}$  where R<sub>C-heterocycle</sub> is as defined above,

(I)  $-(C_1-C_4 \text{ alkyl})-R_{C'-aryl}$  where R<sub>C'-aryl</sub> is as defined above,

(J)  $-(C_1-C_4 \text{ alkyl})-R_{C-heteroaryl}$  where R<sub>C-heteroaryl</sub> is as defined above, or

35 (K)  $-(C_1-C_4 \text{ alkyl})-R_{C-heterocycle}$  where R<sub>C-heterocycle</sub> is as defined above,

(XVI)  $-CH(R_{C-aryl})_2$  where R<sub>C-aryl</sub> are the same or different and are as defined above,

(XVII) -CH(R<sub>C-heteroaryl</sub>)<sub>2</sub> where R<sub>C-heteroaryl</sub> are the same or different and are as defined above,

(XVIII) -CH(R<sub>C-aryl</sub>)(R<sub>C-heteroaryl</sub>) where R<sub>C-aryl</sub> and R<sub>C-heteroaryl</sub> are as defined above,

- 5 (XIX) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> where R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub> or R<sub>C-heterocycle</sub> are as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR<sub>N-5</sub>, O, or S(=O)<sub>0-2</sub>, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two -C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, =O, or -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

10 (XX) C<sub>2</sub>-C<sub>10</sub> alkenyl containing one or two double bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 15 (XXI) C<sub>2</sub>-C<sub>10</sub> alkynyl containing one or two triple bonds optionally substituted with one, two or three substituents selected from the group consisting of C<sub>1</sub>-C<sub>3</sub> alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C≡N, -CF<sub>3</sub>, C<sub>1</sub>-C<sub>6</sub> alkoxy, -O- phenyl, and -NR<sub>1-a</sub>R<sub>1-b</sub> where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above,

- 20 (XXI) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-aryl</sub> where R<sub>C-aryl</sub> is as defined above and R<sub>C-6</sub> is -(CH<sub>2</sub>)<sub>0-6</sub>-OH,

(XXII) -(CH<sub>2</sub>)<sub>0-1</sub>-CHR<sub>C-6</sub>-(CH<sub>2</sub>)<sub>0-1</sub>-R<sub>C-heteroaryl</sub> where R<sub>C-heteroaryl</sub> and R<sub>C-6</sub> is as defined above,

(XXIII) -CH(-R<sub>C-aryl</sub> or R<sub>C-heteroaryl</sub>)-CO-O(C<sub>1</sub>-C<sub>4</sub> alkyl) where R<sub>C-aryl</sub> and R<sub>C-heteroaryl</sub> are as defined above,

- 25 (XXIV) -CH(-CH<sub>2</sub>-OH)-CH(-OH)-phenyl-NO<sub>2</sub>,

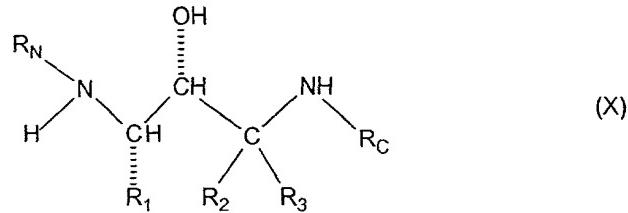
(XXV) (C<sub>1</sub>-C<sub>6</sub> alkyl)-O-(C<sub>1</sub>-C<sub>6</sub> alkyl)-OH,

(XXVII) -CH<sub>2</sub>-NH-CH<sub>2</sub>-CH(-O-CH<sub>2</sub>-CH<sub>3</sub>)<sub>2</sub>,

(XXVIII) -H, or

- (XXIX) -(CH<sub>2</sub>)<sub>0-6</sub>-C(=NR<sub>1-a</sub>)(NR<sub>1-a</sub>R<sub>1-b</sub>) where R<sub>1-a</sub> and R<sub>1-b</sub> are as defined above; 30 or a pharmaceutically acceptable salt thereof, and one or more pharmaceutically acceptable inert carriers.

184. A method for inhibiting beta-secretase activity, comprising exposing said beta-secretase to an effective inhibitory amount of a compound of formula (X)



5 where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

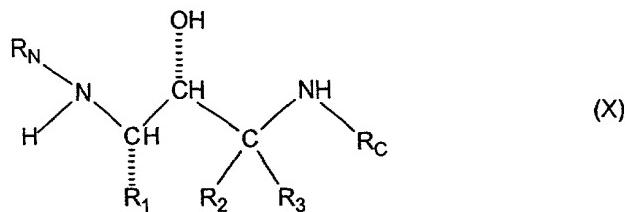
185. The method of claim 184, wherein said beta-secretase is exposed to said  
10 compound *in vitro*.

186. The method of claim 184, wherein said beta-secretase is exposed to said  
compound in a cell.

15 187. The method of claim 186, wherein said cell is in an animal.

188. The method of claim 187, wherein said animal is a human.

189. A method for inhibiting cleavage of amyloid precursor protein (APP), in a  
20 reaction mixture, at a site between Met596 and Asp597, numbered for the APP-695  
amino acid isotype; or at a corresponding site of an isotype or mutant thereof,  
comprising exposing said reaction mixture to an effective inhibitory amount of a  
compound of formula (X)



25

where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,

or a pharmaceutically acceptable salt thereof.

190. The method of claim 189, wherein said cleavage site is between Met652 and  
5 Asp653, numbered for the APP-751 isotype; between Met 671 and Asp 672,  
numbered for the APP-770 isotype; between Leu596 and Asp597 of the APP-695  
Swedish Mutation; between Leu652 and Asp653 of the APP-751 Swedish Mutation;  
or between Leu671 and Asp672 of the APP-770 Swedish Mutation.

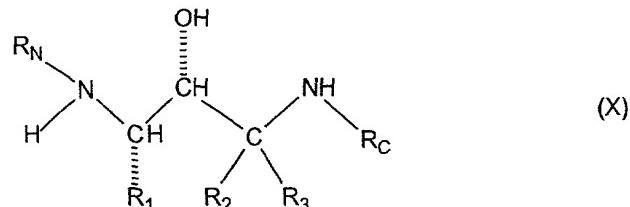
10 191. The method of claim 189, wherein said reaction mixture is exposed *in vitro*.

192. The method of claim 189, wherein said reaction mixture is exposed in a cell.

15 193. The method of claim 192, wherein said cell is an animal cell.

194. The method of claim 193, wherein said cell is a human cell.

195. A method for inhibiting production of amyloid beta peptide (A beta) in a cell,  
comprising administering to said cell an effective inhibitory amount of a compound of  
20 formula (X)



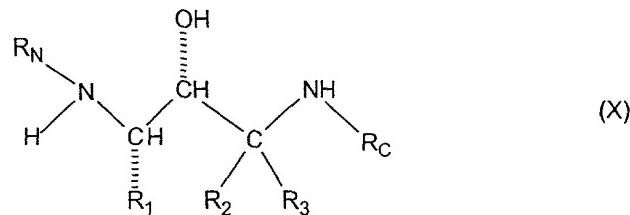
where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

25

196. The method of claim 195, wherein said administering is to an animal.

197. The method of claim 196, wherein said administering is to a human.

198. A method for inhibiting the production of beta-amyloid plaque in an animal, comprising administering to said animal an effective inhibitory amount of a compound of formula (X)

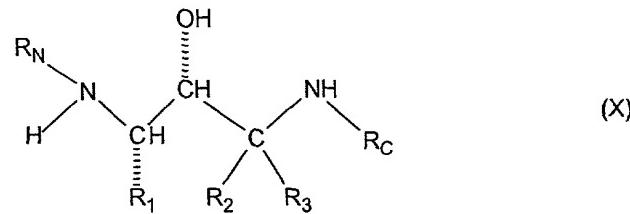


5

where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

10 199. The method of claim 198, wherein said animal is a human.

200. A method for treating or preventing a disease characterized by beta-amyloid deposits in the brain comprising administering to a patient an effective therapeutic amount of a compound of formula (X)



15

where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

20

201. The method of claim 200, wherein said therapeutic amount is in the range of from about 0.1 to about 1000 mg/day.

25 202. The method of claim 200, wherein said therapeutic amount is in the range of from about 15 to about 1500 mg/day.

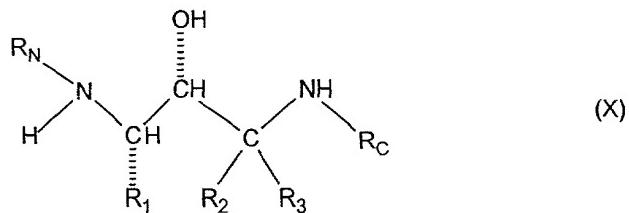
203. The method of claim 202, wherein said therapeautic amount is in the range of from about 1 to about 100 mg/day.

5 204. The method of claim 203, wherein said therapeautic amount is in the range of from about 5 to about 50 mg/day.

205. The method of claim 200, wherein said disease is Alzheimer's disease.

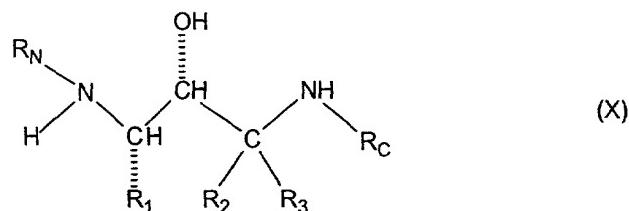
10 206. The method of claim 200, wherein said disease is Mild Cognitive Impairment, Down's Syndrome, or Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type.

15 207. A composition comprising beta-secretase complexed with a compound of formula (X)



where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

20 208. A method for producing a beta-secretase complex comprising: exposing beta-secretase, in a reaction mixture under conditions suitable for the production of said complex, to a compound of formula (X)



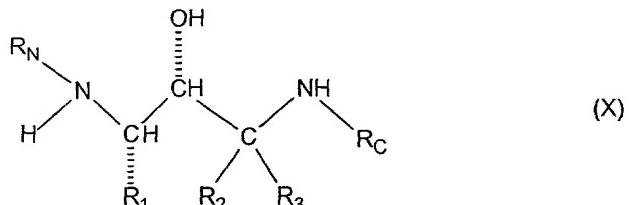
25 where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,

or a pharmaceutically acceptable salt thereof.

209. The method of claim 208, where said exposing is *in vitro*.

5 210. The method of claim 208, wherein said reaction mixture is a cell.

211. A kit comprising component parts capable of being assembled, wherein at least one component part comprises, enclosed in a container, a compound of formula (X)



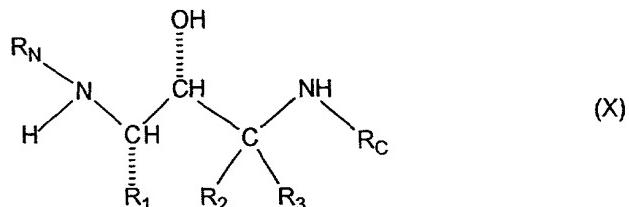
10

where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

15

212. The kit of claim 211, wherein said compound is lyophilized and at least one further component part comprises a diluent.

213. A kit comprising a plurality of containers, each container comprising one or more unit dose of a compound of formula (X)



20

where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

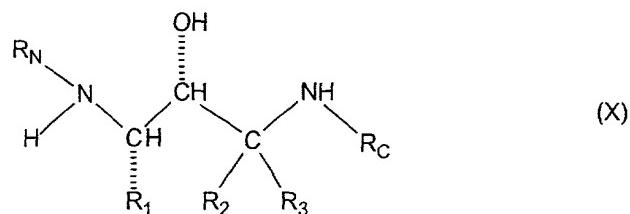
25

214. The kit of claim 213, wherein each container is adapted for oral delivery and comprises a tablet, gel, or capsule.

215. The kit of claim 214, wherein each container is adapted for parenteral delivery and comprises a depot product, syringe, ampoule, or vial.

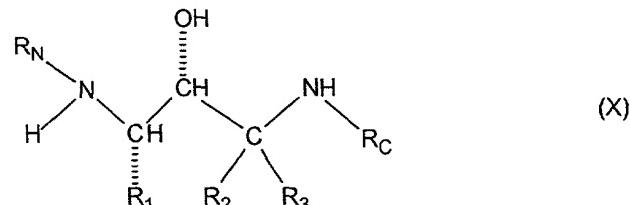
216. The kit of claim 214, wherein each container is adapted for topical delivery and  
5 comprises a patch, medipad, ointment, or cream.

217. A kit comprising one or more therapeutic agent selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetylcholinesterase inhibitor, a statin, an A beta peptide, and  
10 an anti-A beta antibody; and  
a compound of formula (X)



where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
15 or a pharmaceutically acceptable salt thereof.

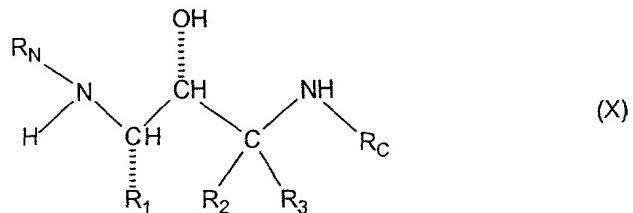
218. A composition comprising an inert diluent or edible carrier; and  
a compound of formula (X)



20 where R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>N</sub> and R<sub>C</sub> are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof.

219. The composition of claim 218, wherein said carrier is an oil.

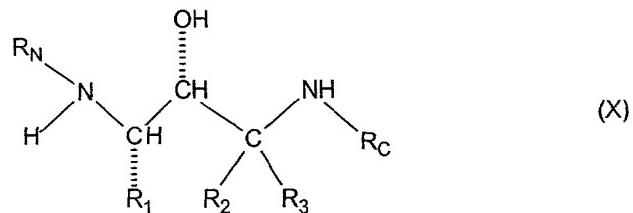
220. A composition comprising a binder, excipient, disintegrating agent, lubricant, or gildant; and  
a compound of formula (X)



5

where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$  and  $R_C$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof..

221. A composition comprising a compound of formula (X)



10

where  $R_1$ ,  $R_2$ ,  $R_3$ ,  $R_N$  and  $R_C$  are as defined in claim 1,  
or a pharmaceutically acceptable salt thereof,  
and where the compound is disposed in a cream, ointment, or patch.

15